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L6               STR
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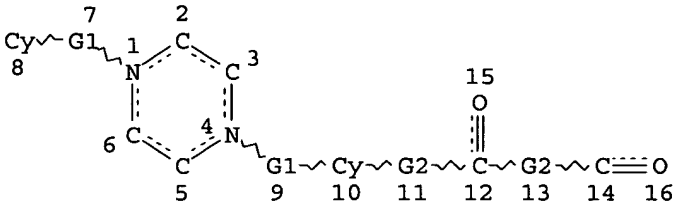


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REP G2=(0-5) 17
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GGCAT   IS UNS  AT   8
GGCAT   IS UNS  AT  10
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  17

STEREO ATTRIBUTES: NONE
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L10              STR
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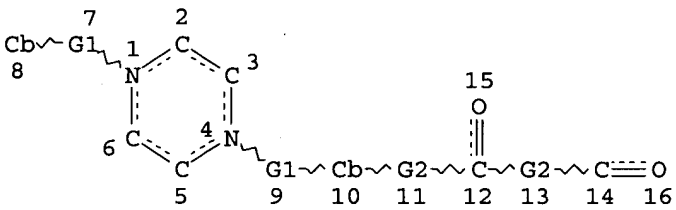


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REP G2=(0-5) 17
NODE ATTRIBUTES:
NSPEC   IS RC     AT  17
DEFAULT MLEVEL IS ATOM
GGCAT   IS MCY  UNS  AT   8
GGCAT   IS MCY  UNS  AT  10
DEFAULT ECLEVEL IS LIMITED
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ECOUNT  IS E6 C  AT  10

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS  17

STEREO ATTRIBUTES: NONE
L11          239 SEA FILE=REGISTRY SUB=L9 SSS FUL L10
L12           52 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11


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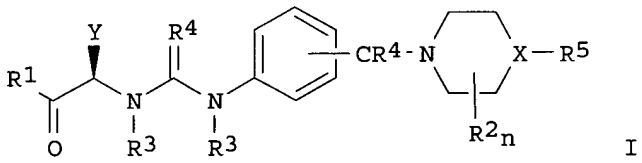
L12 ANSWER 1 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:182645 HCAPLUS
DOCUMENT NUMBER: 142:261563
TITLE: Preparation of phenylurea piperazine compounds as antitumor agents
INVENTOR(S): Drewry, David Harold; Mook, Robert Anthony, Jr.; Salovich, James Michael; Schoenen, Frank J.; Wagner, David S.; Wagner, Richard W.
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019193	A2	20050303	WO 2004-US27418	20040817
WO 2005019193	A3	20050331		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-496488P P 20030820
GI



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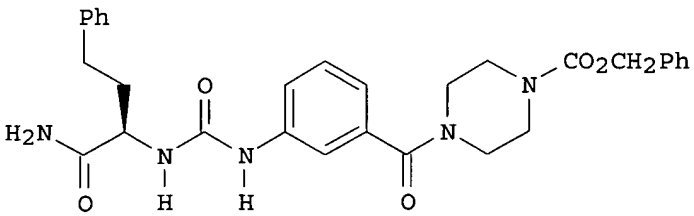


II

AB Phenylurea compds. of formula I [R1 = (substituted) OH, (substituted) NH2; R2= halo, alkyl, etc.; n = 0-4; R3 = H, alkyl, cycloalkyl, etc.; R4 = O, S; R5 = H, alkyl, alkoxycarbonyl, absent, etc.; X = N, O, S, CH; Y = (substituted) phenylalkyl, heteroarylalkyl, etc.] are prepared for the

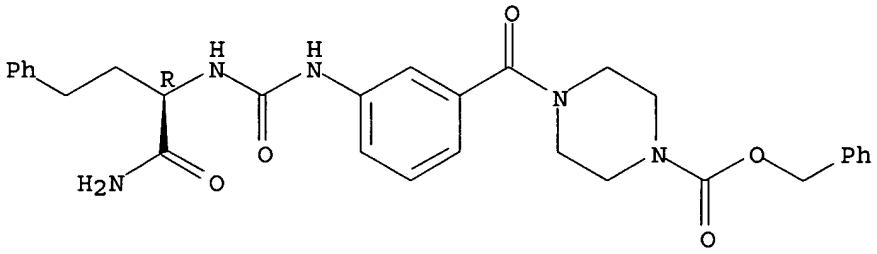
treatment of polo-like kinase mediated conditions such as neoplasms. Thus, II was prepared via solid-phase synthesis, and showed inhibitory activity against PLK1.

IT **846547-72-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylurea piperazine compds. as antitumor agents)

RN 846547-72-6 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[3-[[[[(1R)-1-(aminocarbonyl)-3-phenylpropyl]amino]carbonyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 2 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:931493 HCAPLUS

DOCUMENT NUMBER: 141:410707

TITLE: Preparation of malonic acids as protein tyrosine phosphatase (PTP) inhibitors and their pharmaceutical use

INVENTOR(S): Amanomiya, Yoshiya; Motoizumi, Masatoshi; Taniuchi, Makoto

PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 166 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

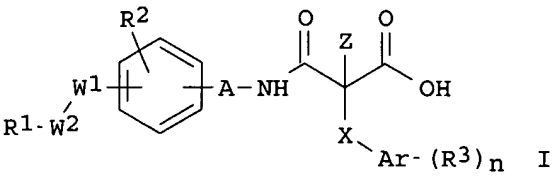
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
JP 2004307460	A2	20041104	JP 2003-198893		20030718
PRIORITY APPLN. INFO.:			JP 2002-212121	A	20020722
			JP 2003-43056	A	20030220

OTHER SOURCE(S): MARPAT 141:410707

GI



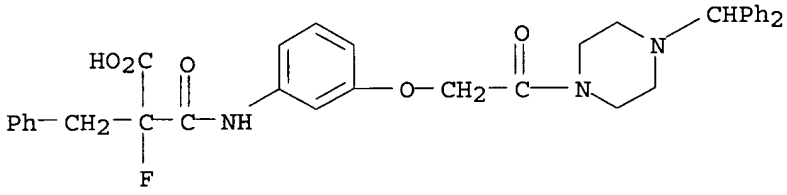
I

AB Title compds. I [Ar = C6-10 aromatic; A = bond, CH2, C1-3 oxyalkylene; W1 = bond, oxyalkylene CO, O, CONH; W2 = bond, C6H4, C6H4O, C6H4CO, CH2CO; X = O, CH2; Z = H, F; R1 = C5-20 linear alkyl, C7-20 linear alkenyl, C7-20 linear alkadienyl, (un)substituted piperazinyl, (un)substituted Ph, etc; R2 = H, OH, O(CH2)naCO2h (na = 1-6); R2W1 may form ring; R3 = H, C1-6 alkyl, (CO2H-substituted) C1-6 alkoxy, CO2H; n = 1-3], useful for treatment of diabetes, obesity, hyperlipidemia, allergy, etc., are prepared Thus, amidation of 2-ethoxycarbonyl-3-phenylpropionic acid with 4-nitroaniline gave Et 2-(4-nitrophenylaminocarbonyl)-3-phenylpropionate, which was hydrogenated, amidated with palmitoyl chloride, and hydrolyzed to afford 2-[N-[4-(hexadecanoylamino)phenyl]aminocarbonyl]-3-phenylpropionic acid, which inhibited 92% human PTP-1B activity.

IT **790259-58-4P 790259-60-8P 790259-62-0P 790259-64-2P 790259-66-4P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of malonic acids as protein tyrosine phosphatase inhibitors for treatment of diseases)

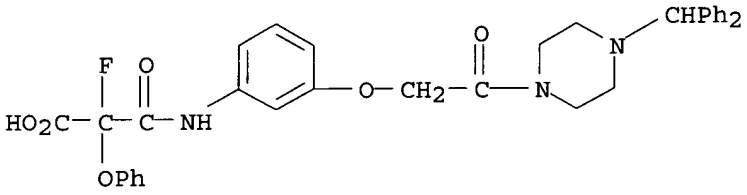
RN 790259-58-4 HCAPLUS

CN Benzenepropanoic acid, α-[[[3-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-α-fluoro- (9CI) (CA INDEX NAME)



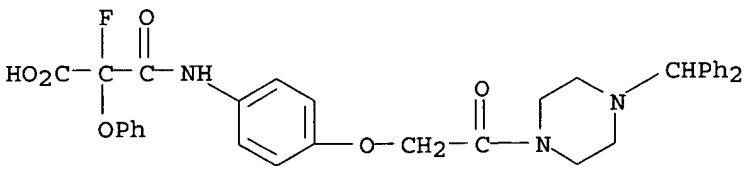
RN 790259-60-8 HCAPLUS

CN Propanoic acid, 3-[[3-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-fluoro-3-oxo-2-phenoxy- (9CI) (CA INDEX NAME)

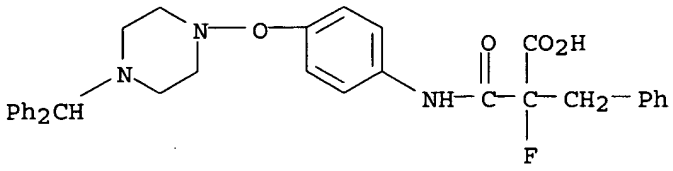


RN 790259-62-0 HCAPLUS

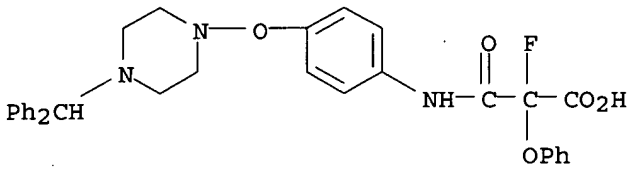
CN Propanoic acid, 3-[[4-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-fluoro-3-oxo-2-phenoxy- (9CI) (CA INDEX NAME)



RN 790259-64-2 HCAPLUS
CN Benzenepropanoic acid, α-[[[4-[[4-(diphenylmethyl)-1-piperazinyl]oxy]phenyl]amino]carbonyl]-α-fluoro- (9CI) (CA INDEX NAME)

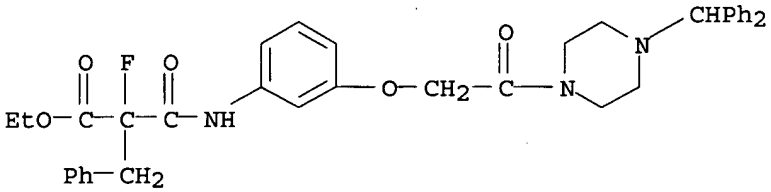


RN 790259-66-4 HCAPLUS
CN Propanoic acid, 3-[[4-[[4-(diphenylmethyl)-1-piperazinyl]oxy]phenyl]amino]-2-fluoro-3-oxo-2-phenoxy- (9CI) (CA INDEX NAME)

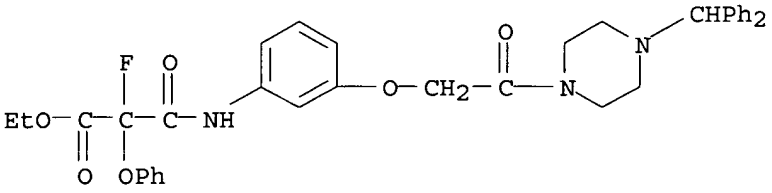


IT **790262-45-2P 790262-46-3P 790262-48-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of malonic acids as protein tyrosine phosphatase inhibitors for treatment of diseases)

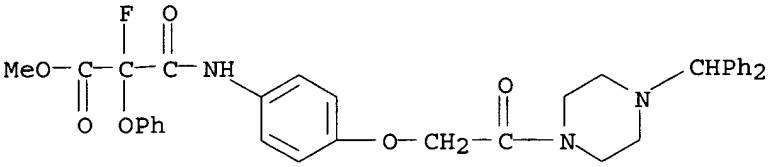
RN 790262-45-2 HCAPLUS
CN Benzenepropanoic acid, α-[[[3-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-α-fluoro-, ethyl ester (9CI) (CA INDEX NAME)



RN 790262-46-3 HCAPLUS
CN Propanoic acid, 3-[[3-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-fluoro-3-oxo-2-phenoxy-, ethyl ester (9CI) (CA INDEX NAME)



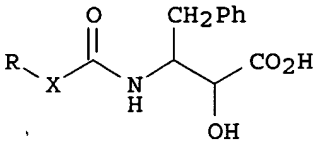
RN 790262-48-5 HCAPLUS
CN Propanoic acid, 3-[[4-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-fluoro-3-oxo-2-phenoxy-, methyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 3 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:753392 HCAPLUS
DOCUMENT NUMBER: 141:260408
TITLE: Preparation of 3-amino-2-hydroxy-4-phenylbutyric acid as protein thyrosin phosphatase inhibitors
INVENTOR(S): Amanomiya, Yoshiya; Taniuchi, Makoto
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 69 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004256435	A2	20040916	JP 2003-48605	20030226
PRIORITY APPLN. INFO.:			JP 2003-48605	20030226

OTHER SOURCE(S): MARPAT 141:260408
GI



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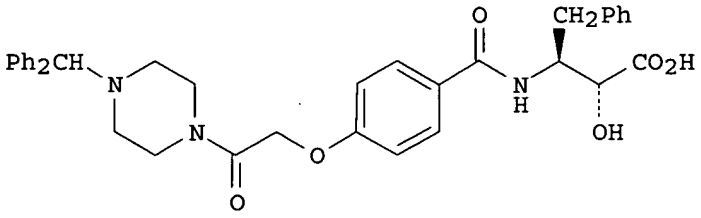


II

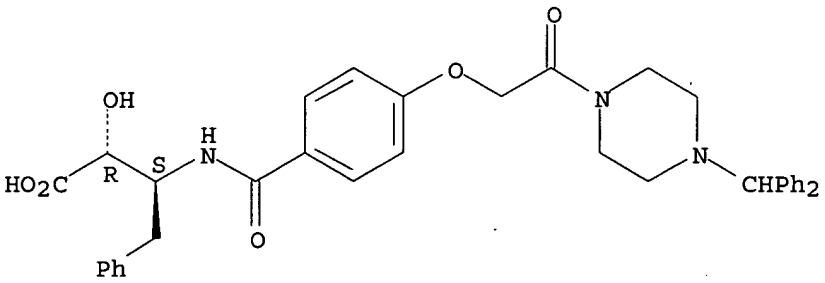
AB Title compds. represented by the formula I [wherein X = single bond or (un)substituted arylene; R = (un)substituted alkyl, alkoxy, alkylamino; and pharmaceutically acceptable salts or esters thereof] were prepared as protein thyrosin phosphatase inhibitors. For example, II was given in a multi-step synthesis starting from the reaction of Me 4-hydroxybenzoate with tert-Bu bromoacetate. I showed inhibition of the protein thyrosin phosphatase with 26-101% blocking rate, and their formulations also were presented. Thus, I and their pharmaceutical compns. are useful as protein thyrosin phosphatase inhibitors.

IT **756823-00-4P 756823-01-5P 756823-02-6P**
756823-03-7P 756823-17-3P 756823-18-4P
756823-19-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3-amino-2-hydroxy-4-phenylbutyric acids as protein thyrosin phosphatase inhibitors)

RN 756823-00-4 HCAPLUS

CN Benzenebutanoic acid, β-[[4-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]benzoyl]amino]-α-hydroxy-, (αR,βS)-rel- (9CI) (CA INDEX NAME)

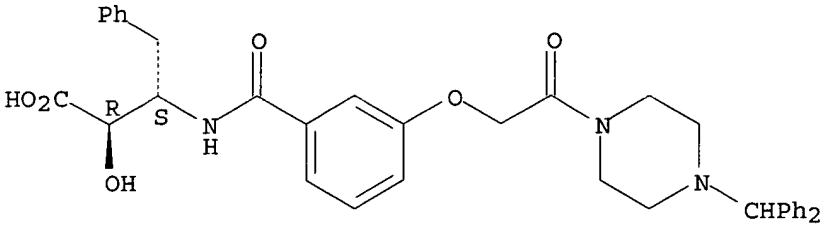
Relative stereochemistry.



RN 756823-01-5 HCAPLUS

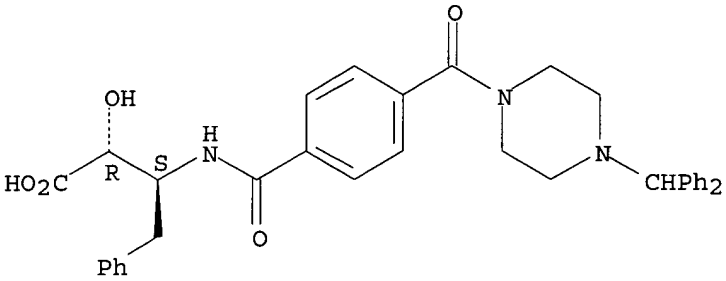
CN Benzenebutanoic acid, β-[[3-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]benzoyl]amino]-α-hydroxy-, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



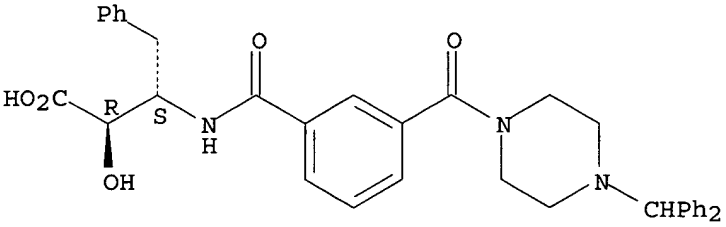
RN 756823-02-6 HCAPLUS
CN Benzenebutanoic acid, β-[[4-[[4-(diphenylmethyl)-1-piperazinyl]carbonyl]benzoyl]amino]-α-hydroxy-, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



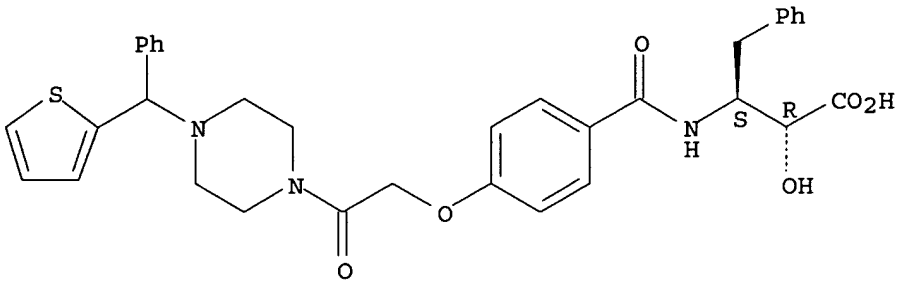
RN 756823-03-7 HCAPLUS
CN Benzenebutanoic acid, β-[[3-[[4-(diphenylmethyl)-1-piperazinyl]carbonyl]benzoyl]amino]-α-hydroxy-, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



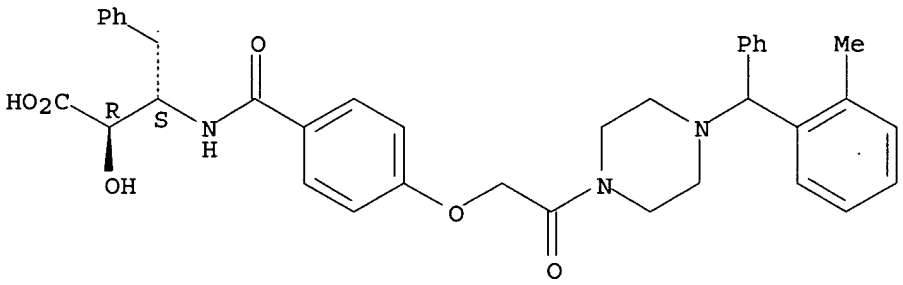
RN 756823-17-3 HCAPLUS
CN Benzenebutanoic acid, α-hydroxy-β-[[4-[2-oxo-2-[4-(phenyl-2-thienylmethyl)-1-piperazinyl]ethoxy]benzoyl]amino]-, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



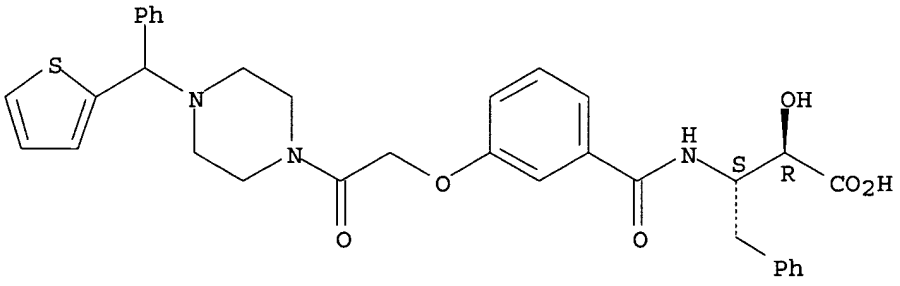
RN 756823-18-4 HCAPLUS
CN Benzenebutanoic acid, α-hydroxy-β-[[4-[2-[4-[(2-methylphenyl)phenylmethyl]-1-piperazinyl]-2-oxoethoxy]benzoyl]amino]-, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 756823-19-5 HCAPLUS
CN Benzenebutanoic acid, α-hydroxy-β-[[3-[2-oxo-2-[4-(phenyl-2-thienylmethyl)-1-piperazinyl]ethoxy]benzoyl]amino]-, (αR,βS)-rel- (9CI) (CA INDEX NAME)

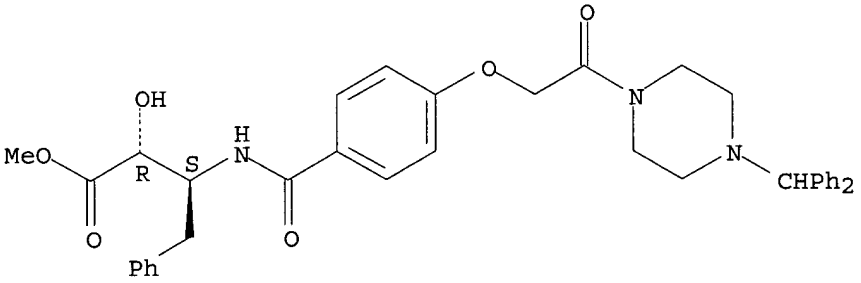
Relative stereochemistry.



IT **756823-25-3P 756823-28-6P 756823-31-1P 756823-34-4P 756823-69-5P 756823-73-1P 756823-76-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3-amino-2-hydroxy-4-phenylbutyric acids as protein thyrosin phosphatase inhibitors)
RN 756823-25-3 HCAPLUS
CN Benzenebutanoic acid, β-[[4-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-

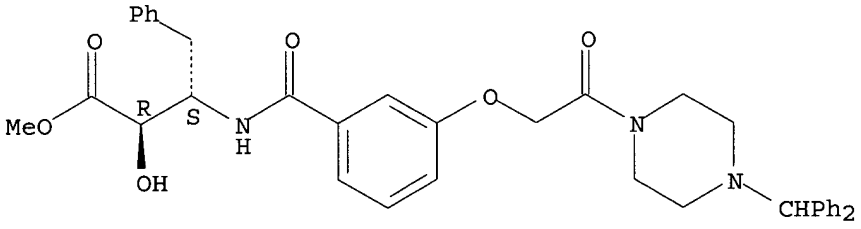
oxoethoxy]benzoyl]amino]-α-hydroxy-, methyl ester,
(αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



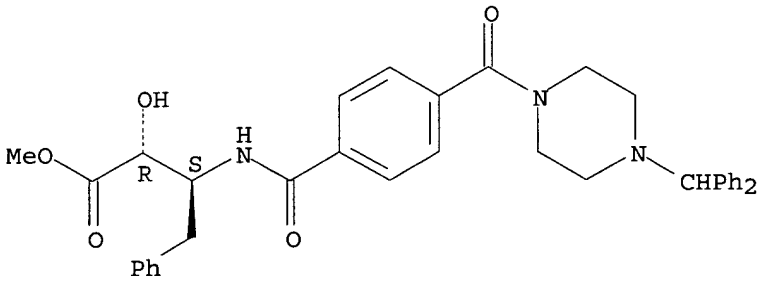
RN 756823-28-6 HCAPLUS
CN Benzenebutanoic acid, β-[[3-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethoxy]benzoyl]amino]-α-hydroxy-, methyl ester,
(αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



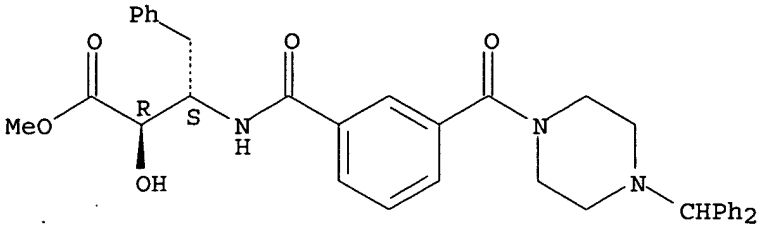
RN 756823-31-1 HCAPLUS
CN Benzenebutanoic acid, β-[[4-[[4-(diphenylmethyl)-1-piperazinyl]carbonyl]benzoyl]amino]-α-hydroxy-, methyl ester,
(αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



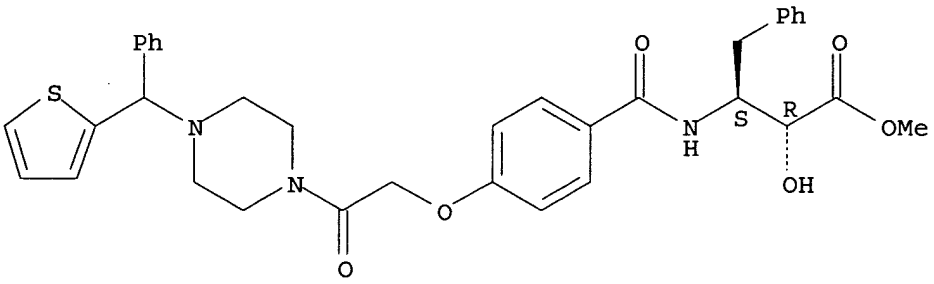
RN 756823-34-4 HCAPLUS
CN Benzenebutanoic acid, β-[[3-[[4-(diphenylmethyl)-1-piperazinyl]carbonyl]benzoyl]amino]-α-hydroxy-, methyl ester,
(αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



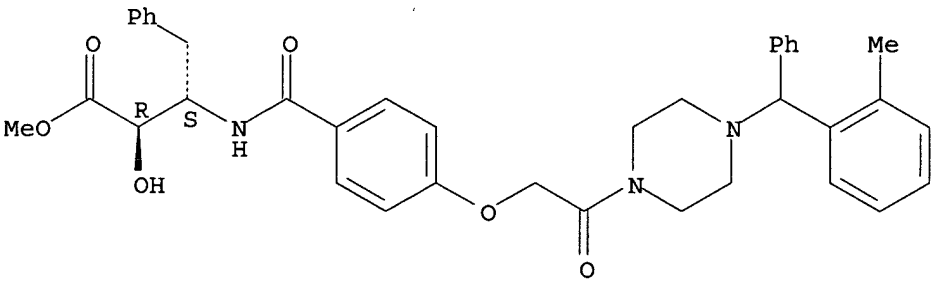
RN 756823-69-5 HCAPLUS
CN Benzenebutanoic acid, α-hydroxy-β-[[4-[2-oxo-2-[4-(phenyl-2-thienylmethyl)-1-piperazinyl]ethoxy]benzoyl]amino]-, methyl ester, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



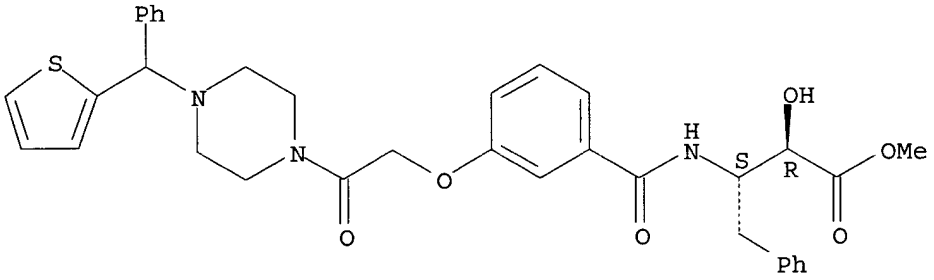
RN 756823-73-1 HCAPLUS
CN Benzenebutanoic acid, α-hydroxy-β-[[4-[2-[4-[(2-methylphenyl)phenylmethyl]-1-piperazinyl]-2-oxoethoxy]benzoyl]amino]-, methyl ester, (αR,βS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 756823-76-4 HCAPLUS
CN Benzenebutanoic acid, α-hydroxy-β-[[3-[2-oxo-2-[4-(phenyl-2-thienylmethyl)-1-piperazinyl]ethoxy]benzoyl]amino]-, methyl ester, (αR,βS)-rel- (9CI) (CA INDEX NAME)

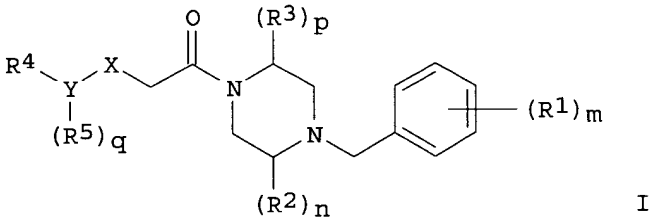
Relative stereochemistry.



L12 ANSWER 4 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:392321 HCAPLUS
DOCUMENT NUMBER: 140:406826
TITLE: Preparation of N-benzylpiperazine derivatives as chemokine receptor CCR1 antagonists useful as immunomodulatory agents
INVENTOR(S): Blumberg, Laura C.; Brown, Matthew F.; Gaweco, Anderson S.; Gladue, Ronald P.; Hayward, Matthew M.; Lundquist, Gregory D.; Poss, Christopher S.; Shavnya, Andrei
PATENT ASSIGNEE(S): Pfizer Inc, USA
SOURCE: U.S. Pat. Appl. Publ., 58 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2004092529	A1	20040513	US 2003-686993		20031016
PRIORITY APPLN. INFO.:			US 2002-422590P	P	20021030

OTHER SOURCE(S): MARPAT 140:406826
GI



I

AB The present invention relates to compds. of the formula (I) and the pharmaceutically acceptable forms thereof [m = 0-5; n, p = 0-2; q = 0-4; X = O, S, CH2, (un)substituted NH; Y = C6-10 aryl, C2-9 heteroaryl; R1 = H, HO, halo, C1-8 alkyl, C1-8 alkoxy, HO-C1-8 alkyl, cyano, NH2, H2N-C1-8 alkyl, CO2H, C1-8 alkyl-CO, C1-8 alkyl-CO-C1-8 alkyl, CONH2, or H2NCO-C1-8 alkyl; R2, R3 = H, oxo, C1-8 alkyl, C3-8 cycloalkyl-C1-8 alkyl, C6-10 aryl, C6-10 aryl-C1-8 alkyl, HO-C1-8 alkyl, C1-8 alkyl-O-C1-8 alkyl, H2N-C1-8 alkyl, C1-8 alkyl-NH-C1-8 alkyl, (C1-8 alkyl)2N-C1-8 alkyl, C2-9 heterocyclyl-C1-8 alkyl, C3-8 cycloalkyl-NH-C1-8 alkyl, C1-8

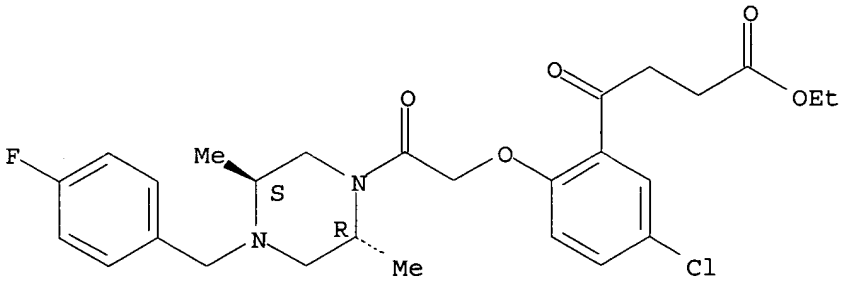
alkyl-CO-NH-C1-8 alkyl-O-CO-NH-C1-8 alkyl, H2NCO-NH-C1-8 alkyl, C1-8 alkyl-SO2NH-C1-8 alkyl, C2-9 heteroaryl-C1-8 alkyl, H2NCO, H2NCO-C1-8 alkyl; R4 = (HO2C)(H2N)-C1-8 alkyl, (HO2C)[(C1-8 alkyl)NH]-C1-8 alkyl, (HO2C)[(C1-8 alkyl)2N]-C1-8 alkyl, (HO2C-C1-8 alkyl)(C1-8 alkyl)N, (HO2C-C1-8 alkyl)(C1-8 alkyl)N-C1-8 alkyl, (HO2C-C1-8 alkyl)(C1-8 alkyl-SO2)N, (HO2C-C1-8 alkyl)(C1-8 alkyl-SO2)N-C1-8 alkyl, (HO2C-C1-8 alkyl)(C1-8 alkyl-CO)N, etc.; R5 = H, HO, halo, cyano, CO2H, H2N, C1-8 alkyl-NH, (C1-8 alkyl)2N, C1-8 alkyl, C1-8 alkyl-O, HO-C1-8 alkyl, C1-8 alkyl-NH-C1-8 alkyl, (C1-8 alkyl)2N-C1-8 alkyl, etc.]. Moreover, the present invention is also directed at pharmaceutical compns. comprising the compound I and a pharmaceutically acceptable carrier. Furthermore, the present invention is directed at methods of using the herein described compds. and compns. for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the CCR1 receptor in a mammal. Particularly, disclosed is a method of treating or preventing a disorder or condition selected from the group consisting of fibrosis, Alzheimer's disease, conditions associated with leptin production, sequelae associated with cancer, cancer metastasis, diseases or conditions related to production of cytokines at inflammatory sites, and tissue damage caused by inflammation induced by infectious agents, wherein the method comprises administering to a mammal in need of such treatment or prevention a pharmaceutically effective amount of the compound I or a pharmaceutically acceptable form thereof. The compds. I are potent and selective inhibitors of MIP-1α (CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes). [2-[3-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxopropyl]-5-methylphenoxy]acetic acid was condensed with methanesulfonamide in CH2Cl2 at room temperature for 18 h using 4-dimethylaminopyridine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride to give N-[[2-[3-[4-(4-fluoro-benzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-3-oxo-propyl]-5-methylphenoxy]acetyl]methanesulfonamide. All the compds. I inhibited MIP-1α (and the related chemokines shown to interact with CCR1) induced chemotaxis of THP-1 cells and human leukocytes with IC50 of <10 μM.

IT **519171-88-1P**, 4-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-4-Oxo-Butyric acid Ethyl Ester **519171-91-6P**, 3-[3-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R)-2-Methyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-Ureido]-Propionic acid Methyl Ester **519172-23-7P**, Acetic acid 2-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Benzenesulfonylamino]-1,1-Dimethyl-2-Oxo-Ethyl Ester **519172-31-7P**, Acetic acid 2-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenylmethanesulfonylamino]-1,1-Dimethyl-2-Oxo-Ethyl Ester **519172-93-1P**, 5-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-5-Oxo-Pentanoic acid Ethyl Ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of N-benzylpiperazine derivs. as chemokine receptor CCR1 antagonists useful as immunomodulatory agents)

RN 519171-88-1 HCAPLUS

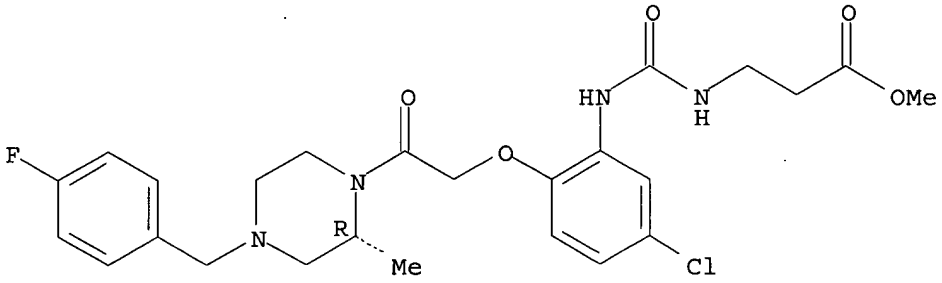
CN Benzenebutanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-γ-oxo-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



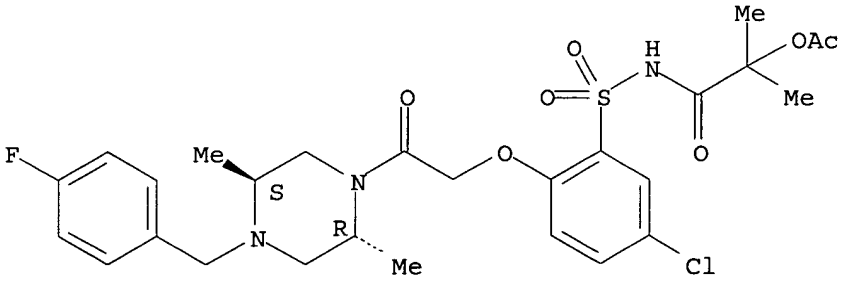
RN 519171-91-6 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



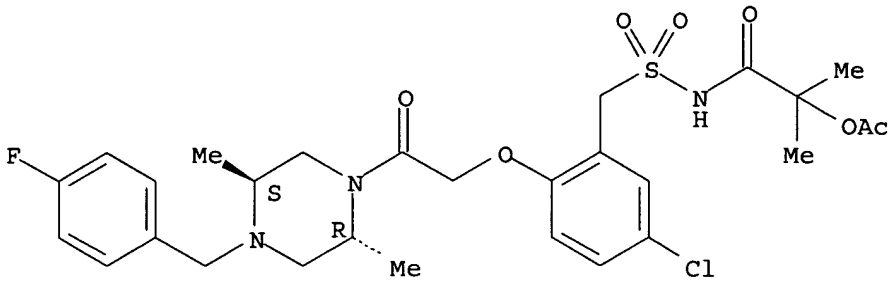
RN 519172-23-7 HCAPLUS
CN Propanamide, 2-(acetyloxy)-N-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



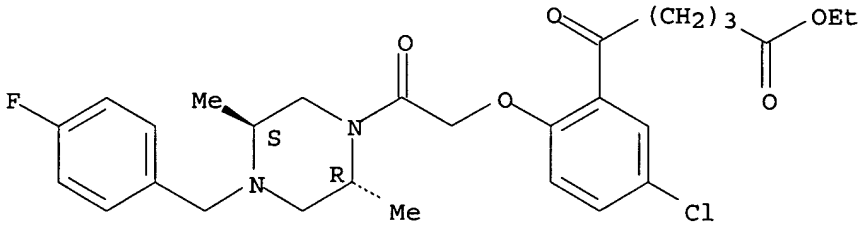
RN 519172-31-7 HCAPLUS
CN Propanamide, 2-(acetyloxy)-N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



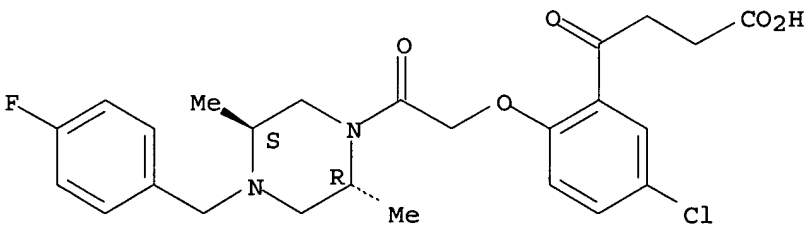
RN 519172-93-1 HCAPLUS
CN Benzenepentanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-δ-oxo-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **519171-87-0P**, 4-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-4-Oxo-Butyric Acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-benzylpiperazine derivs. as chemokine receptor CCR1 antagonists useful as immunomodulatory agents)
RN 519171-87-0 HCAPLUS
CN Benzenebutanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-γ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

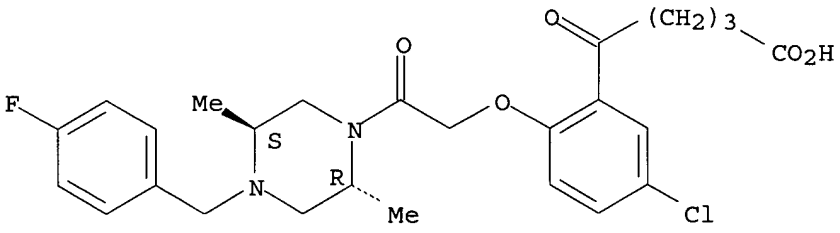


IT **519172-90-8P**, 5-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R,5S)-2,5-Dimethyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-5-Oxo-Pentanoic Acid
519173-17-2P, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-oxoacetic acid
519173-72-9P, [3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]acetic acid

519173-73-0P, 3-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid **519173-74-1P**, 3-[3-[4-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid **519173-75-2P**, [3-[4-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]acetic acid **519174-44-8P**, [[[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]sulfonyl]amino]-oxoacetic acid **519174-74-4P**, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenylmethanesulfonylamino]-oxoacetic acid **519174-76-6P**, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenylmethanesulfonylamino]-oxoacetic acid **519175-34-9P**, 3-[3-[5-Chloro-2-[2-[4-(4-Fluoro-Benzyl)-(2R)-2-Methyl-Piperazin-1-yl]-2-Oxo-Ethoxy]-Phenyl]-Ureido]-Propionic Acid **519175-35-0P**, 4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-4-oxobutyric acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-benzylpiperazine derivs. as chemokine receptor CCR1 antagonists useful as immunomodulatory agents)

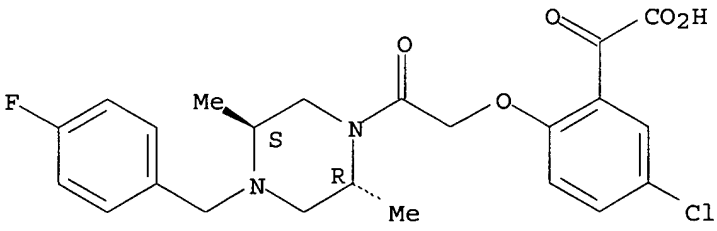
RN 519172-90-8 HCAPLUS
CN Benzenepentanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-δ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



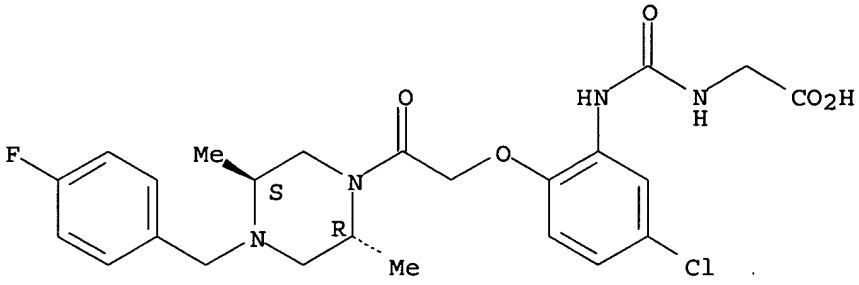
RN 519173-17-2 HCAPLUS
CN Benzeneacetic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-α-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



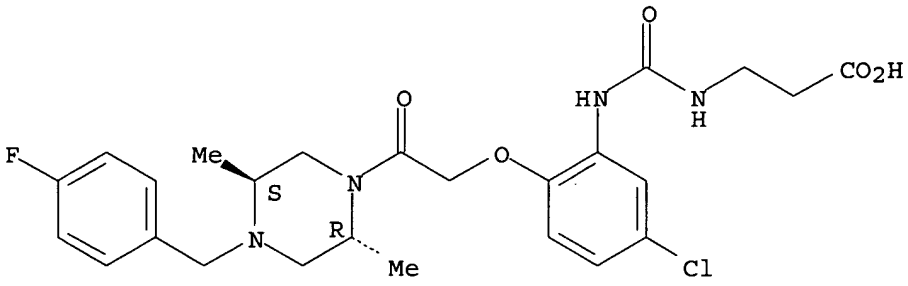
RN 519173-72-9 HCAPLUS
CN Glycine, N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



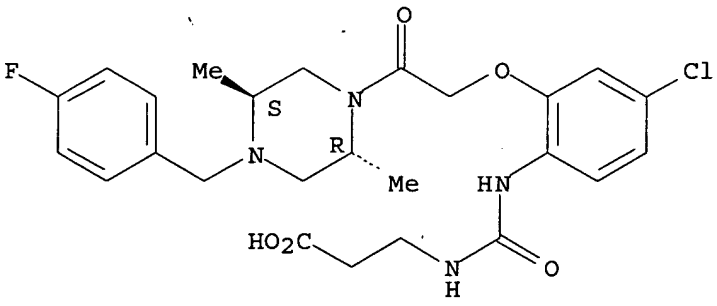
RN 519173-73-0 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



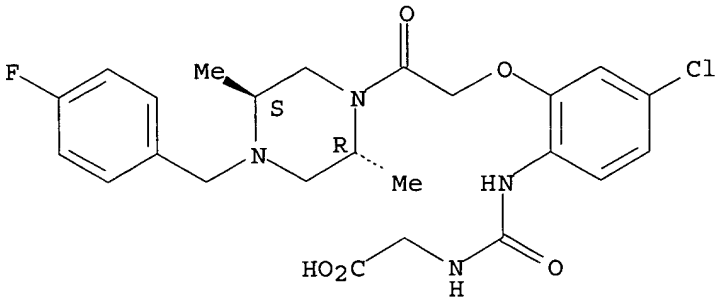
RN 519173-74-1 HCAPLUS
CN β-Alanine, N-[[[4-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



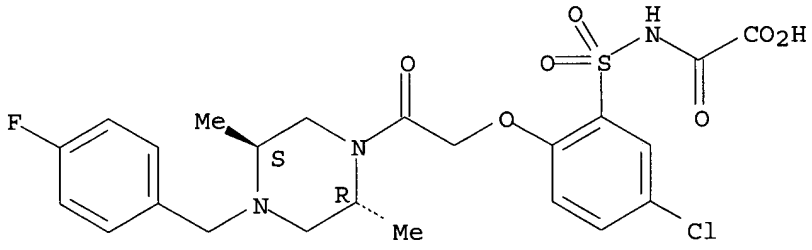
RN 519173-75-2 HCAPLUS
CN Glycine, N-[[[4-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



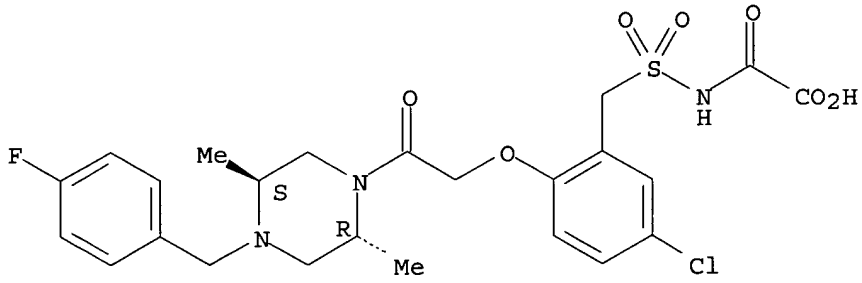
RN 519174-44-8 HCAPLUS
CN Acetic acid, [[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]sulfonyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



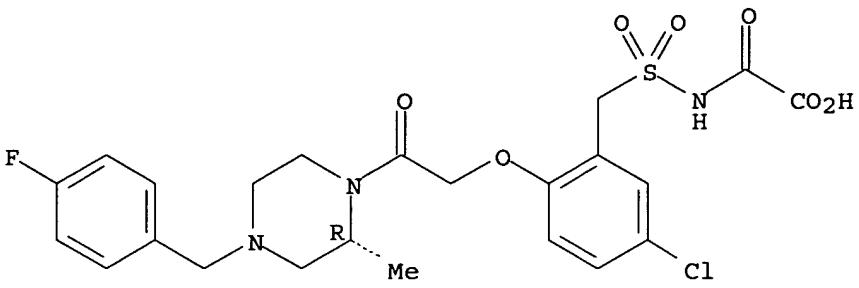
RN 519174-74-4 HCAPLUS
CN Acetic acid, [[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]sulfonyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



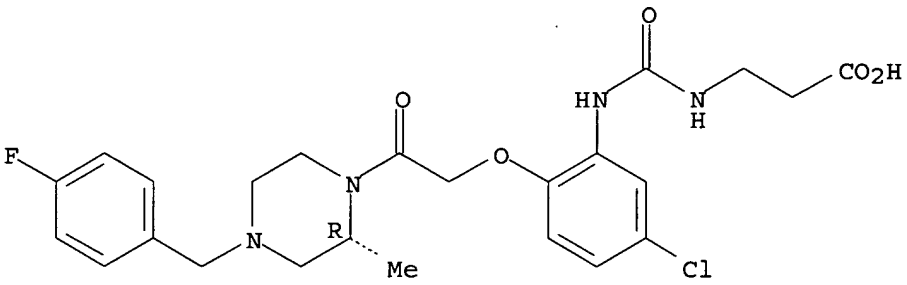
RN 519174-76-6 HCAPLUS
CN Acetic acid, [[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]sulfonyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



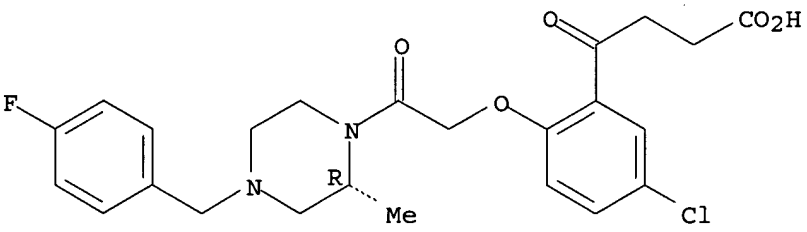
RN 519175-34-9 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 519175-35-0 HCAPLUS
CN Benzenebutanoic acid, 5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]-γ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 5 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:387265 HCAPLUS
DOCUMENT NUMBER: 140:391297
TITLE: Preparation of piperazine derivatives as CCR1 antagonists
INVENTOR(S): Blumberg, Laura Cook; Brown, Matthew Frank; Gaweco, Anderson See; Gladue, Ronald Paul; Hayward, Matthew Merrill; Lundquist, Gregory Dean; Poss, Christopher Stanley; Shavnya, Andre
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: PCT Int. Appl., 131 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039376	A1	20040513	WO 2003-IB4612	20031020

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-422590P P 20021030
OTHER SOURCE(S): MARPAT 140:391297
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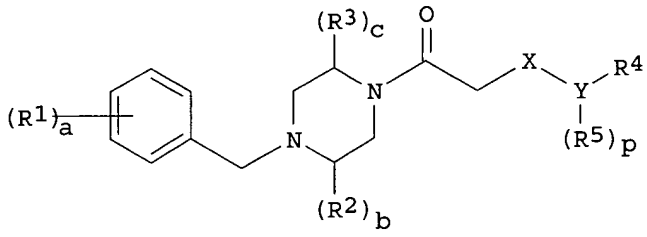
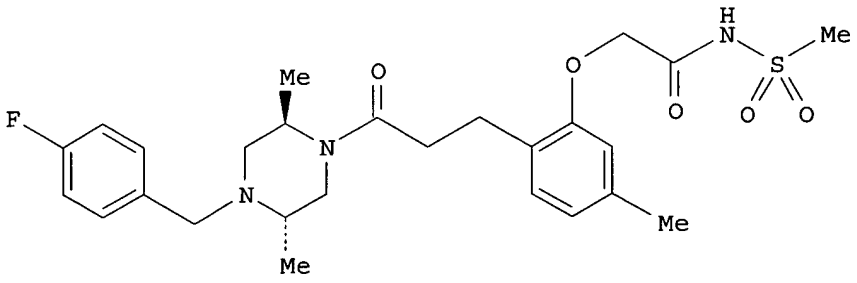


I



II

AB Title compds. I [a = 0-5; b,c = 0-2; p = 0-4; X = O, S, CH2, (un)substituted amino; Y = (hetero)aryl; R1 = H, OH, halo, alkyl, alkoxy, etc.; R2-3 = H, oxo, (cyclo)alkyl, aryl, etc.; R4 = alkyl, etc.; R5 = H, OH, halo, CN, etc.] are prepared For instance, (2R,5S)-1-(4-fluorobenzyl)-2,5-dimethylpiperazine (preparation given) is reacted with 7-methylchroman-2-

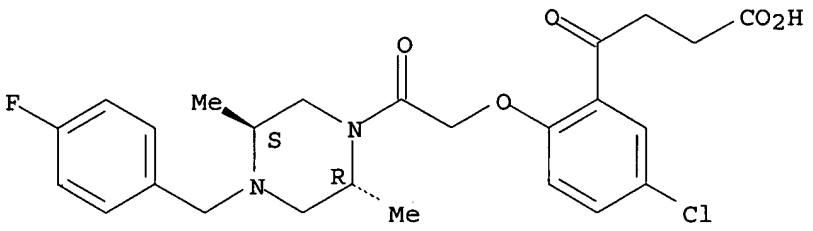
one (PhMe, reflux 48 h), the resulting propanone treated with bromoacetic acid Me ester (THF, NaH) and the ester saponified to give II. All example compds. have IC50 < 10 μM in the chemotaxis assay. I are useful for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the CCR1 receptor in a mammal.

IT **519171-87-0P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)

RN 519171-87-0 HCAPLUS

CN Benzenebutanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-γ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

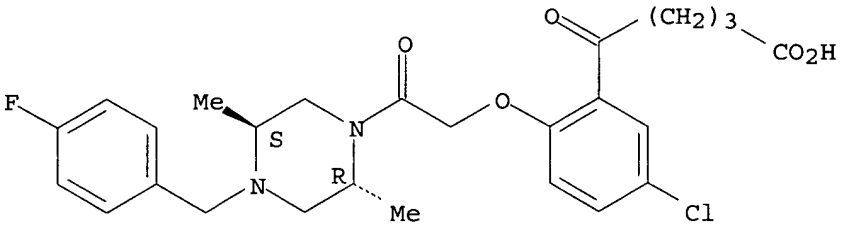


IT **519172-90-8P**, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-5-oxopentanoic acid **519173-17-2P**, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]oxoacetic acid **519173-72-9P 519173-73-0P 519173-74-1P 519173-75-2P 519174-44-8P 519174-74-4P**, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenylmethanesulfonylamino]oxoacetic acid **519174-76-6P**, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenylmethanesulfonylamino]oxoacetic acid **519175-35-0P**, (R)-4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-4-oxobutanoic acid **688031-94-9P**, (R)-3-[N'-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid hydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)

RN 519172-90-8 HCAPLUS

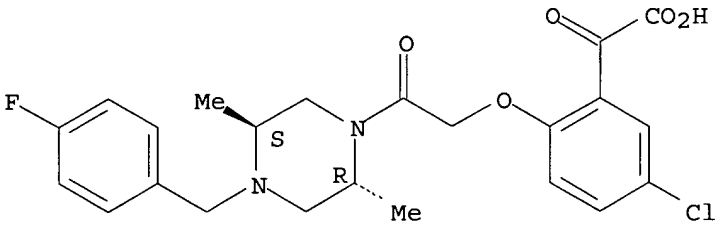
CN Benzenepentanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-δ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



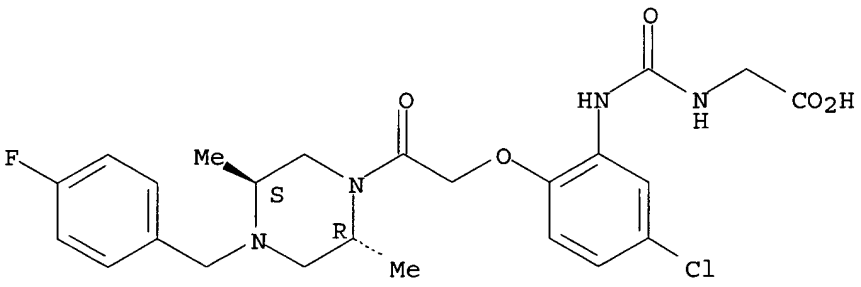
RN 519173-17-2 HCAPLUS
CN Benzeneacetic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-α-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



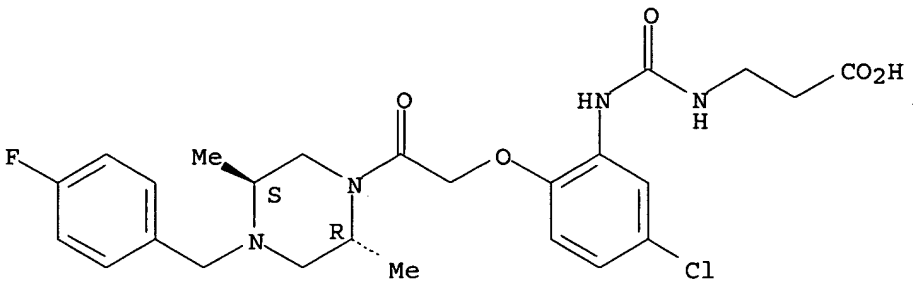
RN 519173-72-9 HCAPLUS
CN Glycine, N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



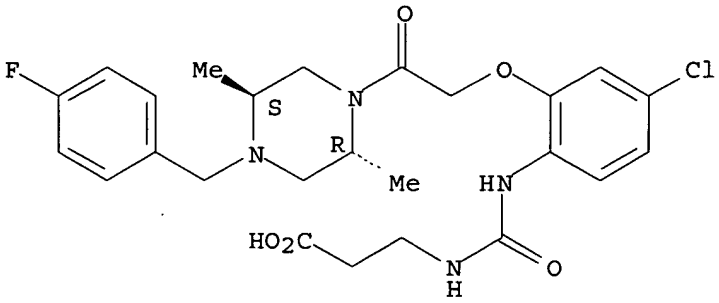
RN 519173-73-0 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



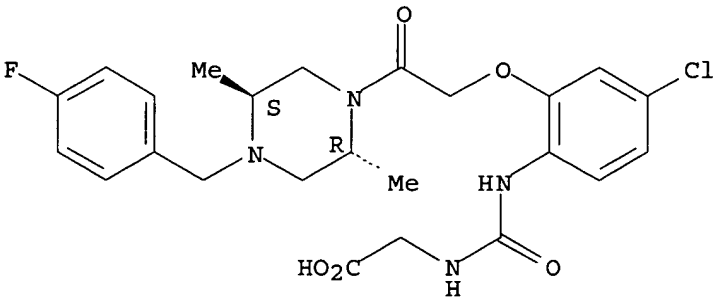
RN 519173-74-1 HCAPLUS
CN β-Alanine, N-[[[4-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



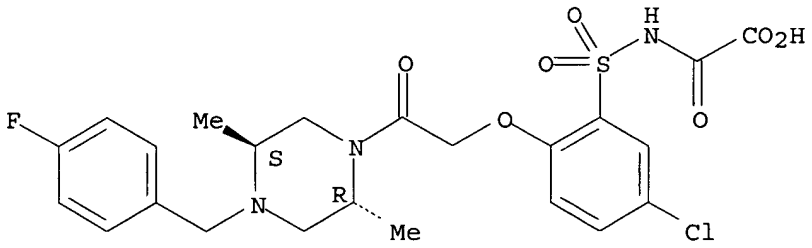
RN 519173-75-2 HCAPLUS
CN Glycine, N-[[[4-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



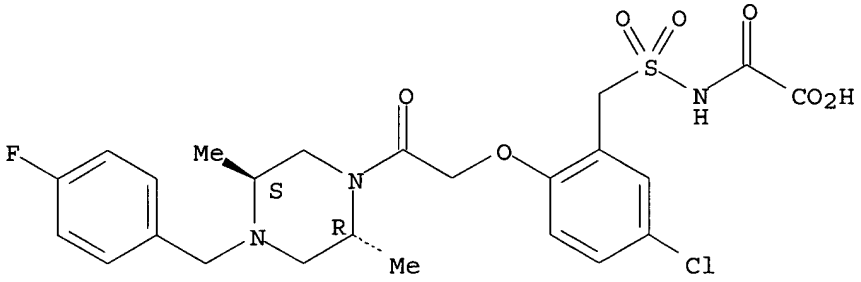
RN 519174-44-8 HCAPLUS
CN Acetic acid, [[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]sulfonyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



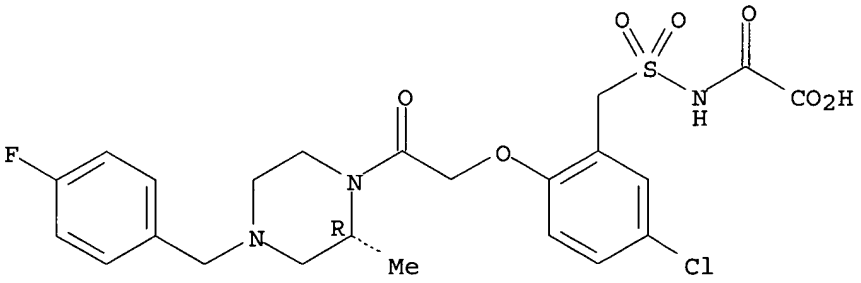
RN 519174-74-4 HCAPLUS
CN Acetic acid, [[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]sulfonyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



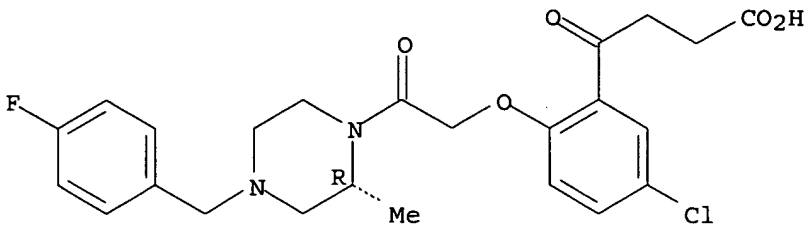
RN 519174-76-6 HCAPLUS
CN Acetic acid, [[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]sulfonyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



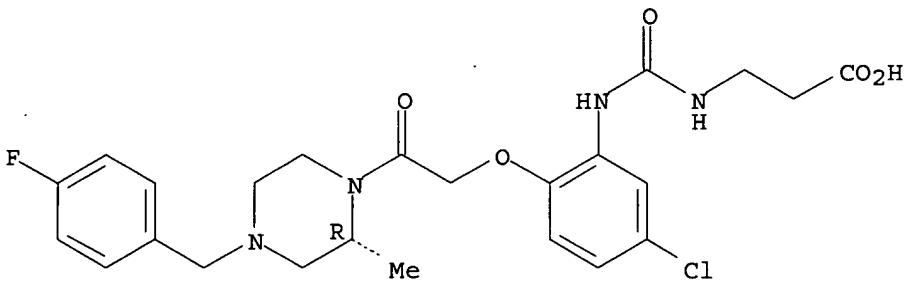
RN 519175-35-0 HCAPLUS
CN Benzenebutanoic acid, 5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]-γ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 688031-94-9 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-, monohydrochloride (9CI) (CA INDEX NAME)

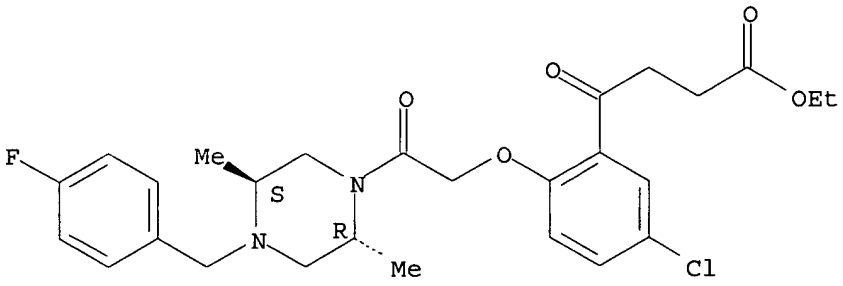
Absolute stereochemistry.



● HCl

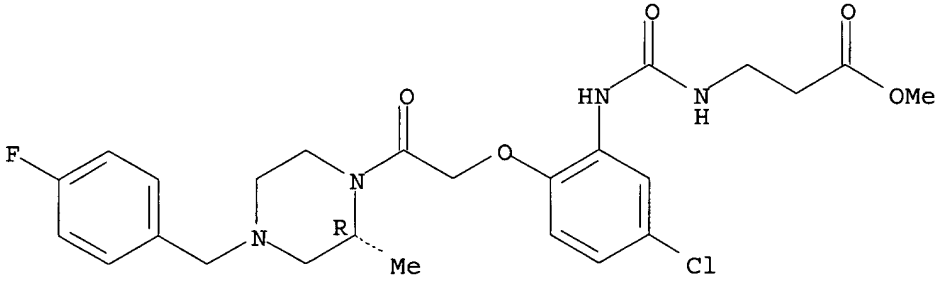
IT **519171-88-1P 519171-91-6P**, (R)-3-[N'-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid methyl ester **519172-23-7P**, Acetic acid 2-[[[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzene]sulfonyl]amino]-1,1-dimethyl-2-oxoethyl ester **519172-31-7P**, Acetic acid 2-[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl-2-oxoethoxy]phenylmethanesulfonyl]amino]-1,1-dimethyl-2-oxoethyl ester **519172-93-1P**, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-5-oxopentanoic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted N-acylpiperazine derivs. as CCR1 antagonists)
RN 519171-88-1 HCAPLUS
CN Benzenebutanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-γ-oxo-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



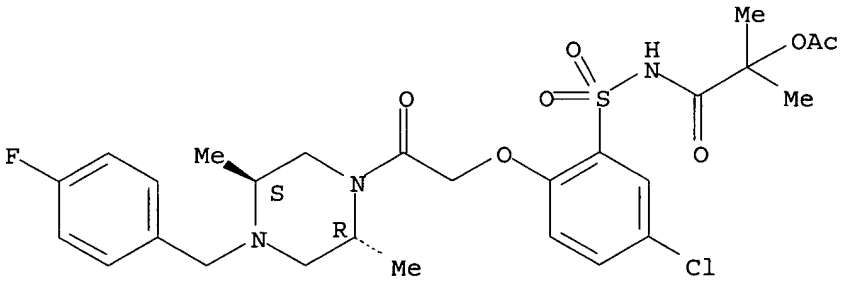
RN 519171-91-6 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



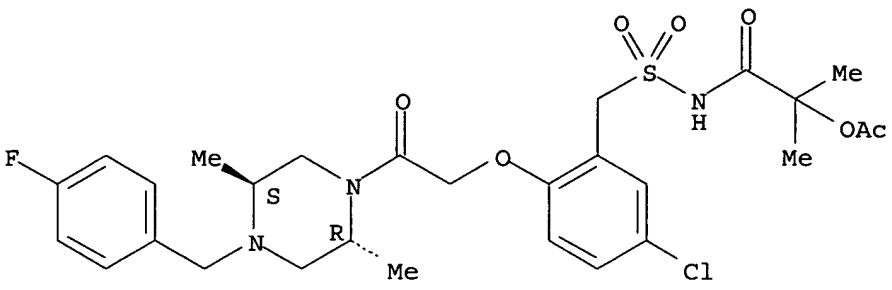
RN 519172-23-7 HCAPLUS
CN Propanamide, 2-(acetyloxy)-N-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



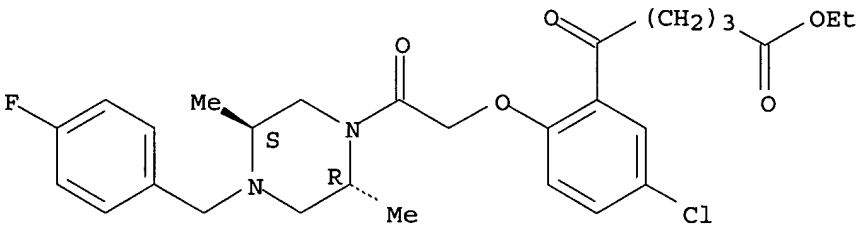
RN 519172-31-7 HCAPLUS
CN Propanamide, 2-(acetyloxy)-N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 519172-93-1 HCAPLUS
CN Benzenepentanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-δ-oxo-, ethyl ester (9CI) (CA INDEX NAME)

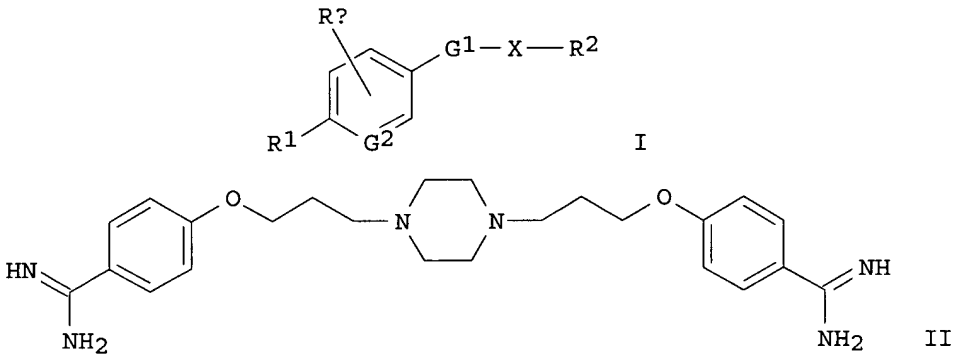
Absolute stereochemistry.



L12 ANSWER 6 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:719439 HCAPLUS
DOCUMENT NUMBER: 139:245783
TITLE: Preparation of arylamidine derivatives as fungicides
INVENTOR(S): Hayashi, Kazuya; Ojima, Katsuji; Hori, Kozo; Okujo, Hiroyuki; Mitsuyama, Junichi; Kunitani, Kazuto; Tohdo, Keisuke
PATENT ASSIGNEE(S): Toyama Chemical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074476	A1	20030912	WO 2003-JP2506	20030304
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2477212	AA	20030912	CA 2003-2477212	20030304

EP 1481966 A1 20041201 EP 2003-743600 20030304
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
BR 2003008207 A 20041221 BR 2003-8207 20030304
PRIORITY APPLN. INFO.: JP 2002-60618 A 20020306
WO 2003-JP2506 W 20030304
OTHER SOURCE(S): MARPAT 139:245783
GI



AB The title arylamidine derivs. with general formula of I [wherein X = (un)substituted alkylene or alkenylene; G1 = O, S, or imino; G2 = CH or N; Ra = H, halo, (un)substituted alkyl, cycloalkyl, or alkoxy; R1 = (un)substituted amidino; R2 = (un)substituted NH2, etc.] and salts thereof are prepared as fungicides. For example, the compound II•xHCl was prepared in a multi-step synthesis. II showed IC50 of 0.0039 μg/mL against synthetic amino acid medium fungal (SAAMF) in agar.

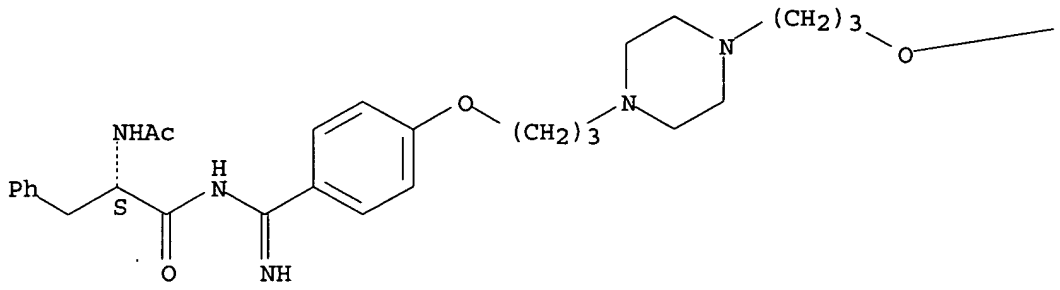
IT **596809-41-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of arylamidine derivs. as fungicides)

RN 596809-41-5 HCAPLUS

CN Benzenepropanamide, N,N'-[1,4-piperazinediylbis(3,1-propanediyloxy-4,1-phenylenecarbonimidoyl)]bis[α-(acetylamino)-, hydrochloride, (αS,α'S)- (9CI) (CA INDEX NAME)

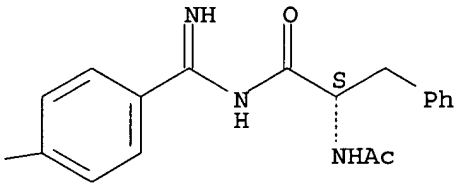
Absolute stereochemistry.

PAGE 1-A



●x HCl

PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:709941 HCAPLUS
DOCUMENT NUMBER: 140:192128
TITLE: Coincubation of tissue slices, a new way to study metabolic cooperation between organs: Hepatorenal cooperation in the biotransformation of CGP 47 969 A
AUTHOR(S): Kretz, O.; Guenat, C.; Beilstein, P.; Gross, G.
CORPORATE SOURCE: PCS-Europe ADME, Novartis Pharma, Basel, CH-4002, Switz.
SOURCE: Journal of Pharmacological and Toxicological Methods (2002), 48(2), 119-126
CODEN: JPTMEZ; ISSN: 1056-8719
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB There is limited information on in vitro/ex vivo tools to be used for studying interorgan metabolic cooperation. We report here the use of the tissue slice technique for this purpose. Rat liver and kidney slices were used to study metabolic cooperation for the metabolism of CGP 47 969, a potential anti-inflammatory compound which in vivo is extensively conjugated with glutathione and subsequently degraded via the mercapturic acid

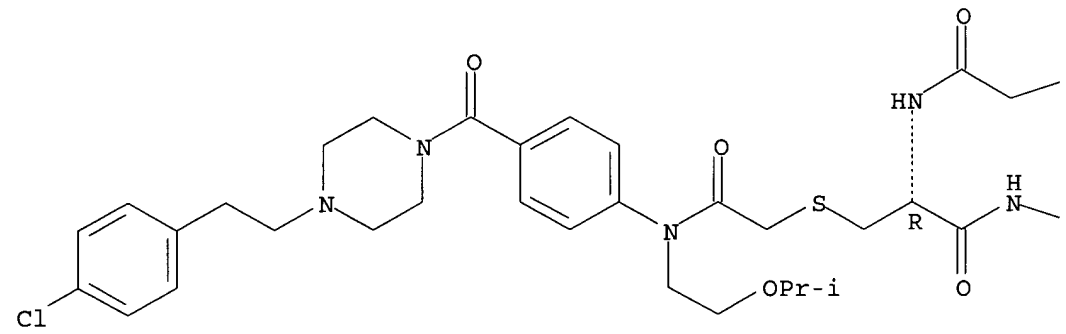
pathway. Upon incubation with liver slices, CGP 47 969 was extensively conjugated with GSH while degradation of the GSH conjugate was moderate. Upon incubation with kidney slices, conjugation of CGP 47 969 with GSH was moderate but degradation of the GSH conjugate was complete. Upon coincubation of CGP 47 969 with liver and kidney slices, both conjugation with GSH and its subsequent degradation were almost complete. Thus, coincubation of liver and kidney slices permitted the efficient in vitro reproduction of the complete biotransformation of CGP 47 969 via its GSH conjugate to the ultimate mercapturic acid metabolite in a one step procedure. This novel slice coincubation culture could serve as an in vitro model for interorgan cooperation in multistep metabolic processing.

IT **160580-45-0 160580-46-1 160580-47-2**
160580-50-7 160580-54-1 663173-96-4
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(coincubation of tissue slices, a new way to study metabolic cooperation between organs: hepatorenal cooperation in the biotransformation of CGP 47 969 A)

RN 160580-45-0 HCAPLUS
CN Glycine, N-[S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-N-L-γ-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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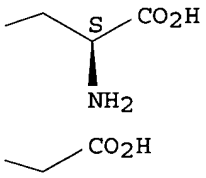

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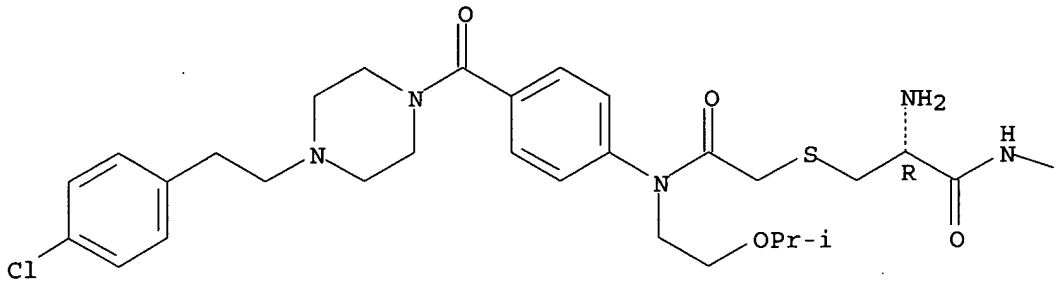
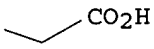
CN Glycine, N-[S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



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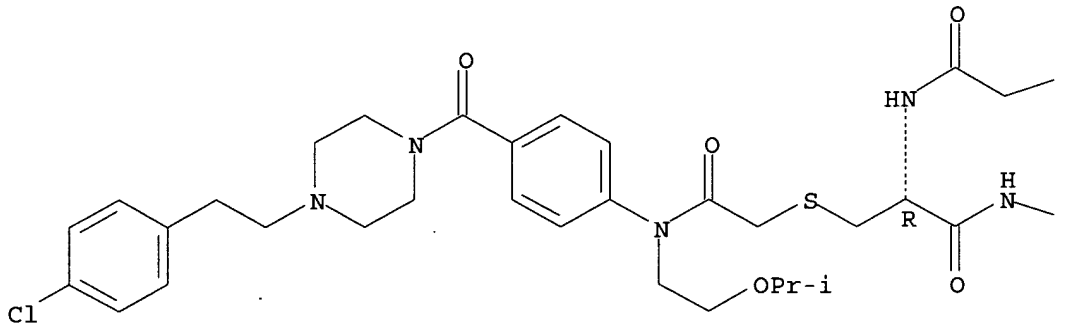
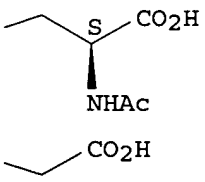
CN Glycine, N-[N-(N-acetyl-L-γ-glutamyl)-S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



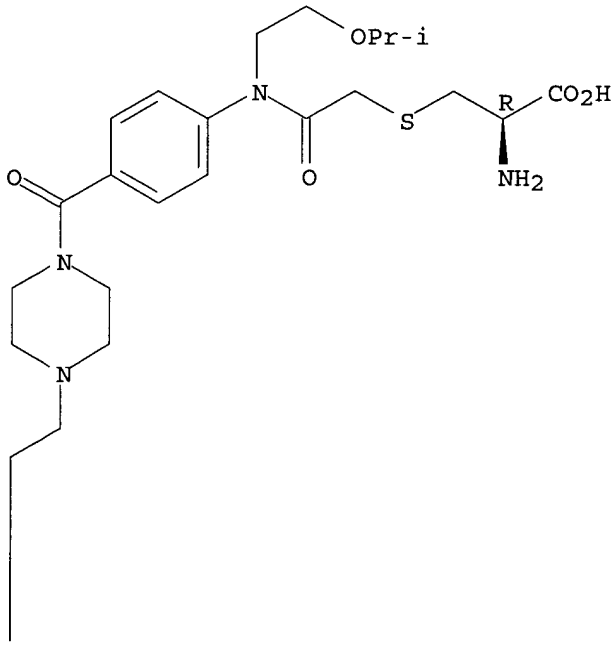
PAGE 1-B



RN 160580-50-7 HCAPLUS
CN L-Cysteine, S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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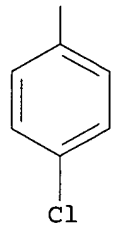
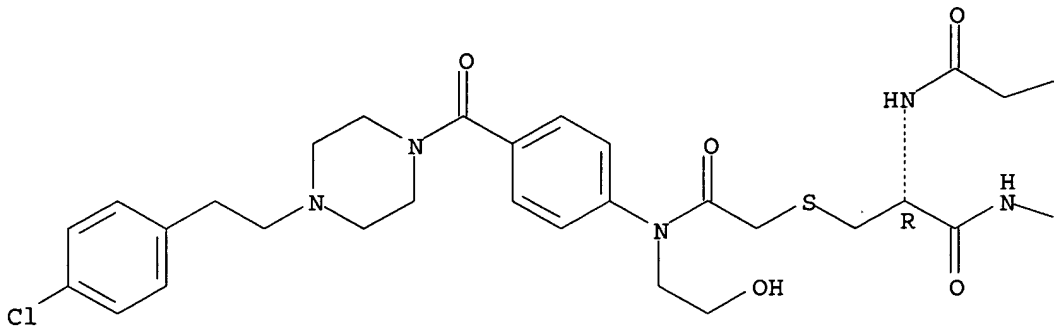


RN 160580-54-1 HCAPLUS
CN Glycine, N-[S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl](2-hydroxyethyl)amino]-2-oxoethyl]-N-L-γ-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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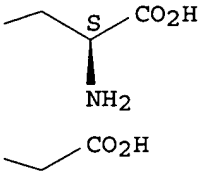
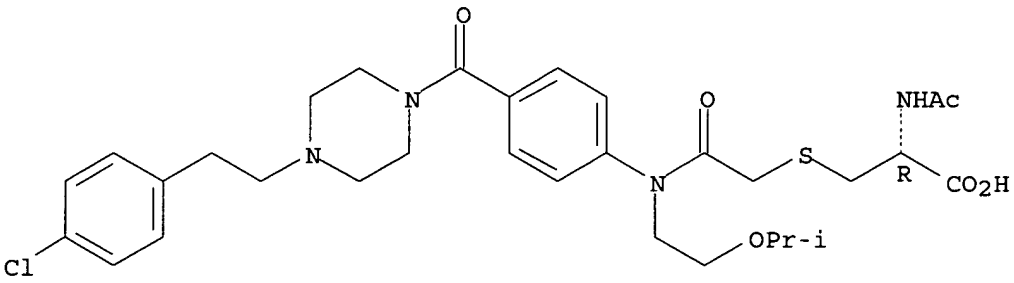


RN 663173-96-4 HCAPLUS
CN L-Cysteine, N-acetyl-S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 8 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:335088 HCAPLUS
DOCUMENT NUMBER: 138:354006
TITLE: Preparation of piperazine derivatives with CCR1 receptor antagonist activity
INVENTOR(S): Blumberg, Laura Cook; Brown, Matthew Frank; Hayward, Matthew Merrill; Poss, Christopher Stanley; Lundquist, Gregory Dean, Jr.; Shavnya, Andrei
PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 139 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003035627	A1	20030501	WO 2002-IB3989		20020926
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW					
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EP 1438298	A1	20040721	EP 2002-772651		20020926
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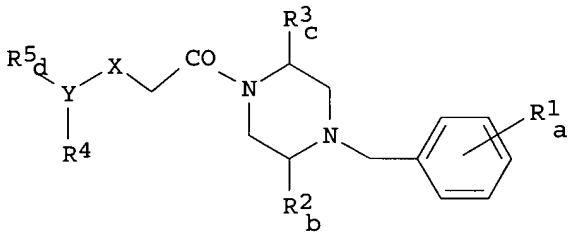
BR 2002013452	A	20041109	BR 2002-13452		20020926
JP 2005507923	T2	20050324	JP 2003-538143		20020926
US 2004034034	A1	20040219	US 2002-273658		20021018
PRIORITY APPLN. INFO.:			US 2001-338601P	P	20011022
			WO 2002-IB3989	W	20020926

OTHER SOURCE(S): MARPAT 138:354006
GI



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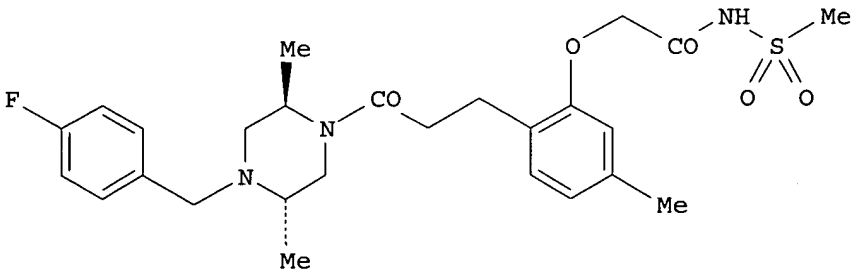


II

AB The present invention relates to piperazine derivs. (shown as I; variables defined below; e.g. N-[[2-[3-[4-(4-fluorobenzyl)-(2R,5S)-2,5-

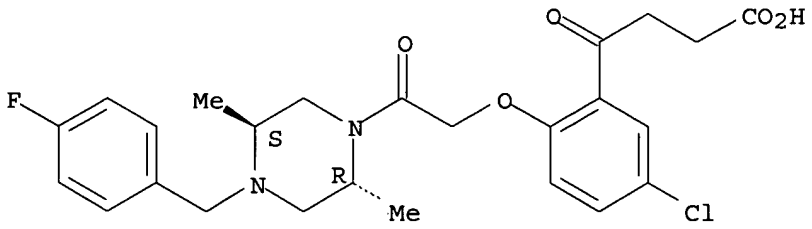
dimethylpiperazin-1-yl]-3-oxopropyl]-5-methylphenoxy]acetyl]methanesulfonamide (shown as II)) and the pharmaceutically acceptable forms thereof. Moreover, the present invention is also directed at pharmaceutical compns. comprising a compound I and a pharmaceutically acceptable carrier. Furthermore, the present invention is directed at methods of using the herein described compds. and compns. for treating or preventing a disorder or condition that can be treated or prevented by antagonizing the 15 CCR1 receptor in a mammal. For I: a = 0-5; b = 0-2; c = 0-2; d = 0-4; X = O, S, CH2, or NR6; Y = (C6-C10)aryl or (C2-C9)heteroaryl; each R1 = H, HO, halo, (C1-C8)alkyl, (C1-C8)alkylO, HO(C1-C8)alkyl, NC, H2N, H2N(C1-C8)alkyl, HO2C, (C1-C8)alkylC(O), (C1-C8)alkylC(O)(C1-C8)alkyl, H2NC(O), or H2NC(O)(C1-C8)alkyl. Each R2 and R3 = H, oxo, (C1-C8)alkyl, (C3-C8)cycloalkyl(C1-C8)alkyl, (C6-C10)aryl, etc. R4 = (HO2C)(H2N)(C1-C8)alkyl, (HO2C)[[(C1-C8)alkyl]NH](C1-C8)alkyl, (HO2C)[[(C1-C8)alkyl]2N](C1-C8)alkyl, etc.; R5 = H, HO, halo, NC, HO2C, H2N, (C1-C8)alkylNH, [(C1-C8)alkyl]2N, etc.; R6 = H, (C1-C8)alkyl, (C1-C8)alkylC(O), (C6-C10)arylC(O), (C2-C9)heteroarylC(O), H2NC(O), (C1-C8)alkylNHC(O), [(C1-C8)alkyl]2NC(O), (C1-C8)alkylOC(O), or (C1-C8)alkylSO2; addnl. details are given in the claims. Although the methods of preparation are not claimed, 47 example prepns. and characterization data (mass spectral parent ion mass) for 259 examples of I are included. I are potent and selective inhibitors of MIP-1α (CCL3) binding to its receptor CCR1 found on inflammatory and immunomodulatory cells (preferably leukocytes and lymphocytes). These compds. also inhibit MIP-1α (and the related chemokines shown to interact with CCR1)-induced chemotaxis of THP-1 cells and human leukocytes. All I in the examples had IC50 of <10 μM in the MIP-1α-induced chemotaxis assay.

IT **519171-87-0P**, 4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-4-oxobutyric acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of piperazine derivs. with CCR1 receptor antagonist activity)

RN 519171-87-0 HCAPLUS

CN Benzenebutanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-γ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

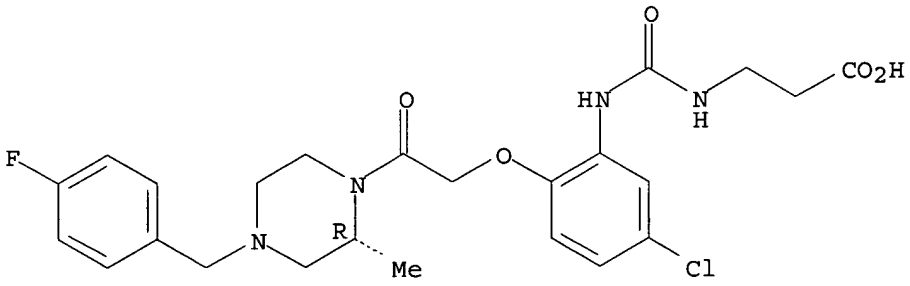


IT **519171-89-2P**, 3-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid hydrochloride **519172-90-8P**, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-5-oxopentanoic acid **519173-17-2P**, [5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]oxoacetic acid **519173-72-9P**, [3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-

dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]acetic acid **519173-73-0P**, 3-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid **519173-74-1P**, 3-[3-[4-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid **519173-75-2P**, [3-[4-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]acetic acid **519174-44-8P**, [[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzenesulfonyl]amino]oxoacetic acid **519174-74-4P**, [[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonyl]amino]oxoacetic acid **519174-76-6P**, [[[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonyl]amino]oxoacetic acid **519175-34-9P**, 3-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid **519175-35-0P**, 4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]-4-oxobutyric acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of piperazine derivs. with CCR1 receptor antagonist activity)

RN 519171-89-2 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-, hydrochloride (9CI) (CA INDEX NAME)

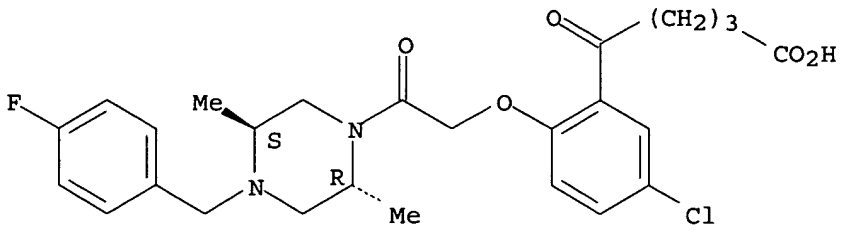
Absolute stereochemistry.



●x HCl

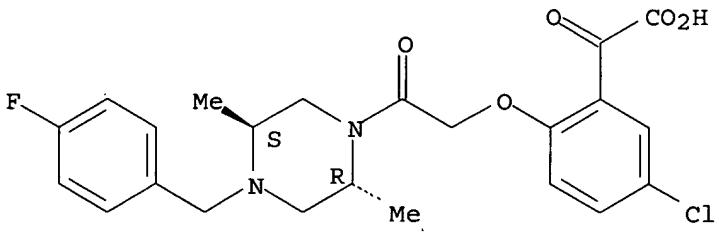
RN 519172-90-8 HCAPLUS
CN Benzenepentanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-δ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



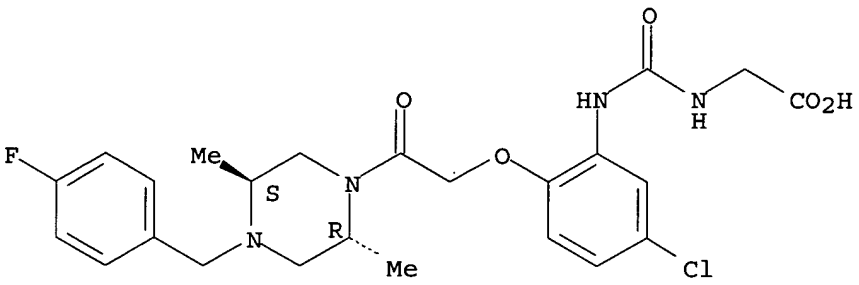
RN 519173-17-2 HCAPLUS
CN Benzeneacetic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-α-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



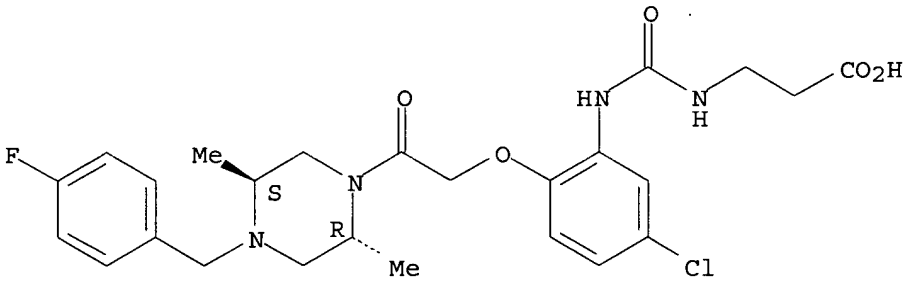
RN 519173-72-9 HCAPLUS
CN Glycine, N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



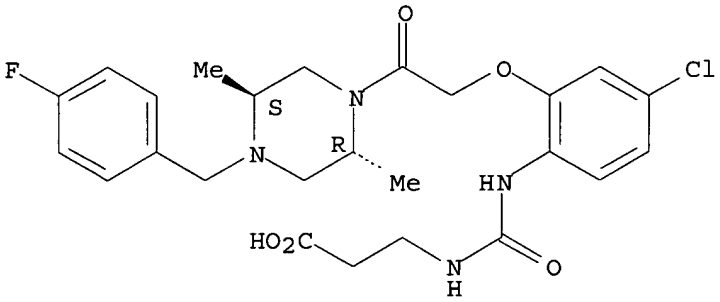
RN 519173-73-0 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



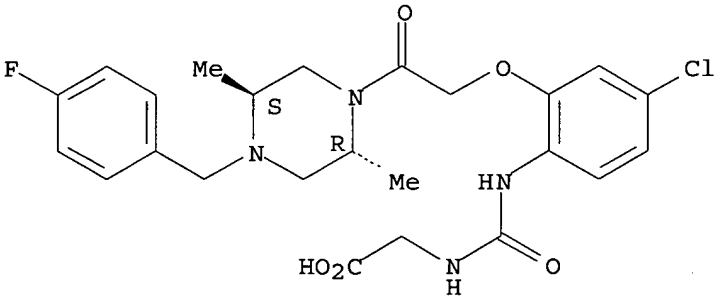
RN 519173-74-1 HCAPLUS
CN β-Alanine, N-[[[4-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



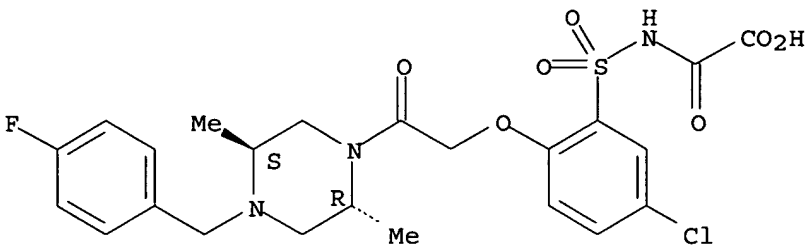
RN 519173-75-2 HCAPLUS
CN Glycine, N-[[[4-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



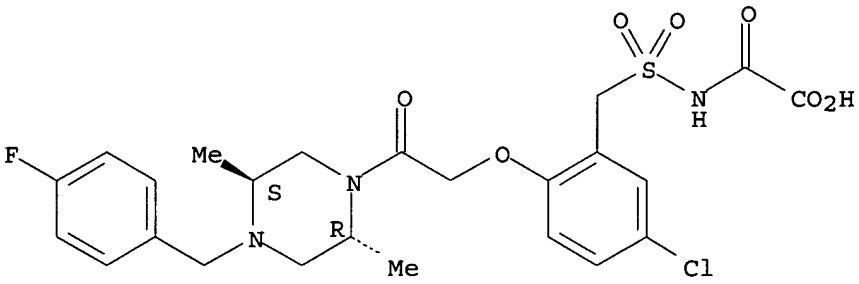
RN 519174-44-8 HCAPLUS
CN Acetic acid, [[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]sulfonyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



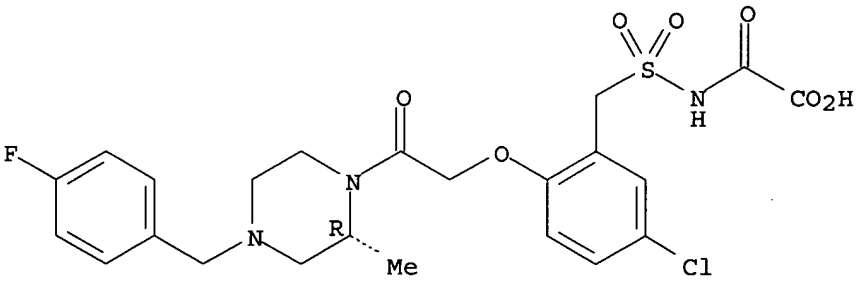
RN 519174-74-4 HCAPLUS
CN Acetic acid, [[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]sulfonyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



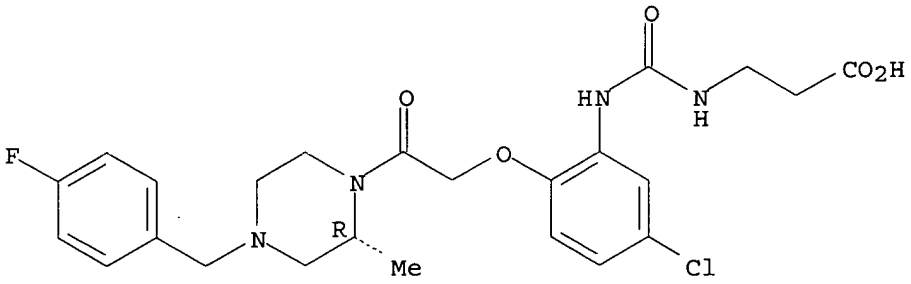
RN 519174-76-6 HCAPLUS
CN Acetic acid, [[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]sulfonyl]amino]oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



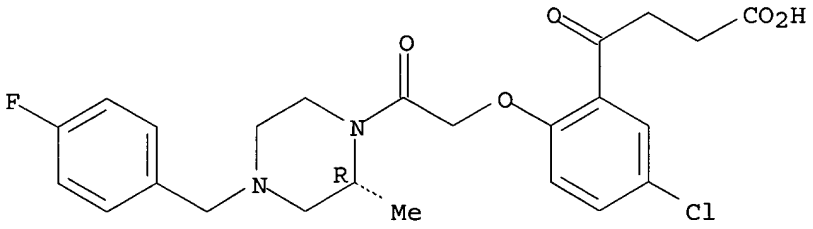
RN 519175-34-9 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



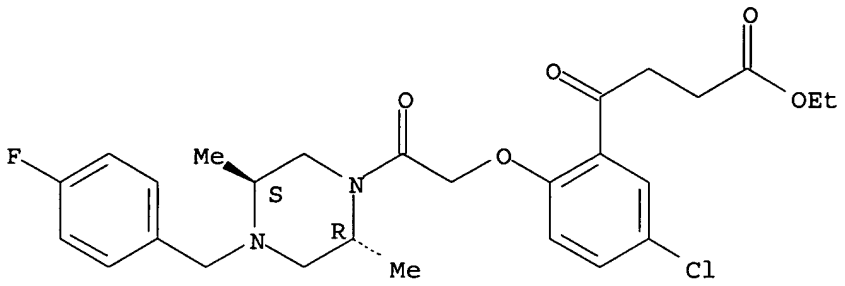
RN 519175-35-0 HCAPLUS
CN Benzenebutanoic acid, 5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]-γ-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



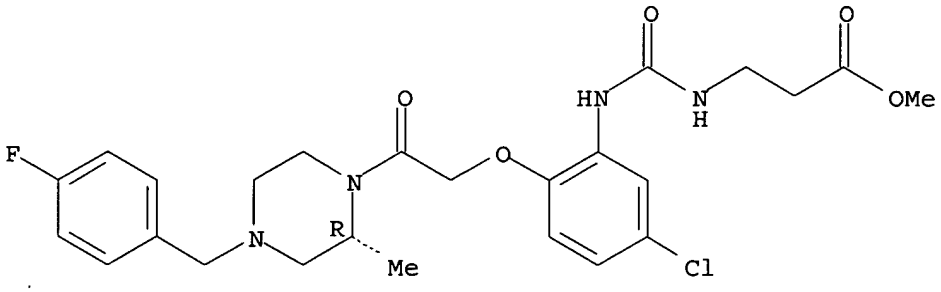
IT **519171-88-1P**, 4-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-4-oxobutyric acid ethyl ester **519171-91-6P**, 3-[3-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R)-2-methylpiperazin-1-yl]-2-oxoethoxy]phenyl]ureido]propionic acid methyl ester **519172-23-7P**, Acetic acid 2-[[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]benzenesulfonyl]amino]-1,1-dimethyl-2-oxoethyl ester **519172-31-7P**, Acetic acid 2-[[[5-chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]methanesulfonyl]amino]-1,1-dimethyl-2-oxoethyl ester **519172-93-1P**, 5-[5-Chloro-2-[2-[4-(4-fluorobenzyl)-(2R,5S)-2,5-dimethylpiperazin-1-yl]-2-oxoethoxy]phenyl]-5-oxopentanoic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazine derivs. with CCR1 receptor antagonist activity)
RN 519171-88-1 HCAPLUS
CN Benzenebutanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-γ-oxo-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



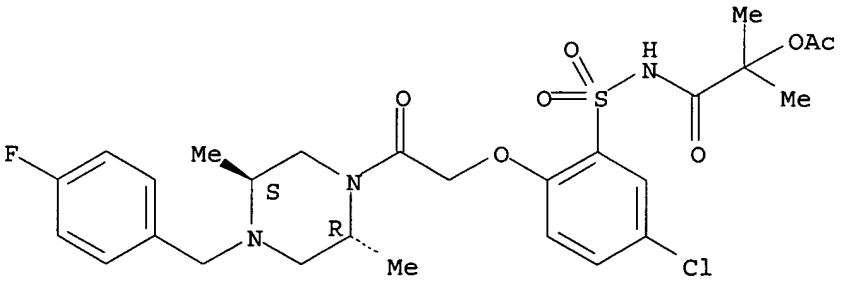
RN 519171-91-6 HCAPLUS
CN β-Alanine, N-[[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



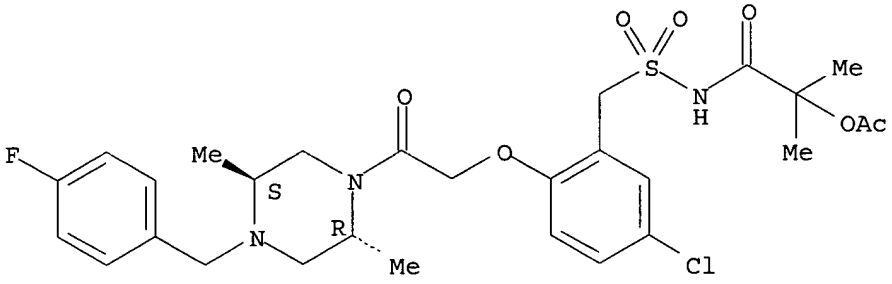
RN 519172-23-7 HCAPLUS
CN Propanamide, 2-(acetyloxy)-N-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



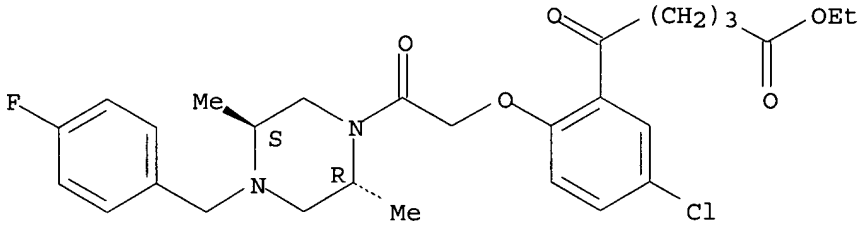
RN 519172-31-7 HCAPLUS
CN Propanamide, 2-(acetyloxy)-N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]sulfonyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 519172-93-1 HCAPLUS
CN Benzenepentanoic acid, 5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-δ-oxo-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

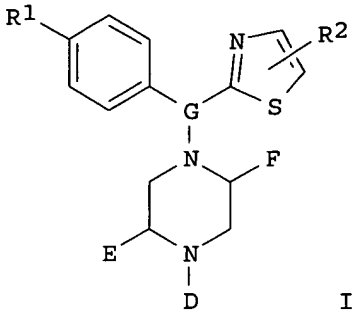


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:62666 HCAPLUS
DOCUMENT NUMBER: 138:89825
TITLE: Preparation of thiazolyl-benzyl-piperazines for treating gastrointestinal disorders
INVENTOR(S): Maw, Graham Nigel
PATENT ASSIGNEE(S): Pfizer Limited, UK
SOURCE: Brit. UK Pat. Appl., 52 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
GB 2374074	A1	20021009	GB 2002-3197		20020211
PRIORITY APPLN. INFO.:			GB 2001-5098	A	20010301

OTHER SOURCE(S): MARPAT 138:89825
GI



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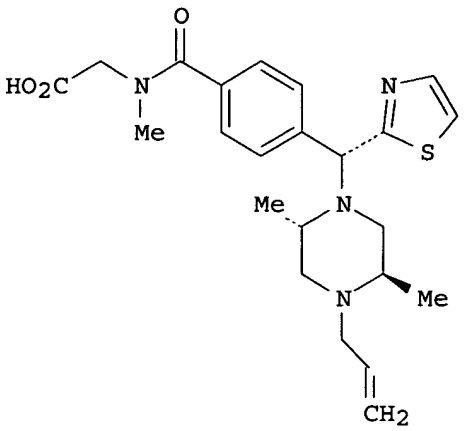


II

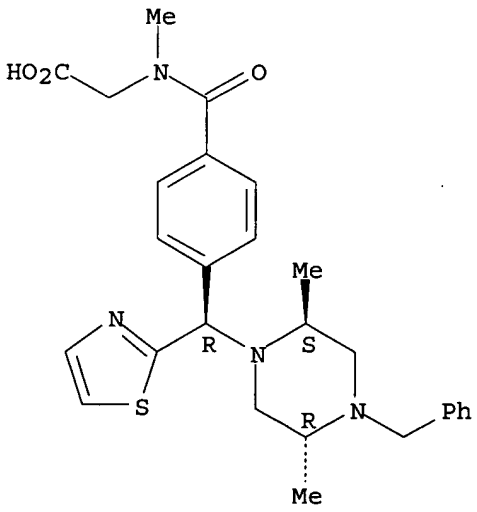
AB Thiazolyl-benzyl-piperazines [I; wherein G = C(H), C((C1-C4)alkyl); D = H, (C1-C10)alkyl, aryl; E, F, independently = H, Me; R1 = CO-R3 (wherein R3 is the residue of an optionally N-alkyl or -aryl substituted amino acid or a biolabile ester), tetrazolyl; R2 = H, (C1-C10)alkyl, aryl] were prepared For example, 2-[[4-[[R]-[[2S,5R]-4-allyl-2,5-dimethylpiperazinyl]-[1,3-thiazol-2-yl]methyl]benzoyl][methyl]amino]acetic acid (II) was prepared in several steps. The prepared compds. are agonists for the δ-opioid receptor and, thus, are useful in the treatment of gastrointestinal disorders. For example, compound II showed MVD pIC50 = 8.90 against the δ-opioid receptor.

IT **482604-53-5P 482604-54-6P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolyl-benzyl-piperazines for treating gastrointestinal disorders)

RN 482604-53-5 HCAPLUS

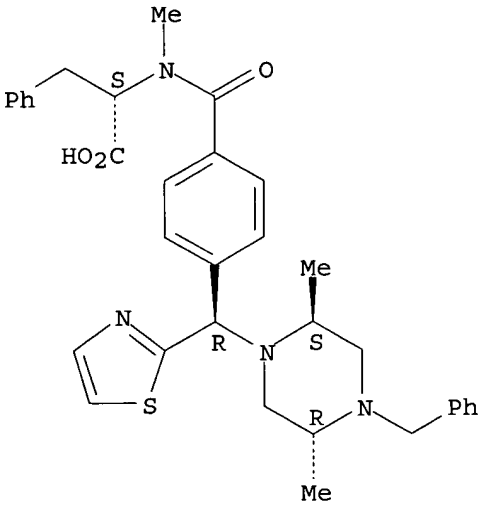
CN Glycine, N-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]-2-thiazolylmethyl]benzoyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 482604-54-6 HCAPLUS
CN L-Phenylalanine, N-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]-2-thiazolylmethyl]benzoyl]-N-methyl- (9CI) (CA INDEX NAME)

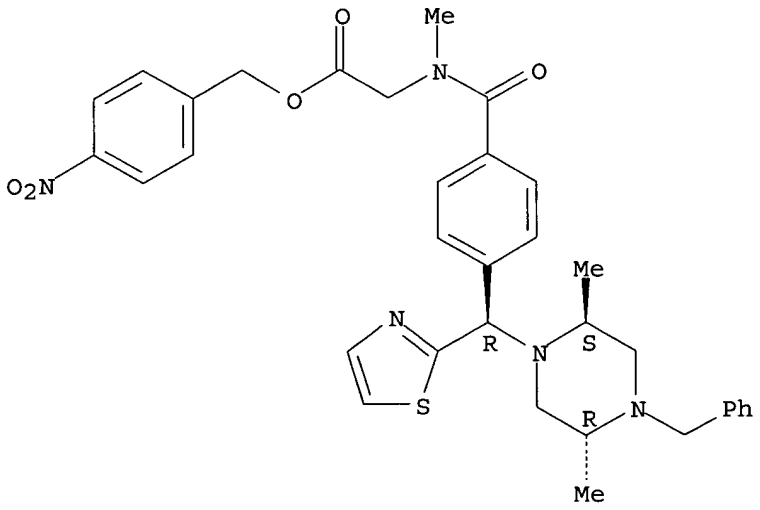
Absolute stereochemistry.



IT **482604-70-6P 482604-71-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolyl-benzyl-piperazines for treating gastrointestinal disorders)

RN 482604-70-6 HCAPLUS
CN Glycine, N-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]-2-thiazolylmethyl]benzoyl]-N-methyl-, (4-nitrophenyl)methyl ester (9CI) (CA INDEX NAME)

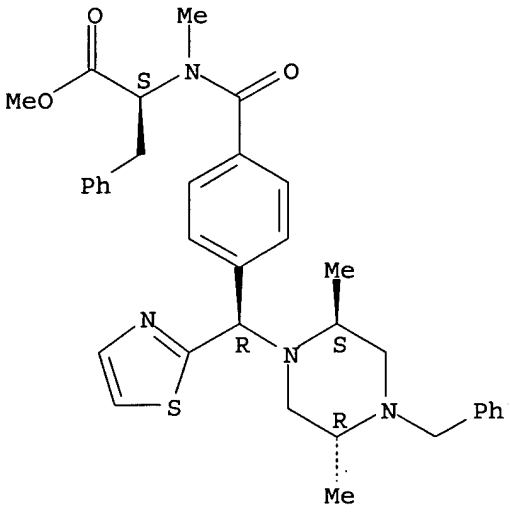
Absolute stereochemistry.



RN 482604-71-7 HCAPLUS

CN L-Phenylalanine, N-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl]-2-thiazolylmethyl]benzoyl]-N-methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 10 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:964345 HCAPLUS
DOCUMENT NUMBER: 138:24952
TITLE: Preparation of novel amino nitriles useful as reversible inhibitors of cysteine proteases
INVENTOR(S): Hickey, Eugene R.; Bekkali, Younes; Patel, Usha R.; Spero, Denice M.; Thomson, David S.; Young, Erick R. R.
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 223 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100849	A2	20021219	WO 2002-US17590	20020605
WO 2002100849	A3	20031016		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2003119827	A1	20030626	US 2002-163015	20020604
CA 2449192	AA	20021219	CA 2002-2449192	20020605

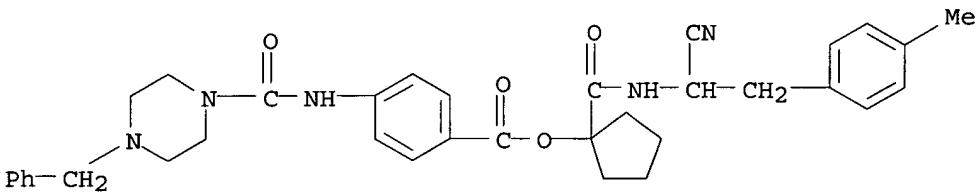
EP 1399431 A2 20040324 EP 2002-741825 20020605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2005501017 T2 20050113 JP 2003-503617 20020605
PRIORITY APPLN. INFO.: US 2001-296863P P 20010608
WO 2002-US17590 W 20020605

OTHER SOURCE(S): MARPAT 138:24952

AB Novel nitrile compds. YCO2CR2R3C(:X)NR6CR4R5CN [Y = R1, R1O, R1S, R12N, R13C, where R1 = H, (un)substituted (cyclo)alkyl, aryl, benzyl, tetrahydronaphthyl, indenyl, indanyl, alkylsulfonylalkyl, cycloalkylsulfonylalkyl, arylsulfonylalkyl, heterocyclyl, or heteroaryl; R2-R5 = H, (un)substituted (cyclo)alkyl, aryl, etc. or CR2R3 and CR4R5 may form rings; R6 = H, OH, or (cyclo)alkyl; X = O or S (with provisos)] or their pharmaceutically-acceptable derivs. were prepared as reversible inhibitors of cysteine proteases such as cathepsin K, S, F, L and B for treating diseases and pathol. conditions exacerbated by these proteases such as osteoporosis, rheumatoid arthritis, multiple sclerosis, asthma and other autoimmune diseases, Alzheimer's disease, and atherosclerosis. Thus, morpholine-4-carboxylic acid 1-[[(benzyloxymethyl)cyanomethyl]carbamoyl]-3-methylbutyl ester was prepared from N-(tert-butoxycarbonyl)-O-benzyl-L-serine, 2-Hydroxyisocaproic acid, and 4-morpholinecarbonyl chloride.

IT **478280-25-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel amino nitriles as reversible inhibitors of cysteine proteases)

RN 478280-25-0 HCAPLUS
CN Benzoic acid, 4-[[[4-(phenylmethyl)-1-piperazinyl]carbonyl]amino]-, 1-[[[1-cyano-2-(4-methylphenyl)ethyl]amino]carbonyl]cyclopentyl ester (9CI) (CA INDEX NAME)

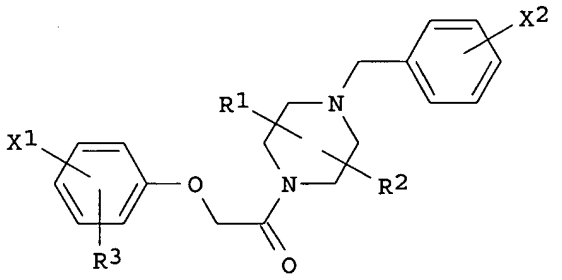


L12 ANSWER 11 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:353444 HCAPLUS
DOCUMENT NUMBER: 136:379069
TITLE: Radiopharmaceuticals for diagnosing Alzheimer's disease
INVENTOR(S): Hilger, Christoph-Stephan; Johannsen, Bernd; Steinbach, Joerg; Maeding, Peter; Halks-Miller, Meredith; Horuk, Richard; Dinter, Harald; Mohan, Raju; Hesselgesser, Joseph E.
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2002036581	A1	20020510	WO 2001-EP12607		20011101
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2424598	AA	20020510	CA 2001-2424598		20011101
AU 2002014034	A5	20020515	AU 2002-14034		20011101
EP 1332138	A1	20030806	EP 2001-982450		20011101
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
EE 200300216	A	20030815	EE 2003-216		20011101
BR 2001015150	A	20031230	BR 2001-15150		20011101
JP 2004513123	T2	20040430	JP 2002-539340		20011101
NZ 525303	A	20041029	NZ 2001-525303		20011101
US 2002131932	A1	20020919	US 2001-985938		20011106
US 6676926	B2	20040113			
BG 107762	A	20040331	BG 2003-107762		20030425
NO 2003002007	A	20030702	NO 2003-2007		20030505
ZA 2003004409	A	20040906	ZA 2003-4409		20030605
US 6872381	B1	20050329	US 2003-626725		20030725
PRIORITY APPLN. INFO.:			US 2000-246299P	P	20001106
			WO 2001-EP12607	W	20011101
			US 2001-985938	A1	20011106

OTHER SOURCE(S): MARPAT 136:379069

GI



I

AB I (X1 and X2 are independently halo; R1 and R2 are independently H or alkyl; R3 = H, amino, alkylamino, aralkylamino, alkylcarbonylamino, alkenylcarbonylamino, glycinamido, ureido, etc.) were prepared and complexed with 99mTc to form complexes that are useful as imaging agents in the early diagnosing Alzheimer's disease. In particular the radiopharmaceuticals of the invention are able to pass through the blood-brain barrier and bind to the CCR1 receptor present in brain tissue of patients having Alzheimer's disease.

IT **422270-36-8P 422270-44-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and complexation with technetium)

RN 422270-36-8 HCAPLUS

CN Glycinamide, N-(2-mercaptoethyl)-N-[2-[(2-mercaptoethyl)amino]-2-oxoethyl]glycyl-N-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

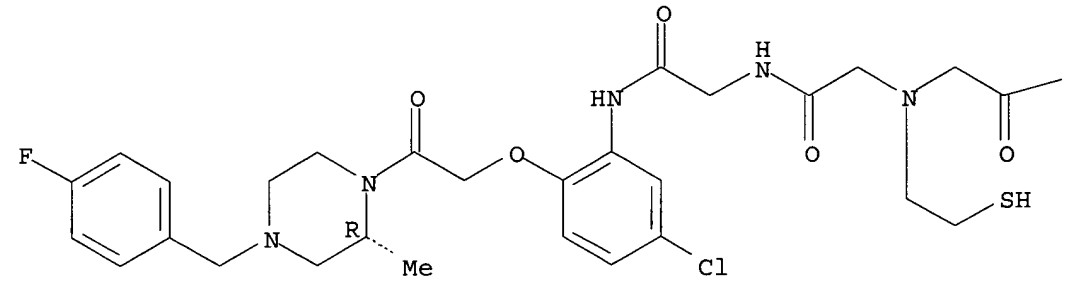
CM 1

CRN 422270-35-7

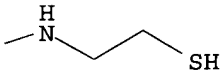
CMF C30 H40 Cl F N6 O5 S2

Absolute stereochemistry.

PAGE 1-A



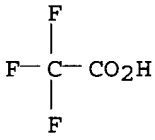
PAGE 1-B



CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 422270-44-8 HCAPLUS

CN Acetamide, 2-[[2-[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl](2-mercaptoethyl)amino]-N-(2-mercaptoethyl)-, bis(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

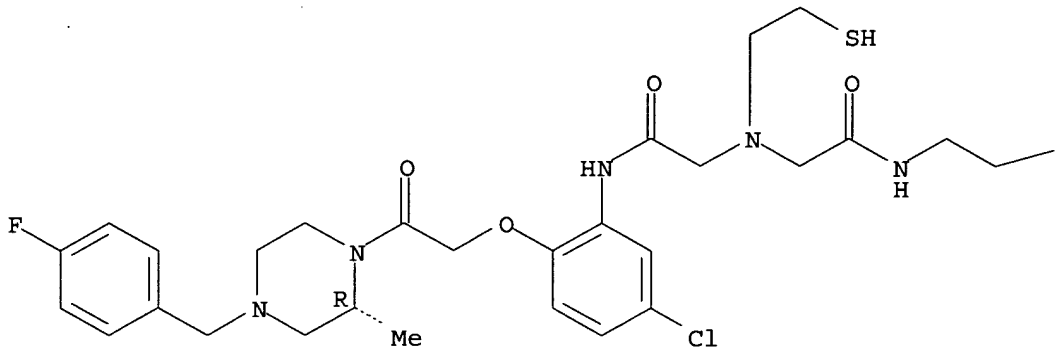
CM 1

CRN 422270-23-3

CMF C28 H37 Cl F N5 O4 S2

Absolute stereochemistry.

PAGE 1-A

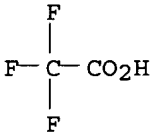


PAGE 1-B

—SH

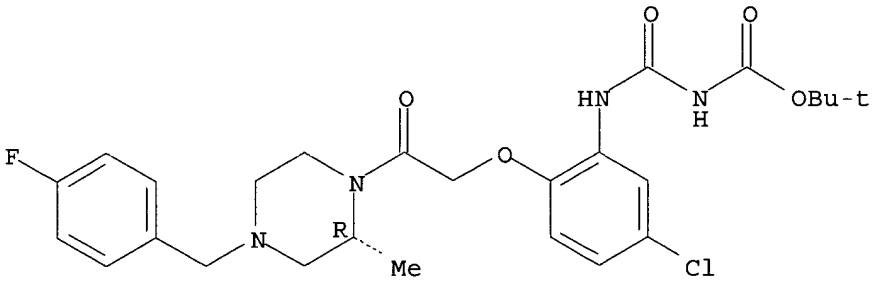
CM 2

CRN 76-05-1
CMF C2 H F3 O2



IT **422270-33-5P 422270-34-6P 422270-43-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactant for preparation of technetium piperazinyloxoethoxyphenylurea and -glycinamide complexes as imaging agents for diagnosing Alzheimer's disease)
RN 422270-33-5 HCAPLUS
CN Carbamic acid, [[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

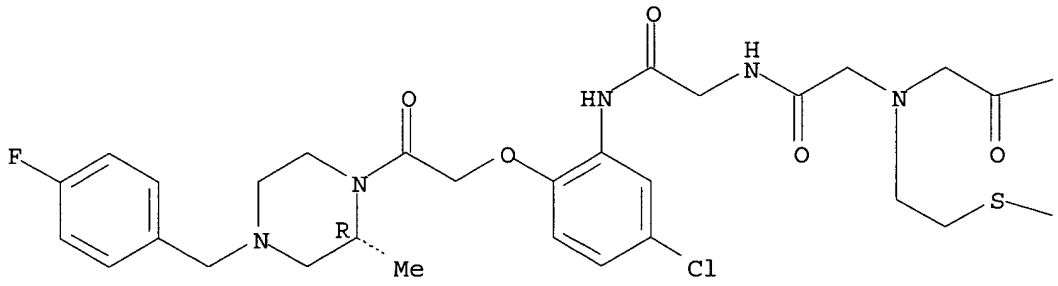
Absolute stereochemistry.



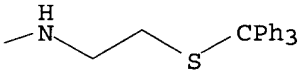
RN 422270-34-6 HCAPLUS
CN Glycinamide, N-[2-oxo-2-[[2-[(triphenylmethyl)thio]ethyl]amino]ethyl]-N-[2-[(triphenylmethyl)thio]ethyl]glycyl-N-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)

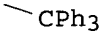
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

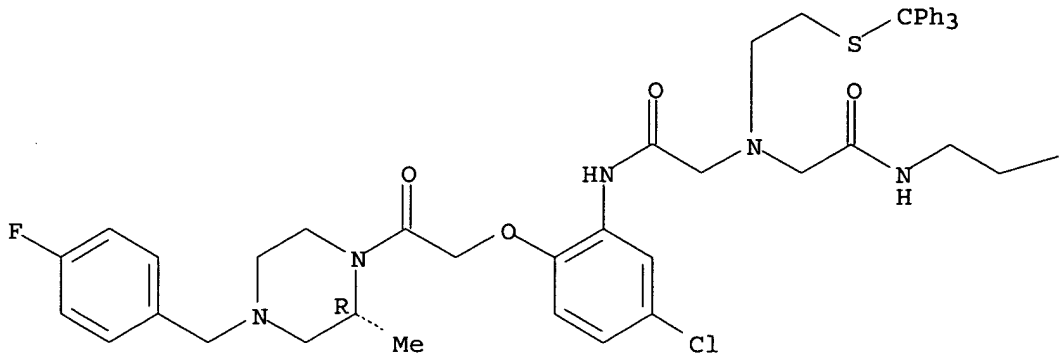




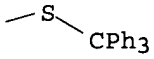
RN 422270-43-7 HCAPLUS
CN Acetamide, 2-[[2-[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl][2-[(triphenylmethyl)thio]ethyl]amino]-N-[2-[(triphenylmethyl)thio]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



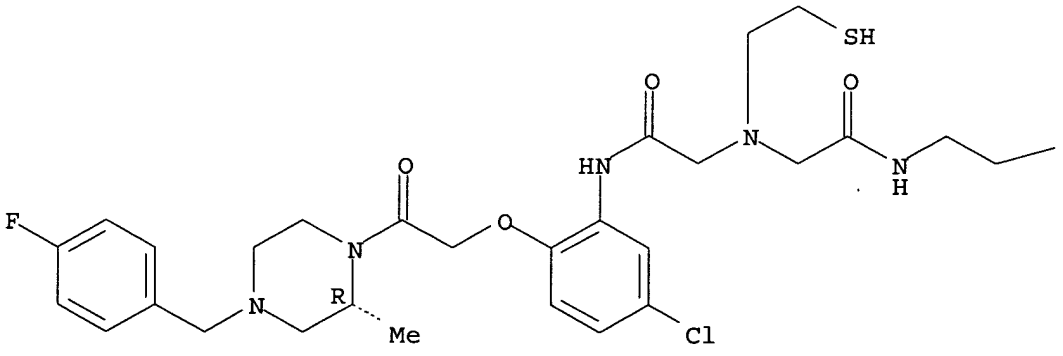
PAGE 1-B



IT **422270-23-3DP**, technetium-99m complex **422270-35-7DP**, technetium-99m complex
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as imaging agent for diagnosing Alzheimer's disease)
RN 422270-23-3 HCAPLUS
CN Acetamide, 2-[[2-[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl](2-mercaptoethyl)amino]-N-(2-mercaptoethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

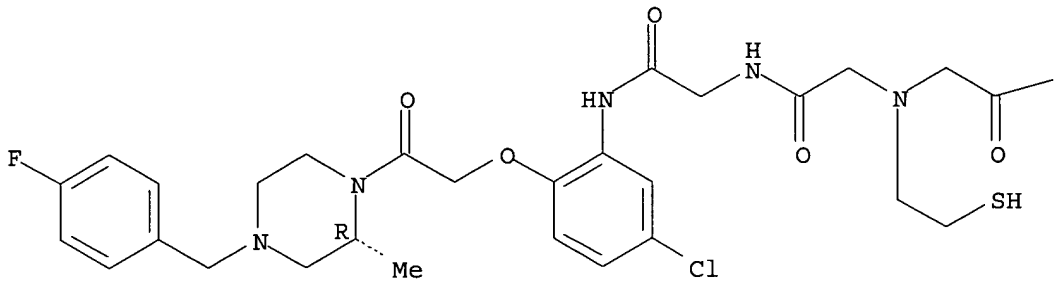


PAGE 1-B

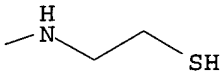
RN 422270-35-7 HCAPLUS
CN Glycinamide, N-(2-mercaptoethyl)-N-[2-[(2-mercaptoethyl)amino]-2-oxoethyl]glycyl-N-[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:221217 HCAPLUS
DOCUMENT NUMBER: 136:247349
TITLE: Preparation of amino(oxo)acetic acid derivatives as protein tyrosine phosphatase inhibitors
INVENTOR(S): Liu, Gang; Li, Yihong; Janowick, David A.; Pei, Zhonghua
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 28 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002035136	A1	20020321	US 2001-934765	20010822
US 6627767	B2	20030930		

PRIORITY APPLN. INFO.: US 2000-228656P P 20000829
OTHER SOURCE(S): MARPAT 136:247349
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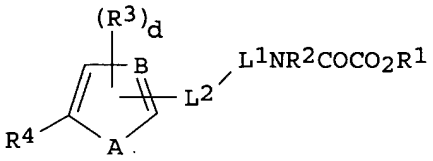


I

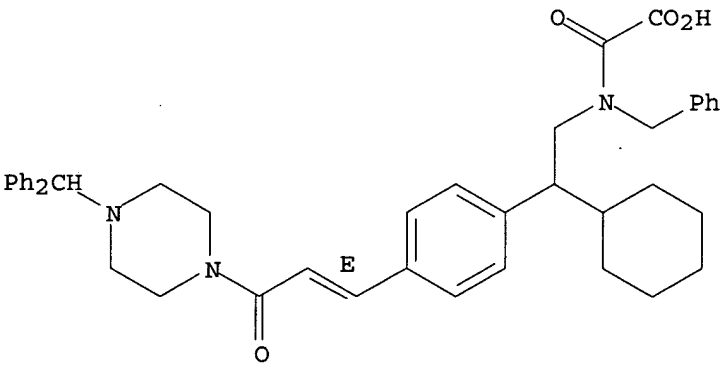
AB The title compds. I [A = NH, O, S, N:CH, CH:CH; B = N, CH; d = 0-2; L1 = bond, O; L2 = CHR6, CH2CHR6; R1 = H, carboxy protecting group; R2 = H, aminoalkyl, alkyl, cycloalkyl, etc.; R3 = H, alkoxy, alkoxyalkenyl, carboxy, etc.; R4 = H, alkoxy, aryl, heteroaryl, etc.], protein tyrosine kinase PTP1B inhibitors, were prepared. E.g., N-benzyl-2-hydroxy-N-((4,1'-binaphth-1-yl)methyl)amino(oxo)acetic acid was prepared I may be used for treatment of type II diabetes or obesity.

IT **402935-87-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino(oxo)acetic acid derivs. as protein tyrosine phosphatase inhibitors)

RN 402935-87-9 HCAPLUS

CN Acetic acid, [[2-cyclohexyl-2-[4-[(1E)-3-[4-(diphenylmethyl)-1-piperazinyl]-3-oxo-1-propenyl]phenyl]ethyl](phenylmethyl)amino]oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



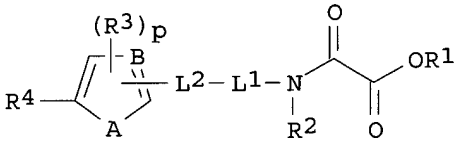
L12 ANSWER 13 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:171839 HCAPLUS
DOCUMENT NUMBER: 136:232060
TITLE: Preparation of amino(oxo)acetic acid protein tyrosine phosphatase inhibitors
INVENTOR(S): Liu, Gang; Li, Yihong; Janowick, David A.; Pei, Zhonghua
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002018321	A2	20020307	WO 2001-US26133	20010821
WO 2002018321	A3	20030410		

W: CA, JP, MX
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR

PRIORITY APPLN. INFO.: US 2000-650923 A 20000829
OTHER SOURCE(S): MARPAT 136:232060
GI



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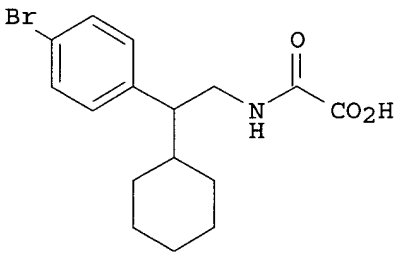


II

AB Title compds. I [A = N(H), O, S, N=C(H), C(H)=C(H), etc.; B = N, C(H); with the proviso that when A is N=C(H) or C(H)=C(H), B is C(H); p = 0-2; L1 = bond, O; L2 = CHR6, CH2CHR6; R1 = H, carboxy protecting group; R2 = H, aminoalkyl, cycloalkyl(alkyl), cycloalkenyl(alkyl), (hetero)aryl, heterocycle, etc.; R3 = H, alkoxy, alkoxyalk(en)yl, alkoxyalkoxy, alkoxycarbonyl, alkoxycarbonylalkyl, alkoxycarbonylalkenyl, alkoxycarbonylalkoxy, aryl, arylalkyl, arylalkenyl, arylalkoxy, carboxamido, carboxamidoalkyl, etc.; R4 = H, alkoxy, loweralkoxy, alkoxycarbonylalkyl, alkoxycarbonylalkenyl, aryl, arylalkyl, arylalkoxy, arylthioxyalkyl, carboxamidoalkenyl, carboxamidoalkyl, carboxyalkyl, carboxylalkenyl, heteroaryl, etc.; with the proviso that at when R4 = H, at least one of R3 is other than H; R6 = H, aryl, arylalkyl, heteroaryl, heteroarylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenyl, cycloalkenylalkyl, etc.] were prepared Over 70 synthetic examples were provided. For instance, 4-bromophenylacetonitrile was alkylated with cyclohexyl bromide (DMF/benzene, NaH, 0°C) to give (4-bromophenyl)(cyclohexyl)acetonitrile which was subsequently reduced to the amine (PhMe, DIBAL-H → BH3•THF), the amine acylated with Et

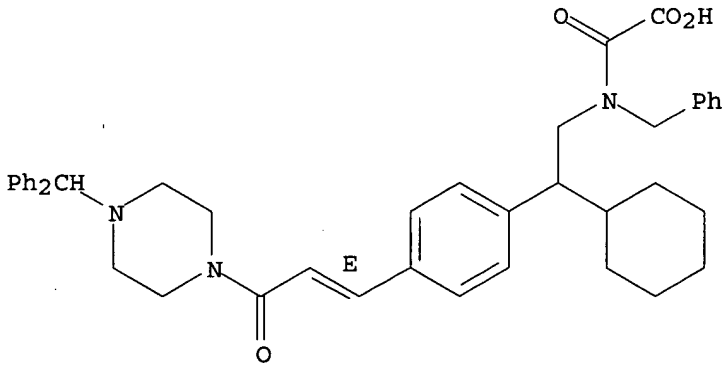
oxalyl chloride (CH2Cl2, 0°C) and saponified to give II. Example compds. were found to inhibit protein tyrosine phosphatase PTP1B with inhibitory potencies in a range of about of about 3 μM to about 100 μM. I are used for the treatment of type II diabetes and obesity.

IT **402935-87-9P**, [[2-[4-[(1E)-3-(4-Benzhydryl-1-piperazinyl)-3-oxo-1-propenyl]phenyl]-2-cyclohexylethyl](benzyl)amino](oxo)acetic acid
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; preparation of amino(oxo)acetic acid protein tyrosine phosphatase inhibitors)

RN 402935-87-9 HCAPLUS

CN Acetic acid, [[2-cyclohexyl-2-[4-[(1E)-3-[4-(diphenylmethyl)-1-piperazinyl]-3-oxo-1-propenyl]phenyl]ethyl](phenylmethyl)amino]oxo- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 14 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2001:730721 HCAPLUS
DOCUMENT NUMBER:	135:272991
TITLE:	Preparation of piperazines for use as pharmaceuticals for the treatment of inflammation and other immune disorders
INVENTOR(S):	Blumberg, Laura Cook; Brown, Matthew Frank; McGlynn, Molly Ann; Poss, Christopher Stanley; Gladue, Ronald Paul
PATENT ASSIGNEE(S):	Pfizer Products Inc., USA
SOURCE:	PCT Int. Appl., 80 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072728	A2	20011004	WO 2001-IB375	20010314
WO 2001072728	A3	20020718		
WO 2001072728	C2	20021010		
WO 2001072728	B1	20030109		

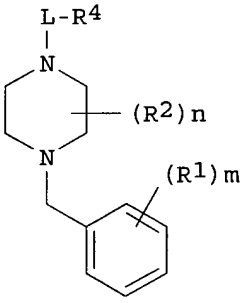
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,

HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS,
LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO,
RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2404626	AA	20011004	CA 2001-2404626		20010314
EP 1268455	A2	20030102	EP 2001-914083		20010314
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
BR 2001009703	A	20030204	BR 2001-9703		20010314
JP 2003528867	T2	20030930	JP 2001-570640		20010314
EE 200200567	A	20040615	EE 2002-567		20010314
NZ 521290	A	20041029	NZ 2001-521290		20010314
US 2002107255	A1	20020808	US 2001-821322		20010329
US 6649611	B2	20031118			
BG 107091	A	20030430	BG 2002-107091		20020912
NO 2002004649	A	20020927	NO 2002-4649		20020927
ZA 2002007827	A	20030930	ZA 2002-7827		20020930
US 2004058932	A1	20040325	US 2003-660052		20030910
PRIORITY APPLN. INFO.:			US 2000-193789P	P	20000331
			WO 2001-IB375	W	20010314
			US 2001-821322	A3	20010329

OTHER SOURCE(S): CASREACT 135:272991; MARPAT 135:272991

GI



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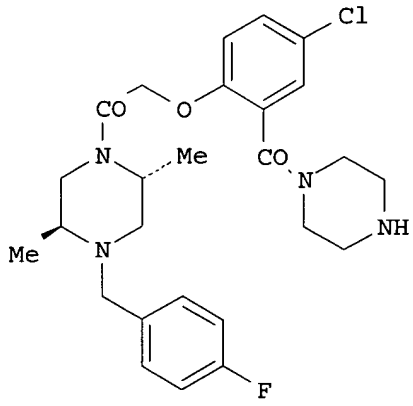


II

AB Piperazines, such as I [R1 = H, OH, SO3H, SH, halogen, alkyl, alkylthio, alkoxy, etc.; R2 = oxo, CHO, halogen, alkyl, alkenyl, alkynyl, carboxy, aryl, heteroaryl, etc.; R4 = aryl, cycloalkyl, heteroaryl, heterocyclyl; L = linking group of the form -Xc-Yd-Ze-; X = CO, CS, CH2; Y = CH2; Z = O, NR9, etc.; R9 = H, alkyl, aryl, etc.; d = 1-5; c, e = 0, 1; m = 1-5; n = 1-4], were prepared for use as pharmaceutical agents for the treatment of inflammation and other immune disorders. Thus, piperazine II was prepared via cyclocondensation of (R)-Me3COCONHCH(Me)CO2H with (S)-F-4-C6H4CH2NHCH(Me)CO2Me in THF using 4-methylmorpholine and isobutylchloroformate. The prepared piperazines were assayed for their ability to inhibit the chemotaxis to various chemokines. Also, pharmaceutical compns. for delivery of the piperazines were discussed.

IT **364066-85-3P**

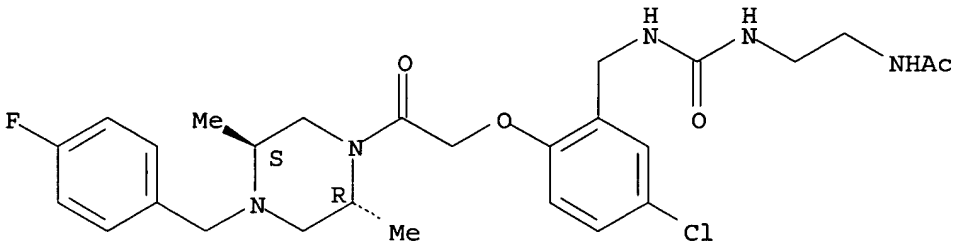
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazines for use as pharmaceuticals for the treatment of inflammation and other immune disorders)

RN 364066-85-3 HCAPLUS

CN Acetamide, N-[2-[[[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]amino]carbonyl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



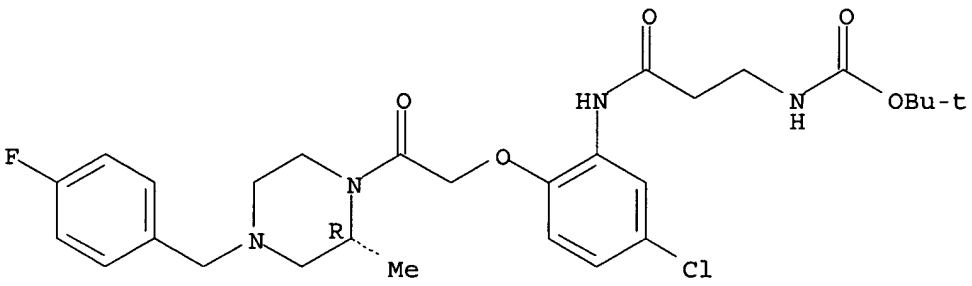
IT **364066-96-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperazines for use as pharmaceuticals for the treatment of inflammation and other immune disorders)

RN 364066-96-6 HCAPLUS

CN Carbamic acid, [3-[[5-chloro-2-[2-[(2R)-4-[(4-fluorophenyl)methyl]-2-methyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 15 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:693319 HCAPLUS

DOCUMENT NUMBER: 135:257468

TITLE: Preparation of N-(4-thiazolylbenzoyl)-N-(cyanomethyl)-L-leucinamides and analogs as protease inhibitors

INVENTOR(S): Palmer, James T.; Setti, Eduardo L.; Tian, Zong-Qiang; Venkatraman, Shankar; Wang, Dan-Xiong

PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

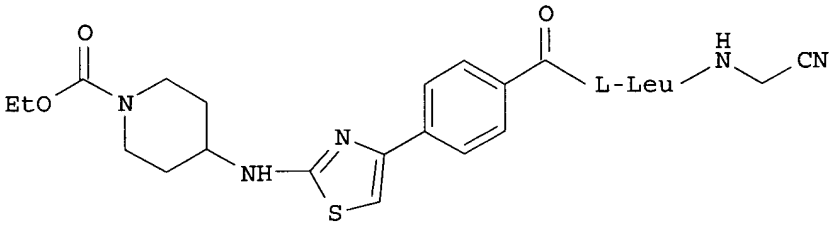
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001068645	A2	20010920	WO 2001-US8332	20010314
WO 2001068645	A3	20020307		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-189694P P 20000315

GI



I

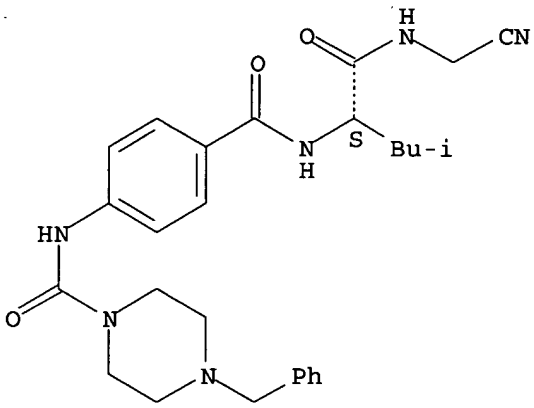
AB The title compds. and their pharmaceutically acceptable salts, N-oxides, prodrugs, protected derivs., or isomers thereof were prepared as cysteine protease inhibitors. For example, stirring a solution of 4-[2-(1-tert-butoxycarbonylpiperidin-4-ylamino)thiazol-4-yl]benzoic acid (preparation given) and the MeSO3H salt of 2S-amino-N-cyanomethyl-4-methylpentanamide overnight at room temperature with PyBOP and diisopropylethylamine in DMF, followed by conversion to the Et ester, yielded I (77%). Test compds. inhibited cathepsin B, K, L, and S (no data). The invention compds. and compns. with a bisphosphonic acid and/or an estrogen receptor agonist are claimed for treating osteoporosis in post-menopausal women (no data).

IT **294623-12-4P 294623-26-0P 361519-37-1P 361519-43-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-thiazolylbenzoyl-N-cyanomethyl-L-leucinamides and analogs as cysteine protease inhibitors for treatment of osteoporosis)

RN 294623-12-4 HCAPLUS

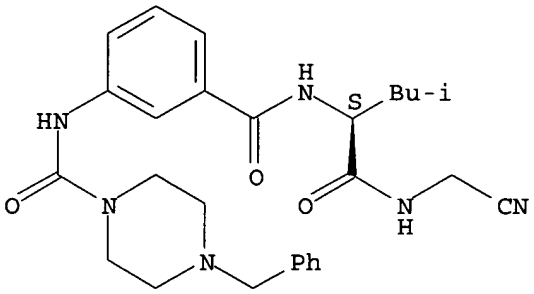
CN 1-Piperazinecarboxamide, N-[4-[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]phenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 294623-26-0 HCAPLUS
CN 1-Piperazinecarboxamide, N-[3-[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]phenyl]-4-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

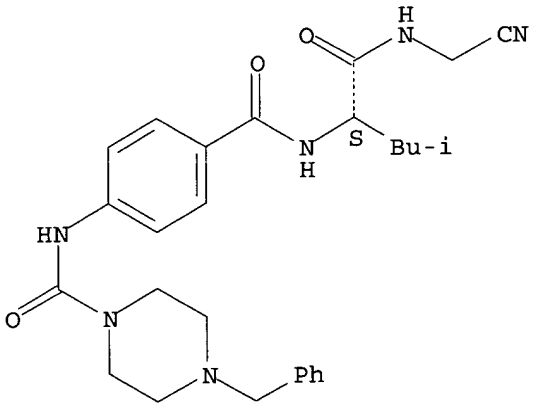


RN 361519-37-1 HCAPLUS
CN 1-Piperazinecarboxamide, N-[4-[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]phenyl]-4-(phenylmethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

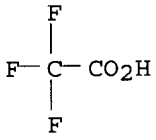
CRN 294623-12-4
CMF C27 H34 N6 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

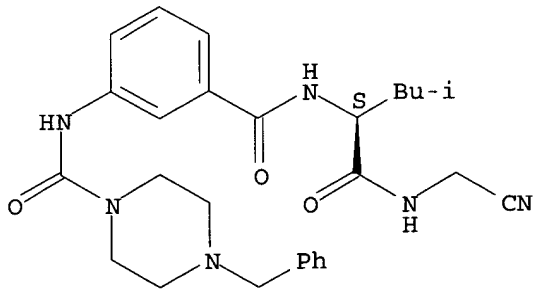


RN 361519-43-9 HCAPLUS
CN 1-Piperazinecarboxamide, N-[3-[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]phenyl]-4-(phenylmethyl)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

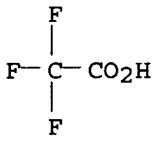
CRN 294623-26-0
CMF C27 H34 N6 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

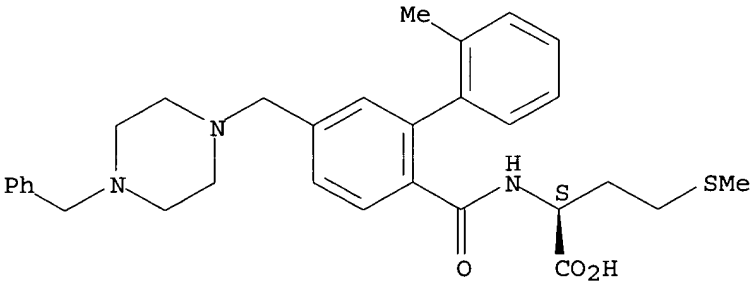


L12 ANSWER 16 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:297641 HCAPLUS
DOCUMENT NUMBER: 134:311427
TITLE: Inhibitors of protein isoprenyl transferases
INVENTOR(S): Sebti, Said M.; Hamilton, Andrew D.; Barr, Kenneth J.; Fakhoury, Stephen A.; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Sorensen, Bryan K.; Sullivan, Gerard M.; Wasicak, James T.; Henry, Kenneth J.; Wang, Le
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: U.S., 388 pp., Cont.-in-part of U.S. Ser. No. 852,858, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6221865	B1	20010424	US 1998-73795		19980507
PRIORITY APPLN. INFO.:			US 1995-7247P	P	19951106
			US 1996-740909	B2	19961105
			US 1997-852858	B2	19970507

OTHER SOURCE(S): MARPAT 134:311427
AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is methylene; Z is a covalent bond; R3 is (un)substituted pyrrolidin-1-yl] were prepared as inhibitors of protein isoprenyl transferases. N-[4-(2-benzyloxymethylpyrrolidin-1-ylmethyl)-2-(2-methylphenyl)benzoyl]methionine is one of 18 compds. claimed. Syntheses of compds. of the invention are illustrated in > 100 examples and data for inhibition of farnesyltransferase are tabulated.
IT **215920-11-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of protein isoprenyl transferases)
RN 215920-11-9 HCAPLUS
CN L-Methionine, N-[[2'-methyl-5-[[4-(phenylmethyl)-1-piperazinyl]methyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

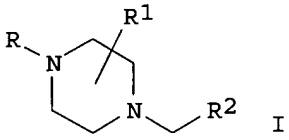
L12 ANSWER 17 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:222006 HCAPLUS
DOCUMENT NUMBER: 134:252354
TITLE: Preparation of N-benzylpiperazines as antiinflammatory agents
INVENTOR(S): Bauman, John G.; Buckman, Brad O.; Ghannam, Ameen F.; Hesselgesser, Joseph E.; Horuk, Richard; Islam, Imadul; Liang, Meina; May, Karen B.; Monahan, Sean D.; Morrissey, Michael M.; Ng, Howard P.; Wei, Guo Ping; Xu, Wei; Zheng, Wei
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: U.S., 87 pp., Cont.-in-part of U.S. Ser. No. 873,599, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6207665	B1	20010327	US 1998-94397	19980609
CA 2293382	AA	19981217	CA 1998-2293382	19980611
AU 9886258	A1	19981230	AU 1998-86258	19980611
AU 735462	B2	20010712		
EP 988292	A2	20000329	EP 1998-937467	19980611
EP 988292	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EE 9900565	A	20000615	EE 1999-565	19980611
EE 4056	B1	20030616		
TR 9903034	T2	20000621	TR 1999-9903034	19980611
JP 2002503239	T2	20020129	JP 1999-501611	19980611
EP 1254899	A2	20021106	EP 2002-90193	19980611
EP 1254899	A3	20030219		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY				
AT 232522	E	20030215	AT 1998-937467	19980611
EE 200200682	A	20030415	EE 2002-200200682	19980611
EE 200200683	A	20030415	EE 2002-200200683	19980611
EE 200200684	A	20030415	EE 2002-200200684	19980611
ES 2191320	T3	20030901	ES 1998-937467	19980611
IL 132398	A1	20040831	IL 1998-132398	19980611
NO 9906068	A	20000211	NO 1999-6068	19991209

MX 9911506	A	20000430	MX 1999-11506		19991210
US 6541476	B1	20030401	US 2000-713606		20001114
US 6534509	B1	20030318	US 2000-713881		20001115
US 6573266	B1	20030603	US 2000-714937		20001116
US 2002177598	A1	20021128	US 2000-726808		20001129
US 6555537	B2	20030429			
US 2003139425	A1	20030724	US 2003-347530		20030117
US 2003158205	A1	20030821	US 2003-347529		20030117
NO 2003001373	A	20000211	NO 2003-1373		20030326
PRIORITY APPLN. INFO.:			US 1997-873599	B2	19970612
			US 1998-94397	A	19980609
			EP 1998-937467	A3	19980611
			WO 1998-EP3503	W	19980611
			US 2000-714937	A3	20001116
			US 2000-726808	A1	20001129

OTHER SOURCE(S): MARPAT 134:252354

GI



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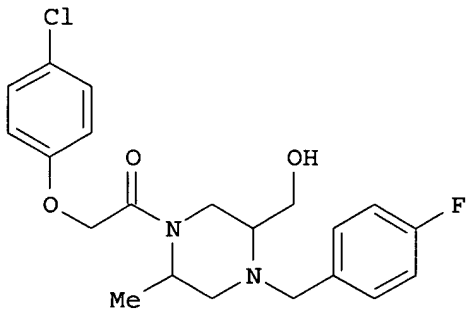


II

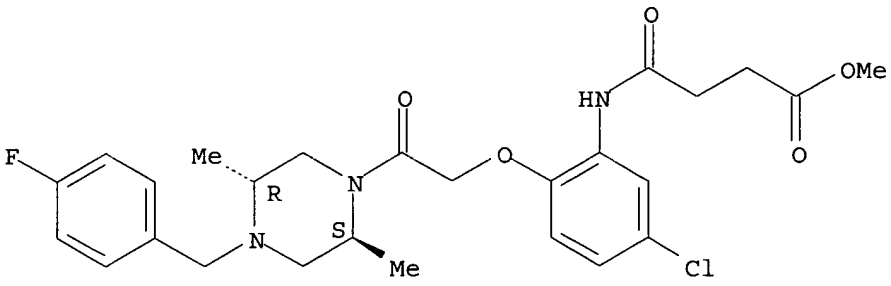
AB Title compds. [I; R = R3Z3Z2Z1; R1 = ≥1 of halo, alkyl, aryl, etc.; R2 = (un)substituted Ph; R3 = (un)substituted carbocyclic ring system (sic) or (un)substituted heterocyclic ring system (sic); Z1 = bond, CH2, CO, etc.; Z2 = alkylene or alkylidene; Z3 = bond, O, CH2, (alkyl)imino, etc.] were prepared as chemokine inhibitors (no data). Thus, (2R,5S)-1-(4-fluorobenzyl)-2-hydroxymethyl-5-methylpiperazine was N-acylated by 4-ClC6H4OCH2COCl to give title compound (R,R)-II.

IT **217644-74-1P 217644-75-2P 217645-11-9P**
217645-12-0P 217645-13-1P 217645-14-2P
217645-15-3P 217645-16-4P 217645-17-5P
217645-18-6P 217645-19-7P 217645-20-0P
217645-21-1P 217645-22-2P 217645-23-3P
217645-25-5P 217645-29-9P 217646-95-2P
217646-96-3P 217647-07-9P 217647-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-benzylpiperazines as antiinflammatory agents)

RN 217644-74-1 HCAPLUS

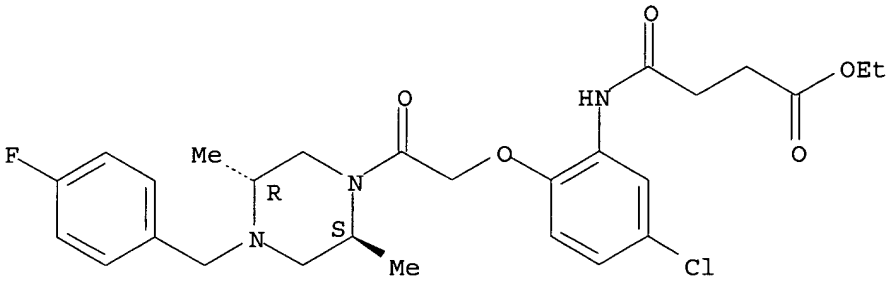
CN Butanoic acid, 4-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-4-oxo-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



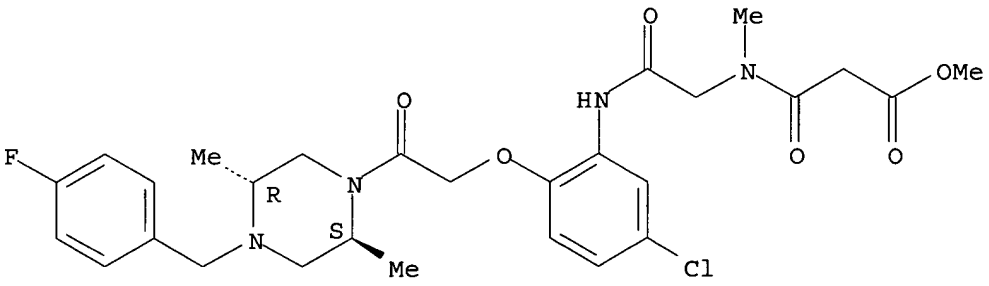
RN 217644-75-2 HCAPLUS
CN Butanoic acid, 4-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-4-oxo-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



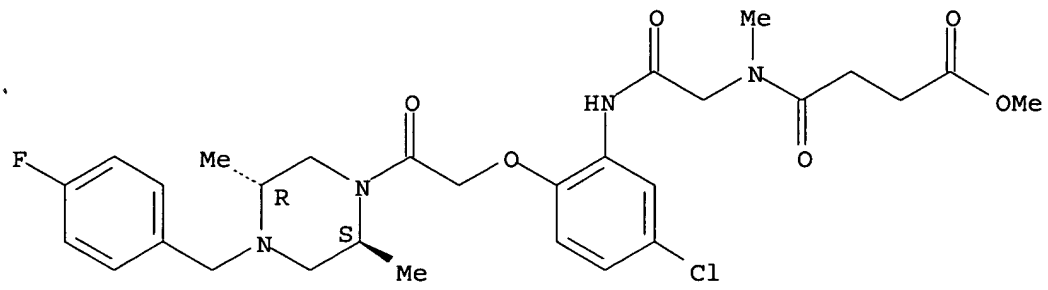
RN 217645-11-9 HCAPLUS
CN Propanoic acid, 3-[[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]methylamino]-3-oxo-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 217645-12-0 HCAPLUS
CN Butanoic acid, 4-[[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]methylamino]-4-oxo-, methyl ester, rel- (9CI) (CA INDEX NAME)

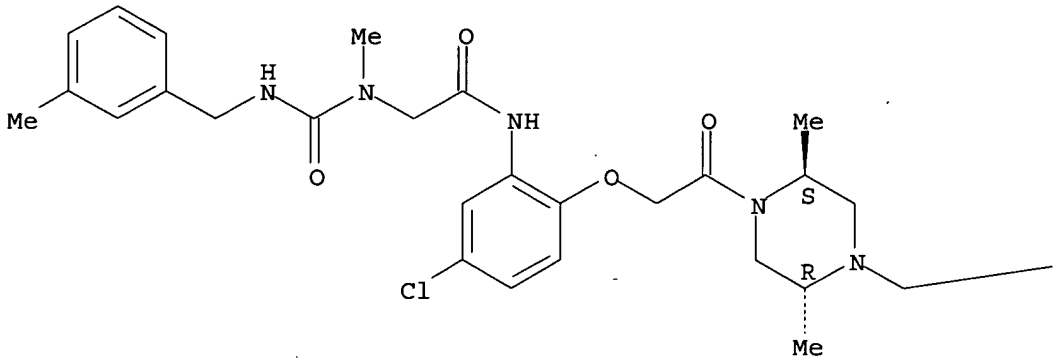
Relative stereochemistry.



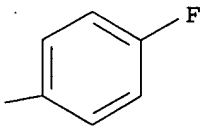
RN 217645-13-1 HCAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-2-[methyl[[[(3-methylphenyl)methyl]amino]carbonyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

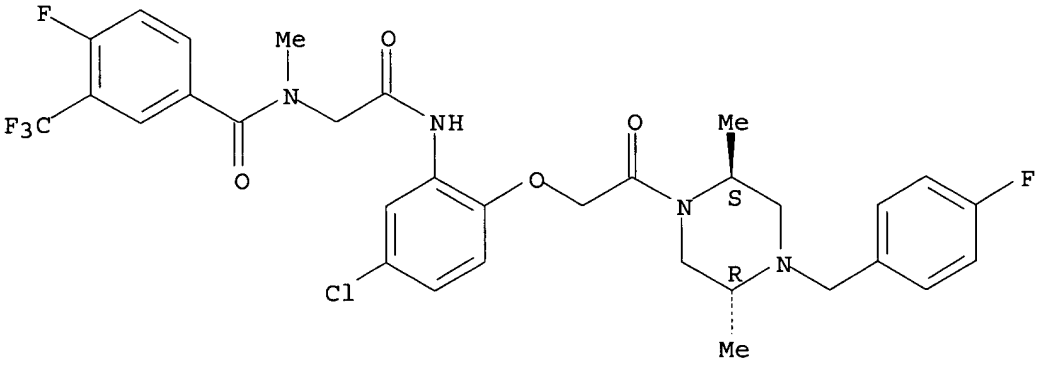


PAGE 1-B



RN 217645-14-2 HCAPLUS
CN Benzamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-4-fluoro-N-methyl-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

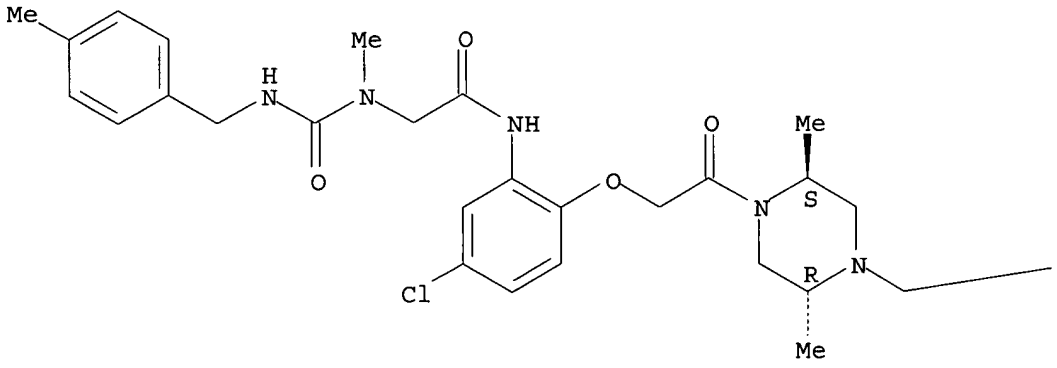
Relative stereochemistry.



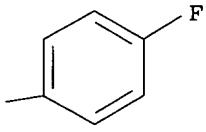
RN 217645-15-3 HCAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-2-[methyl[[[(4-methylphenyl)methyl]amino]carbonyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



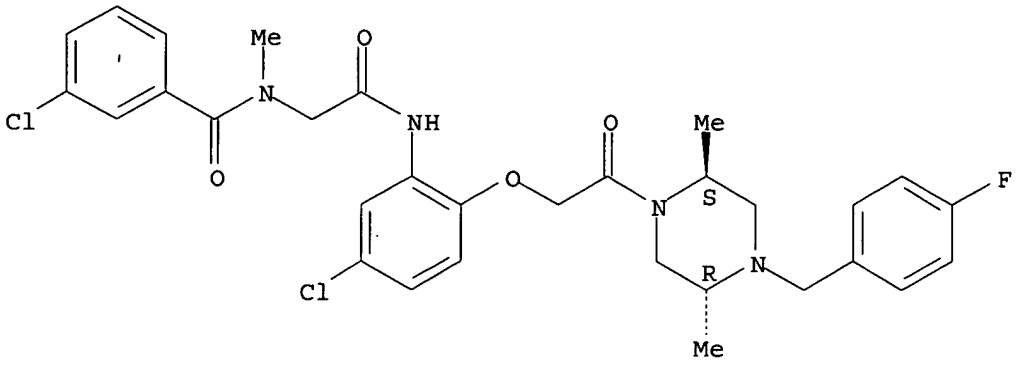
PAGE 1-B



RN 217645-16-4 HCAPLUS
CN Benzamide, 3-chloro-N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-

2-oxoethyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

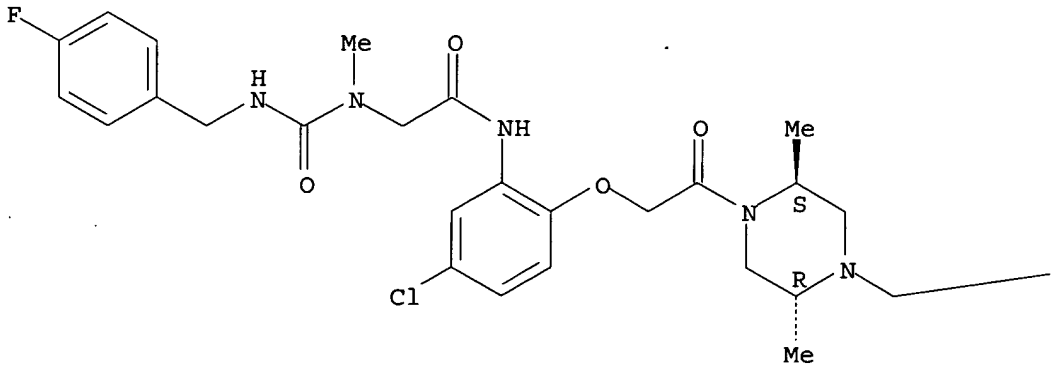
Relative stereochemistry.



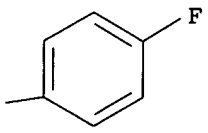
RN 217645-17-5 HCAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-2-[[[[(4-fluorophenyl)methyl]amino]carbonyl]methylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

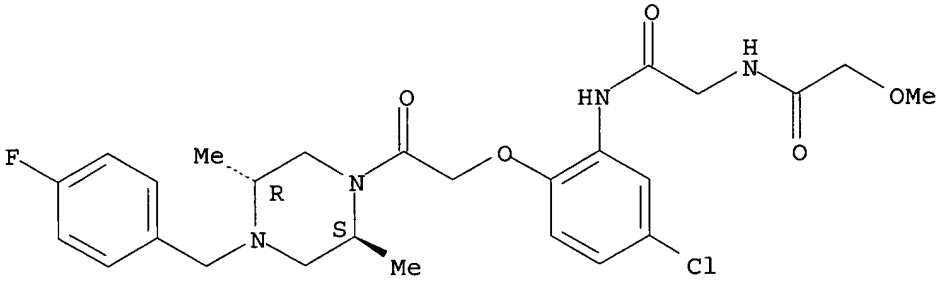


PAGE 1-B



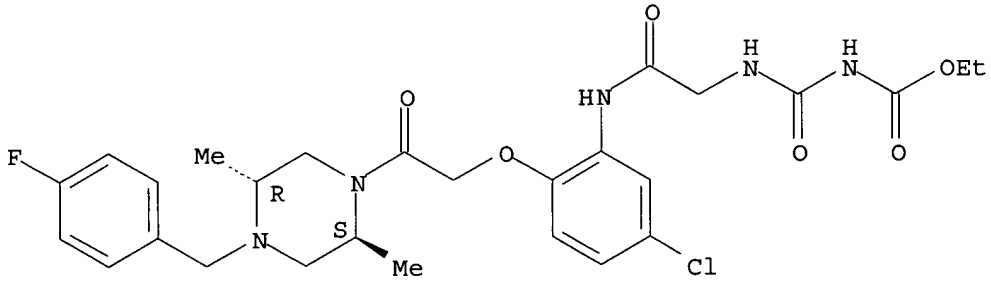
RN 217645-18-6 HCAPLUS
CN Acetamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



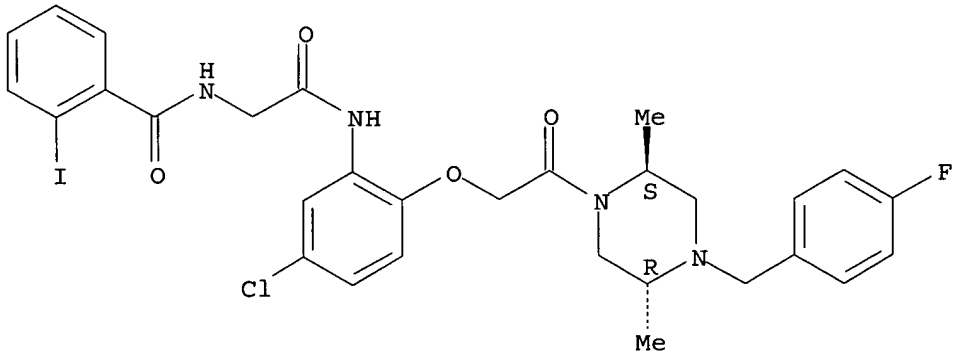
RN 217645-19-7 HCAPLUS
CN Carbamic acid, [[[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]amino]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



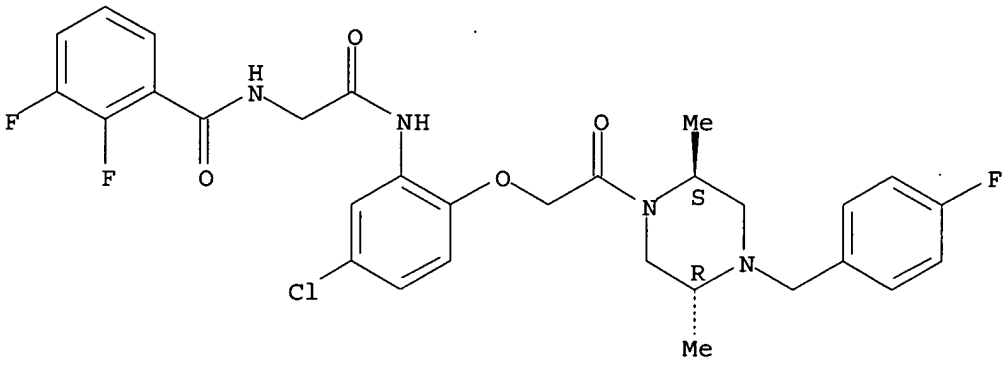
RN 217645-20-0 HCAPLUS
CN Benzamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-2-iodo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



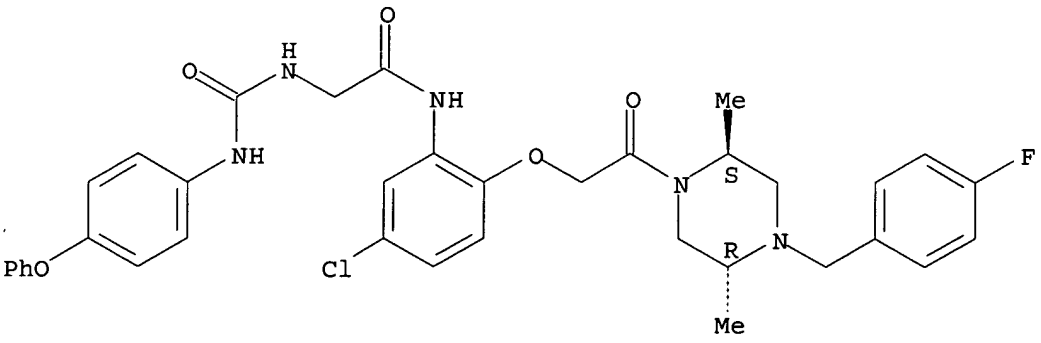
RN 217645-21-1 HCAPLUS
CN Benzamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-2,3-difluoro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



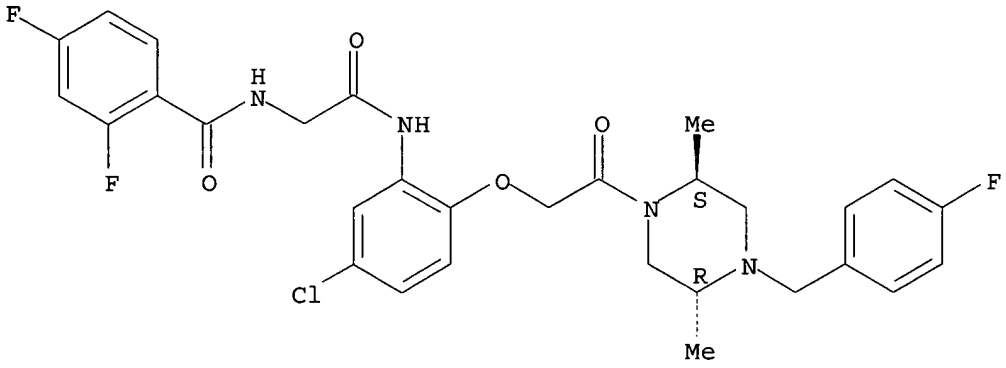
RN 217645-22-2 HCAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-2-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



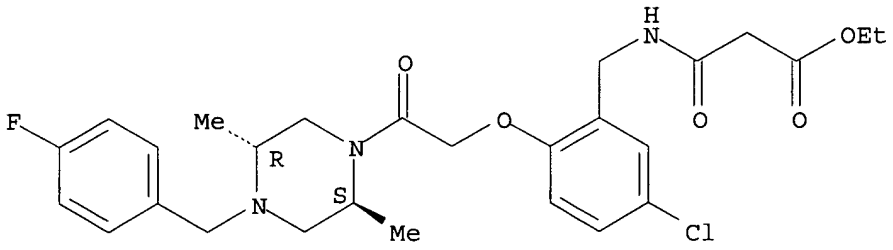
RN 217645-23-3 HCAPLUS
CN Benzamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-2,4-difluoro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



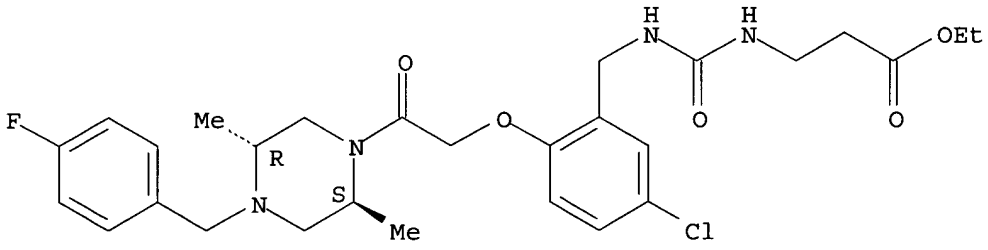
RN 217645-25-5 HCAPLUS
CN Propanoic acid, 3-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]amino]-3-oxo-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



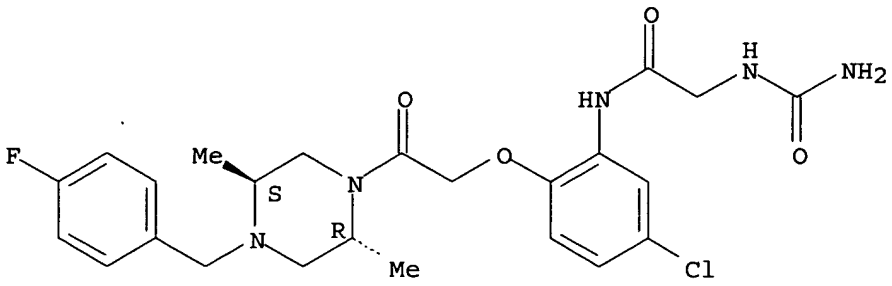
RN 217645-29-9 HCAPLUS
CN β-Alanine, N-[[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]amino]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



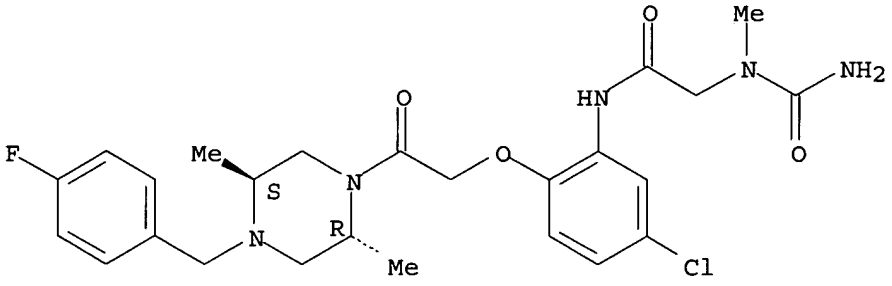
RN 217646-95-2 HCAPLUS
CN Acetamide, 2-[(aminocarbonyl)amino]-N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



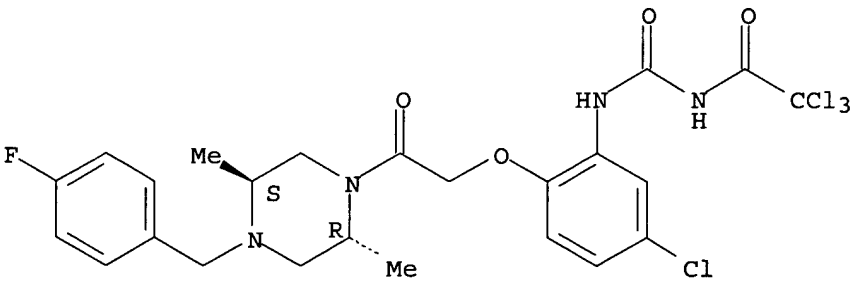
RN 217646-96-3 HCAPLUS
CN Acetamide, 2-[(aminocarbonyl)methylamino]-N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



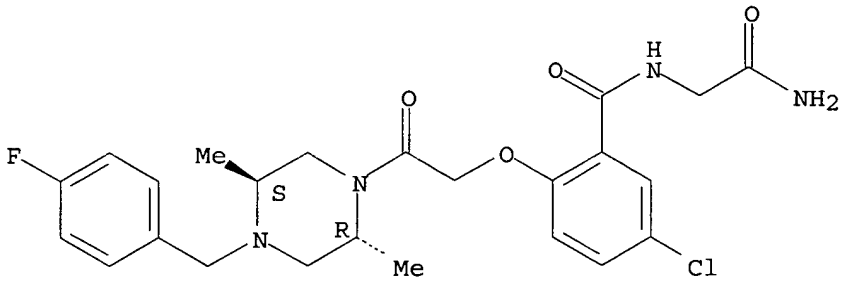
RN 217647-07-9 HCAPLUS
CN Acetamide, 2,2,2-trichloro-N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 217647-39-7 HCAPLUS
CN Benzamide, N-(2-amino-2-oxoethyl)-5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

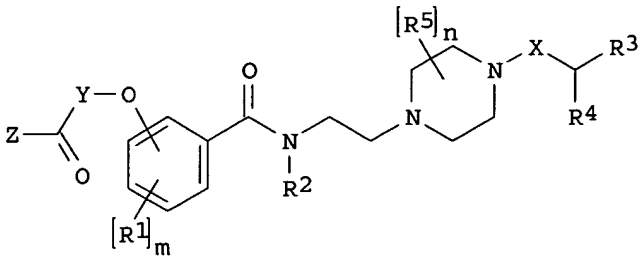


REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:31485 HCAPLUS
DOCUMENT NUMBER: 134:86282
TITLE: Preparation of piperazine derivatives as modulators of chemokine receptor activity
INVENTOR(S): Baxter, Andrew John Gilby; Brough, Stephen John; Kindon, Nicholas David; McInally, Thomas; Roberts, Bryan
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001002381	A1	20010111	WO 2000-GB2470		20000627
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
EP 1196404	A1	20020417	EP 2000-942220		20000627
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
JP 2003503488	T2	20030128	JP 2001-507819		20000627
US 6562825	B1	20030513	US 2000-640398		20000817
PRIORITY APPLN. INFO.:			SE 1999-2551	A	19990702
			WO 2000-GB2470	W	20000627

OTHER SOURCE(S): MARPAT 134:86282
GI



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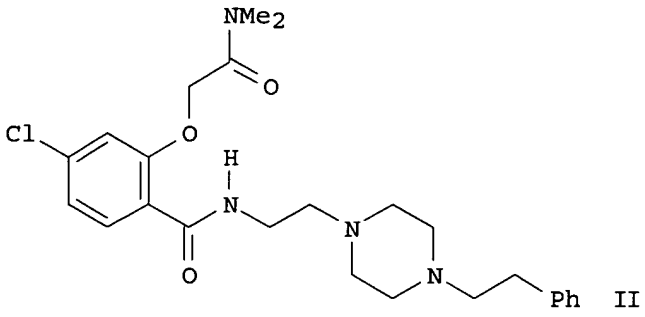


II

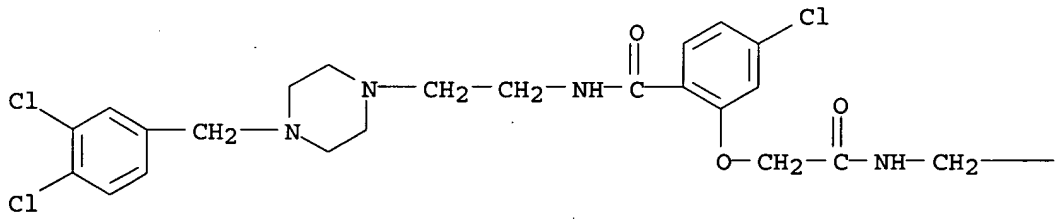
AB The title compds. [I; R1 = halo, alkyl, alkoxy, etc.; m = 0-2; R2 = H, alkyl; R3, R4 = H, alkyl, (un)substituted Ph; R5 = H, alkyl; n = 0-4; X = a bond, alkyl; Y = alkyl; Z = OH, NR6R7; R6, R7 = H, alkyl, unsatd. alkyl; NR6R7 = 3-8 membered (un)substituted (un)saturated azacyclic ring system optionally incorporating one or two further heteroatoms selected from N, O and S] and their salts, useful in therapy, especially for the treatment of chemokine receptor related diseases and conditions (no data), were prepared E.g., a multi-step synthesis of the title compound II was given.

IT **318257-26-0P 318257-41-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine derivs. as modulators of chemokine receptor activity)

RN 318257-26-0 HCAPLUS

CN Glycine, N-[[5-chloro-2-[[[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]ethyl]amino]carbonyl]phenoxy]acetyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

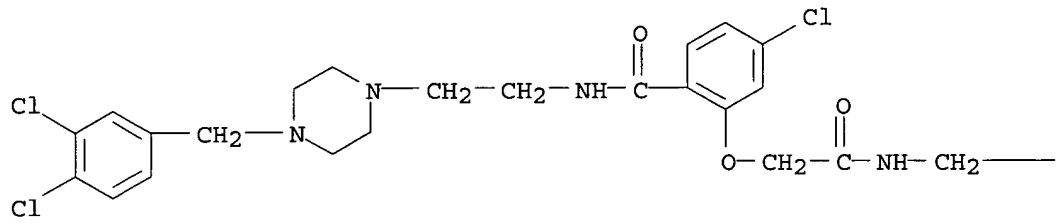


PAGE 1-B

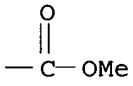
—CO_2H

RN 318257-41-9 HCAPLUS
CN Glycine, N-[[5-chloro-2-[[[2-[4-[(3,4-dichlorophenyl)methyl]-1-piperazinyl]ethyl]amino]carbonyl]phenoxy]acetyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:666701 HCAPLUS
DOCUMENT NUMBER: 133:252050
TITLE: Preparation of novel N-cyanomethyl amide compounds and compositions as protease inhibitors to treat osteoporosis
INVENTOR(S): Bryant, Clifford M.; Palmer, James T.; Rydzewski, Robert M.; Setti, Eduardo L.; Tian, Zong-Qiang; Venkatraman, Shankar; Wang, Dan-Xiong
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2000055126	A2	20000921	WO 2000-US6837	20000315
WO 2000055126	A3	20010222		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2368148	AA	20000921	CA 2000-2368148	20000315
EP 1161415	A2	20011212	EP 2000-916375	20000315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009043	A	20020108	BR 2000-9043	20000315
TR 200103337	T2	20020321	TR 2001-200103337	20000315
TR 200103390	T2	20020521	TR 2001-200103390	20000315
US 6455502	B1	20020924	US 2000-526090	20000315
TR 200201874	T2	20021021	TR 2002-200201874	20000315
US 6476026	B1	20021105	US 2000-526485	20000315
JP 2002539192	T2	20021119	JP 2000-605557	20000315
EE 200100487	A	20030217	EE 2001-487	20000315
AU 769736	B2	20040205	AU 2000-37486	20000315
PT 1178958	T	20040730	PT 2000-916343	20000315
EP 1452522	A2	20040901	EP 2004-75486	20000315
EP 1452522	A3	20050209		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, MK, CY, AL				
ES 2215626	T3	20041016	ES 2000-916343	20000315
ZA 2001007494	A	20020911	ZA 2001-7494	20010911
ZA 2001007495	A	20020911	ZA 2001-7495	20010911
NO 2001004484	A	20011026	NO 2001-4484	20010914
BG 106013	A	20020531	BG 2001-106013	20011012
HR 2001000737	A1	20021031	HR 2001-737	20011012
US 2002086996	A1	20020704	US 2001-17851	20011214
US 6593327	B2	20030715		
US 2003096796	A1	20030522	US 2002-205600	20020724
US 2003119788	A1	20030626	US 2002-241001	20020909
US 2004147745	A1	20040729	US 2004-758893	20040115
PRIORITY APPLN. INFO.:			US 1999-124420P	P 19990315
			EP 2000-916343	A3 20000315
			US 2000-526090	A1 20000315
			US 2000-526485	A3 20000315
			WO 2000-US6837	W 20000315
			US 2002-205600	B1 20020724

OTHER SOURCE(S): MARPAT 133:252050

AB Title compds. [R1R2NCR3R4CN; R1 = R11R7NCR5R9X1, R11R8NCR6R10X2NR7CR5R9CX1; X1, X2 independently = CO, CH2SO2; R5, R6 independently = H, C1-6alkyl; R7, R8 independently = H, C1-6alkyl; R9, R10 independently = (un)substituted-C1-6alkyl; R9-R7 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R10-R8 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R5-R9 = C3-8cycloalkylene, C3-8heterocycloalkylene; R10-R6 = C3-8cycloalkylene, C3-8heterocycloalkylene; R11 = X4X5R18; X4 = CO, COCO, SO2; X5 = bond, O, NH; R18 = C1-6alkyl; R2 = H, C1-6alkyl; R3 = H, C1-6alkyl; R4 = CN, COOH, COOC1-6alkyl; R2-R4 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R4-R3 = C3-8cycloalkylene, C3-8heterocycloalkylene], N-oxide, prodrug, isomers,

pharmaceutically acceptable salts, and composition are prepared as therapeutically effective estrogen receptor agonist. Title compds. are claimed in treating osteoporosis in post-menopausal woman in which cathepsin K activity contributes to the pathol. and symptomatol. of the disease. Thus, the title compound (S)-C6H5CH2OCONHCH(CH2CH(CH3)2)CONHCH2CN was prepared

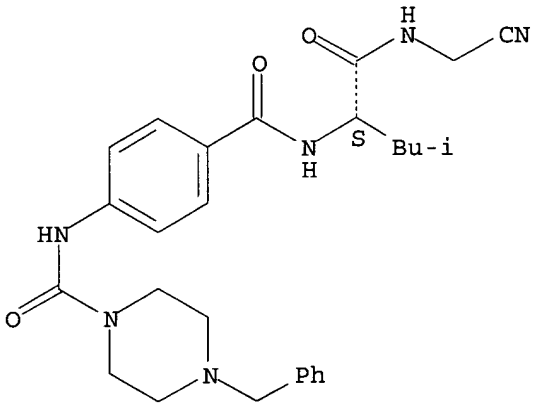
IT **294623-13-5P 294623-27-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel N-cyanomethyl amides and compns. as protease inhibitors)

RN 294623-13-5 HCAPLUS
CN 1-Piperazinecarboxamide, N-[4-[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]phenyl]-4-(phenylmethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

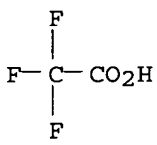
CRN 294623-12-4
CMF C27 H34 N6 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

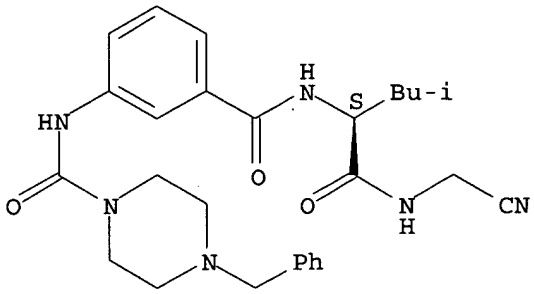


RN 294623-27-1 HCAPLUS
CN 1-Piperazinecarboxamide, N-[3-[[[(1S)-1-[[(cyanomethyl)amino]carbonyl]-3-methylbutyl]amino]carbonyl]phenyl]-4-(phenylmethyl)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

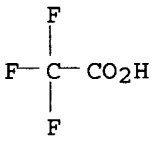
CRN 294623-26-0
CMF C27 H34 N6 O3

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



L12 ANSWER 20 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:666700 HCAPLUS
DOCUMENT NUMBER: 133:252170
TITLE: Preparation of novel N-cyanomethyl amides as protease inhibitors
INVENTOR(S): Bryant, Clifford M.; Bunin, Barry A.; Kraynack, Erica A.; Patterson, John W.
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 137 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055125	A2	20000921	WO 2000-US6747	20000315
WO 2000055125	A3	20010426		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA	2368122	AA	20000921	CA 2000-2368122	20000315
BR	2000009042	A	20011226	BR 2000-9042	20000315
EP	1178958	A2	20020213	EP 2000-916343	20000315
EP	1178958	B1	20040218		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

TR	200103337	T2	20020321	TR 2001-200103337	20000315
TR	200103390	T2	20020521	TR 2001-200103390	20000315
US	6455502	B1	20020924	US 2000-526090	20000315
TR	200201874	T2	20021021	TR 2002-200201874	20000315
US	6476026	B1	20021105	US 2000-526485	20000315
JP	2002539191	T2	20021119	JP 2000-605556	20000315
EE	200100485	A	20030217	EE 2001-485	20000315
NZ	514234	A	20040227	NZ 2000-514234	20000315
AT	259782	E	20040315	AT 2000-916343	20000315
AU	774827	B2	20040708	AU 2000-37461	20000315
PT	1178958	T	20040730	PT 2000-916343	20000315
EP	1452522	A2	20040901	EP 2004-75486	20000315
EP	1452522	A3	20050209		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI, MK, CY, AL

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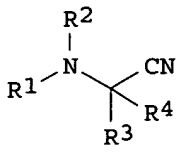
NO	2001004485	A	20011105	NO 2001-4485	20010914
BG	106003	A	20020628	BG 2001-106003	20011010
HR	2001000738	A1	20021231	HR 2001-738	20011012
US	2002086996	A1	20020704	US 2001-17851	20011214
US	6593327	B2	20030715		
US	2003096796	A1	20030522	US 2002-205600	20020724
HK	1044755	A1	20041217	HK 2002-105942	20020813
US	2003119788	A1	20030626	US 2002-241001	20020909
US	2004147745	A1	20040729	US 2004-758893	20040115

PRIORITY APPLN. INFO.:	US 1999-124420P	P	19990315
	EP 2000-916343	A3	20000315
	US 2000-526090	A1	20000315
	US 2000-526485	A3	20000315
	WO 2000-US6747	W	20000315
	US 2002-205600	B1	20020724

OTHER SOURCE(S): MARPAT 133:252170

GI



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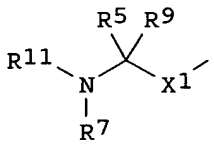
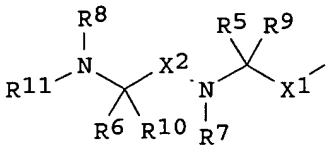
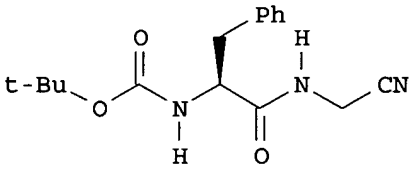


II



III



IV

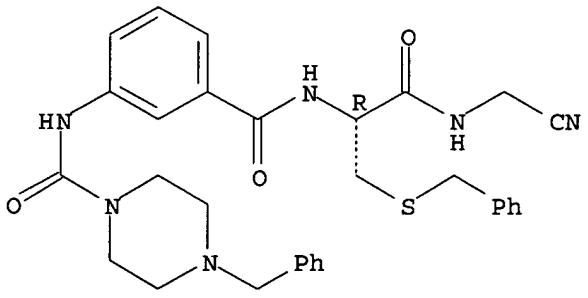
AB The title compds. [I; R1 = II, III (wherein X1, X2 = CO, CH2SO2; R5, R6 = H, alkyl; R7, R8 = H, alkyl, etc.; R9, R10 = alkyl optionally substituted with CN, halo, NO2, etc.; R11 = X5X6R18; X5 = CO, COCO, SO2; X6 = a bond, O, NH, N(alkyl); R18 = alkyl optionally substituted with CN, halo, NO2, etc.); R2 = H, alkyl, etc.; R3 = H, alkyl, etc.; R4 = H, alkyl optionally substituted with CN, halo, NO2, etc.; R4 and R2 taken together form trimethylene, tetramethylene, phenylene-1,2-dimethylene, optionally substituted with hydroxy, oxo or methylene; R4 and R3 together with the carbon atom to which both are attached form cycloalkylene, heterocycloalkylene], useful for treating diseases associated with cysteine protease activity, particularly diseases associated with activity of cathepsins B, K, L or S such as inflammation and asthma, were prepared and formulated. Thus, reacting 2(S)-tert-butoxycarbonylamino-3-phenylpropionic acid with aminoacetonitrile.HCl in the presence of Et3N in DMF and MeCN afforded the amide (1S)-IV. Biol. data for compds. I were given.

IT **294641-81-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel N-cyanomethyl amides as protease inhibitors)

RN 294641-81-9 HCAPLUS

CN 1-Piperazinecarboxamide, N-[3-[[[(1R)-2-[(cyanomethyl)amino]-2-oxo-1-[[(phenylmethyl)thio]methyl]ethyl]amino]carbonyl]phenyl]-4-(phenylmethyl)-(9CI) (CA INDEX NAME)

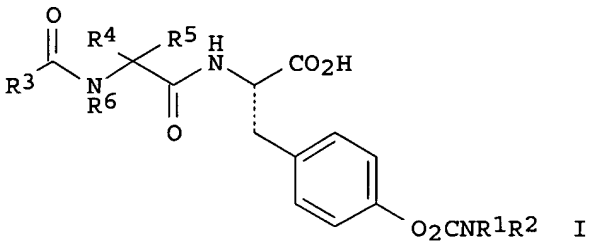
Absolute stereochemistry.



L12 ANSWER 21 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:441775 HCAPLUS
DOCUMENT NUMBER: 133:74330
TITLE: Preparation of peptides useful in the treatment of inflammatory diseases
INVENTOR(S): Armour, Duncan Robert; Brown, David; Congreave, Miles Stuart; Gore, Paul Martin; Green, Darren Victor Steven; Holman, Stuart; Jack, Torquil Iain Maclean; Keeling, Steven Philip; Mason, Andrew Murtrie; Morriss, Karen; Ramsden, Nigel Grahame; Ward, Peter
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 88 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2000037444	A1	20000629	WO 1999-EP10000		19991216
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2355630	AA	20000629	CA 1999-2355630		19991216
BR 9916282	A	20011002	BR 1999-16282		19991216
EP 1140837	A1	20011010	EP 1999-964583		19991216
EP 1140837	B1	20040818			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI					
TR 200101709	T2	20011221	TR 2001-200101709		19991216
JP 2002533326	T2	20021008	JP 2000-589516		19991216
AU 760169	B2	20030508	AU 2000-30391		19991216
NZ 511478	A	20030829	NZ 1999-511478		19991216
AT 273954	E	20040915	AT 1999-964583		19991216
ES 2226488	T3	20050316	ES 1999-964583		19991216
ZA 2001003948	A	20021115	ZA 2001-3948		20010515
NO 2001002995	A	20010815	NO 2001-2995		20010615
US 6867192	B1	20050315	US 2001-868395		20010906
PRIORITY APPLN. INFO.:			GB 1998-28074	A	19981218
			WO 1999-EP10000	W	19991216

OTHER SOURCE(S): MARPAT 133:74330
GI



I

AB Peptides I [R1, R2 = (un)substituted alkyl, cycloalkyl, alkylcycloalkyl, or aryl- or aryloxy-C1-3-n-alkyl or R1R2N = (un)substituted or (un)benzo-fused pyrrolidinyl, piperidinyl, piperazinyl, thiomorpholinyl, morpholinyl, or azepinyl; R3 = guanidinoalkyl, -alkenyl, or -alkynyl, amino-, carbamoyl-, acyl-, ureido-, carboxy-, aryl-, aryloxy- or (un)substituted heterocyclyl-substituted alkyl, arylalkoxy, aryloxoalkyl; R4 = H, (un)substituted alkyl; R5 = H or R4R5C = cycloalkyl; R6 = H or R4R6 together with the N and C atoms to which they are attached form a pyrrolidine ring] were prepared for the treatment of inflammatory diseases. Thus, (2S)-3-[4-[[(4-acetyl-1-piperazinyl)carbonyl]oxy]phenyl]-2-[[(2S)-2-[[2-(2-tert-butylphenoxy)acetyl]amino]-4-methylpentanoyl]amino]propanoic acid was prepared and showed pIC50 = 8.49 in the Jurkat J6/VCAM-1 adhesion assay.

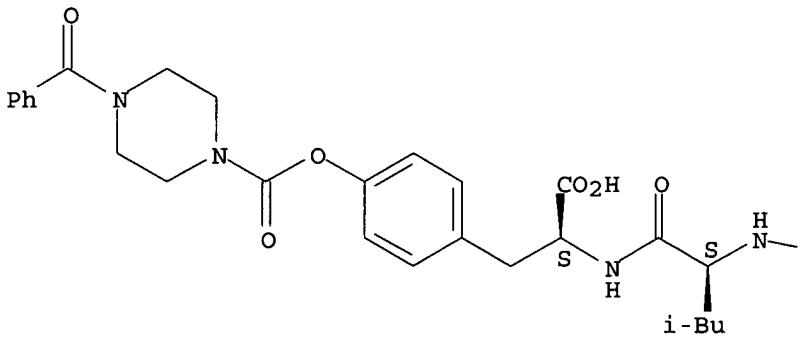
IT **278598-33-7P 278598-40-6P 278598-41-7P 278598-42-8P 278598-43-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides useful in the treatment of inflammatory diseases)

RN 278598-33-7 HCAPLUS

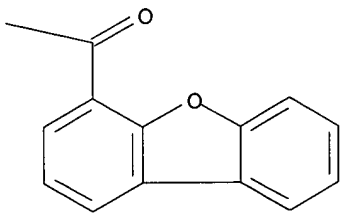
CN L-Tyrosine, N-(4-dibenzofuranylcarbonyl)-L-leucyl-, 4-benzoyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



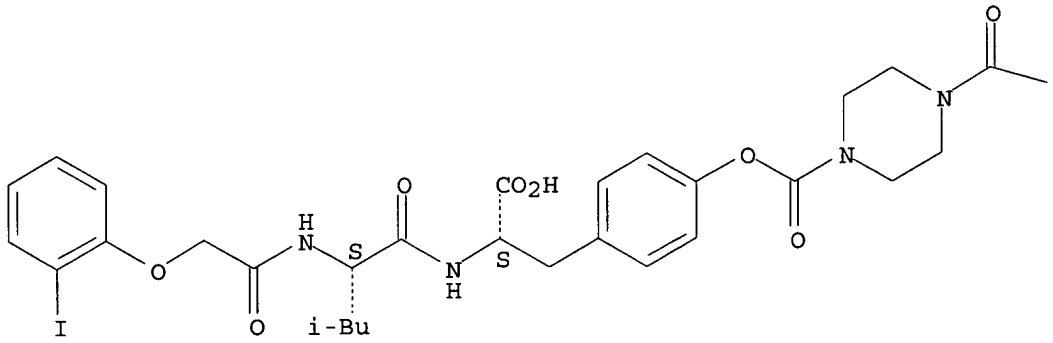
PAGE 1-B



RN 278598-40-6 HCAPLUS
CN L-Tyrosine, N-[(2-iodophenoxy)acetyl]-L-leucyl-, 4-benzoyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

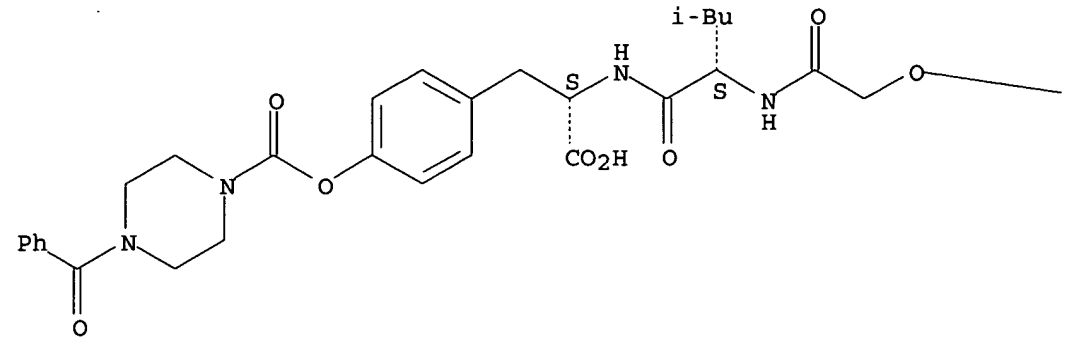


PAGE 1-B

RN 278598-41-7 HCAPLUS
CN L-Tyrosine, N-[[2-(1,1-dimethylethyl)phenoxy]acetyl]-L-leucyl-, 4-benzoyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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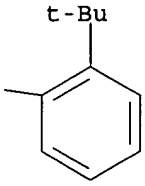
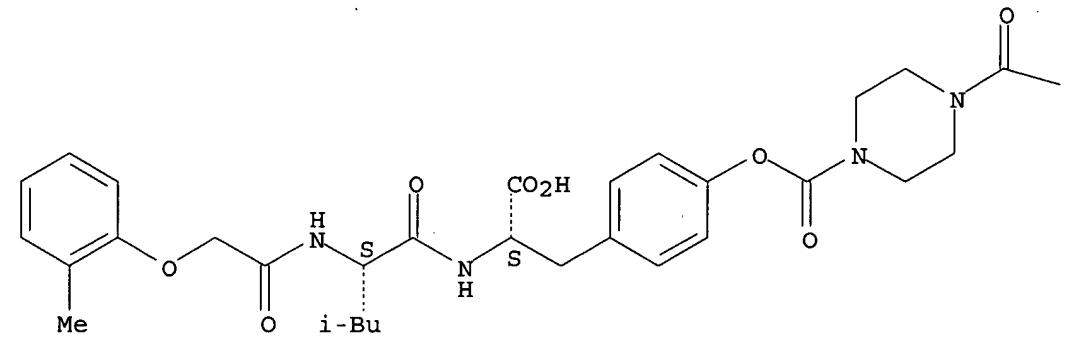


RN 278598-42-8 HCAPLUS
CN L-Tyrosine, N-[(2-methylphenoxy)acetyl]-L-leucyl-, 4-benzoyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

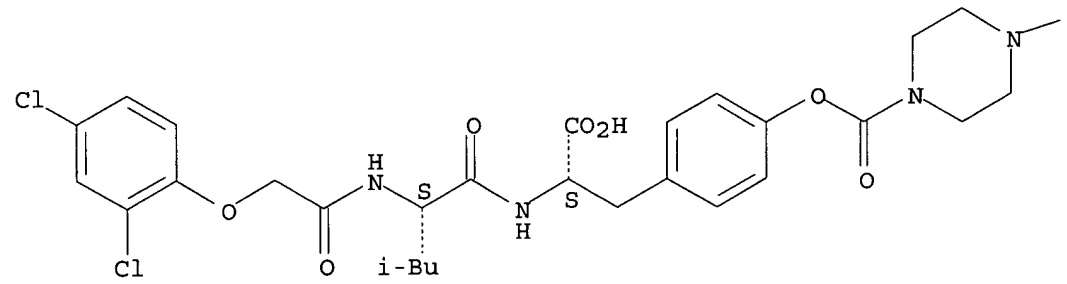


PAGE 1-B

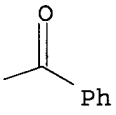
RN 278598-43-9 HCAPLUS
CN L-Tyrosine, N-[(2,4-dichlorophenoxy)acetyl]-L-leucyl-, 4-benzoyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

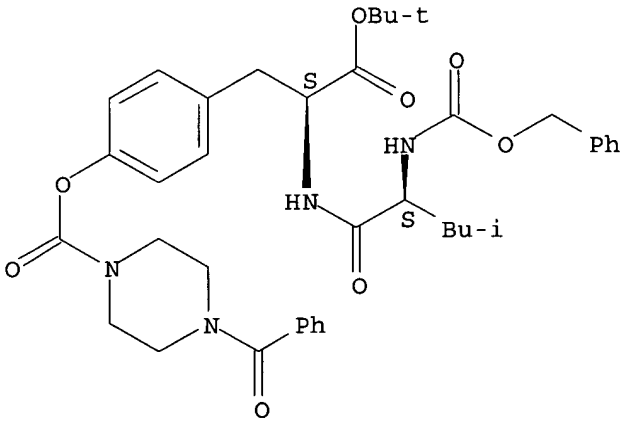


PAGE 1-B



IT **278597-86-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptides useful in the treatment of inflammatory diseases)
RN 278597-86-7 HCAPLUS
CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-leucyl-, 1,1-dimethylethyl ester, 4-benzoyl-1-piperazinecarboxylate (ester) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



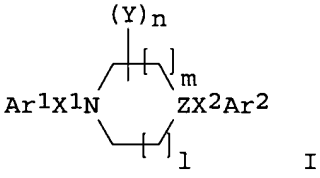
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 22 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:161119 HCAPLUS
DOCUMENT NUMBER: 132:203174
TITLE: Inhibitors of p38-α kinase, preparation thereof,

and therapeutic use
INVENTOR(S): Goehring, R. Richard; Luedtke, Gregory R.; Mavunkel, Babu J.; Chakravarty, Sarvajit; Dugar, Sundeep; Schreiner, George F.; Liu, David Y.; Lewicki, John A.
PATENT ASSIGNEE(S): Scios Inc., USA
SOURCE: PCT Int. Appl., 75 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000012074	A2	20000309	WO 1999-US19845	19990827
WO 2000012074	A3	20000831		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, EE, GE, HU, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2342251	AA	20000309	CA 1999-2342251	19990827
AU 9957936	A1	20000321	AU 1999-57936	19990827
AU 772477	B2	20040429		
EP 1107758	A2	20010620	EP 1999-945316	19990827
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9913654	A	20011127	BR 1999-13654	19990827
JP 2002523448	T2	20020730	JP 2000-567192	19990827
PRIORITY APPLN. INFO.:			US 1998-98219P P	19980828
			US 1999-125343P P	19990319
			WO 1999-US19845 W	19990827

OTHER SOURCE(S): MARPAT 132:203174
GI



I

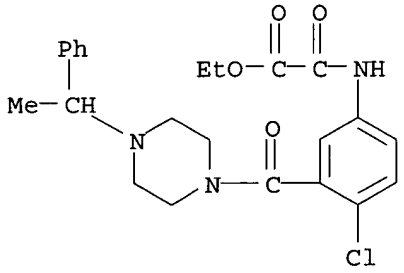
AB Methods are provided for treating conditions mediated by p38-α kinase using compds. I (Z = N, CR1; R1 = noninterfering substituent; X1, X2 = linker; Ar1, Ar2 = (un)substituted C1-20 hydrocarbyl (at least one of Ar1 and Ar2 = (un)substituted aryl), with proviso that when X2 = CH2 or an isostere thereof, X1 = CO or an isostere thereof, and Ar2 = (un)substituted Ph, Ar1 is other than (un)substituted indolyl, benzimidazolyl or benzotriazolyl, and wherein (un)substituted Ph is not (un)substituted indolyl, benzimidazolyl, or benzotriazolyl; Y = noninterfering substituent; n, m = 0-4; l = 0-3) or a pharmaceutically acceptable salt or pharmaceutical composition thereof. Preparation of compds. is described. Compds. of the invention may be used to treat p38-α

kinase-mediated conditions.

IT **260427-74-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(p38-α kinase inhibitors, preparation, and therapeutic use)

RN 260427-74-5 HCAPLUS

CN Acetic acid, [[4-chloro-3-[[4-(1-phenylethyl)-1-piperazinyl]carbonyl]phenyl]amino]oxo-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 23 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:43346 HCAPLUS
DOCUMENT NUMBER: 132:93337
TITLE: Preparation of benzylpiperazine derivatives as delta opioid receptor agonists
INVENTOR(S): Maw, Graham Nigel; Middleton, Donald Stuart
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: Jpn. Kokai Tokkyo Koho, 289 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000016984	A2	20000118	JP 1999-58364	19990305
JP 3416069	B2	20030616		
US 6200978	B1	20010313	US 1999-261540	19990303
CA 2263957	C	20031007	CA 1999-2263957	19990303
CA 2263957	AA	19990905		
BR 9917527	A	20020723	BR 1999-17527	19990305

PRIORITY APPLN. INFO.: GB 1998-4734 A 19980305
OTHER SOURCE(S): MARPAT 132:93337
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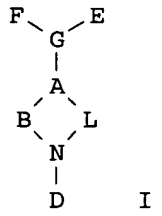

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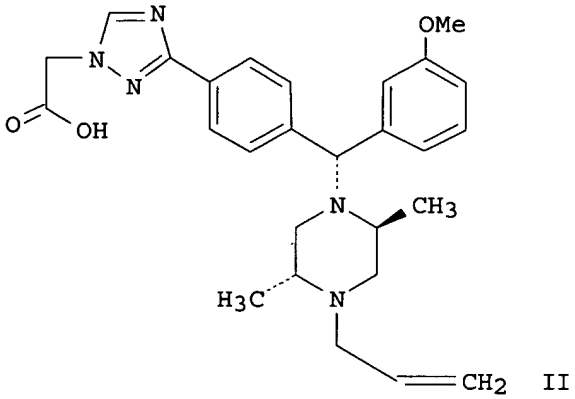


II

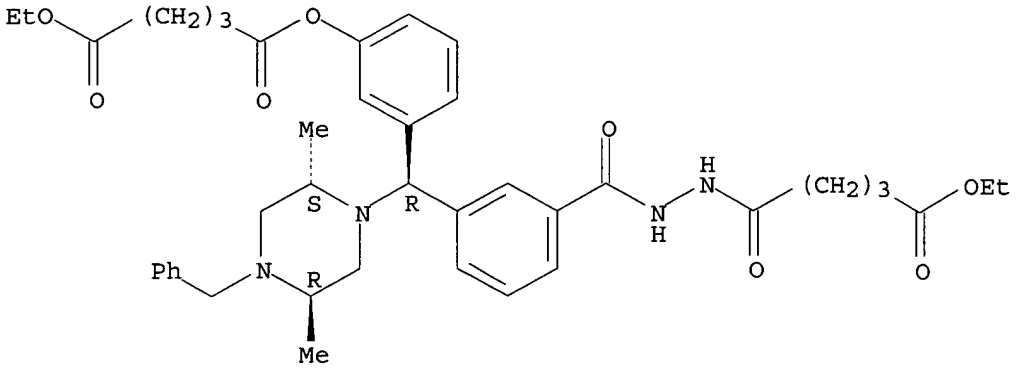
AB Title compds [I; A = N, CX; X = H, c1-4 alkyl; G = CY; Y = H, c1-4alkyl; B = c1-4 hydrocarbonyl; A, B, L, N constitute 5-7 atoms ring; D = H, c1-10 hydrocarbonyl; D linked to B or L forming 5-7 membered-ring; E = OH substituted Ph, c1-4 alkoxy, NH2SO2c1-4alkylene; F = aryl, heterocyclyl (exclude tetrazolyl)], pharmaceutically acceptable salt, solvate, and stereoisomers are prepared and tested as delta opioid receptor agonists and claimed useful in the manufacture of pharmaceutical composition,including method comprising administering to a subject an effective amount of a title compound, for preventing or in treatment of inflammation diseases such as arthritis, psoriasis, asthma, inflammatory bowel disease, disorders of respiratory function, gastro-intestinal disorders, such as functional bowel disease, functional GI disorders (irritable bowel syndrome), functional diarrhea, functional distension, functional pain, non-ulcerogenic dyspepsia, or others associated with disorders of motility or secretion, urogenital tract disorders such as incontinence, as analgesics for treating pain including non-somatic pain, or as immunosuppressants to prevent rejection in organ transplant and skin graft. The title compound II was prepared

IT **253800-98-5P 253800-99-6P 253801-00-2P 253801-27-3P 253801-28-4P 253801-29-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzylpiperazine derivs. as delta opioid receptor agonists)

RN 253800-98-5 HCAPLUS

CN Pentanedioic acid, monoethyl ester, 2-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl][3-[(5-ethoxy-1,5-dioxopentyl)oxy]phenyl]methyl]benzoyl]hydrazide (9CI) (CA INDEX NAME)

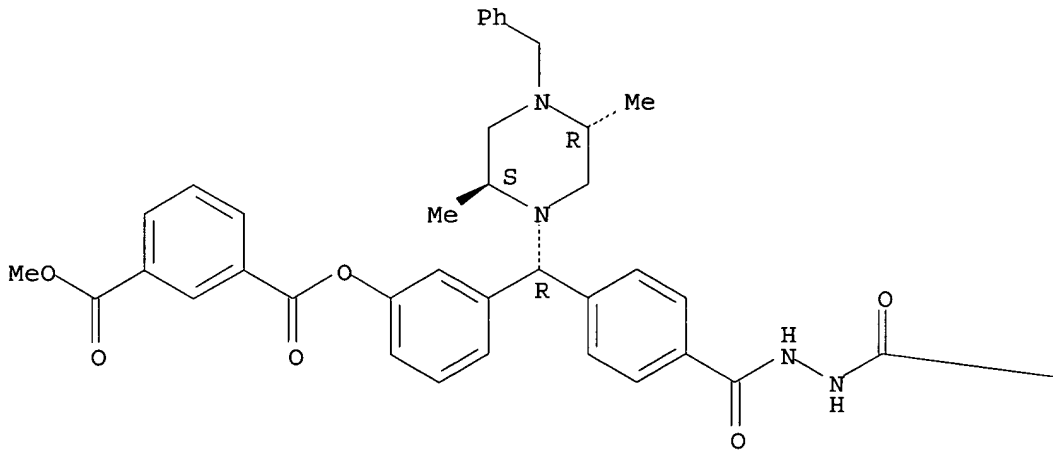
Absolute stereochemistry.



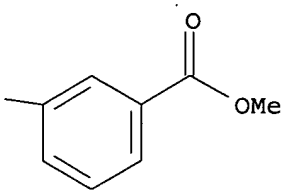
RN 253800-99-6 HCAPLUS
CN 1,3-Benzenedicarboxylic acid, monomethyl ester, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl][3-[[3-(methoxycarbonyl)benzoyl]oxy]phenyl]methyl]benzoyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



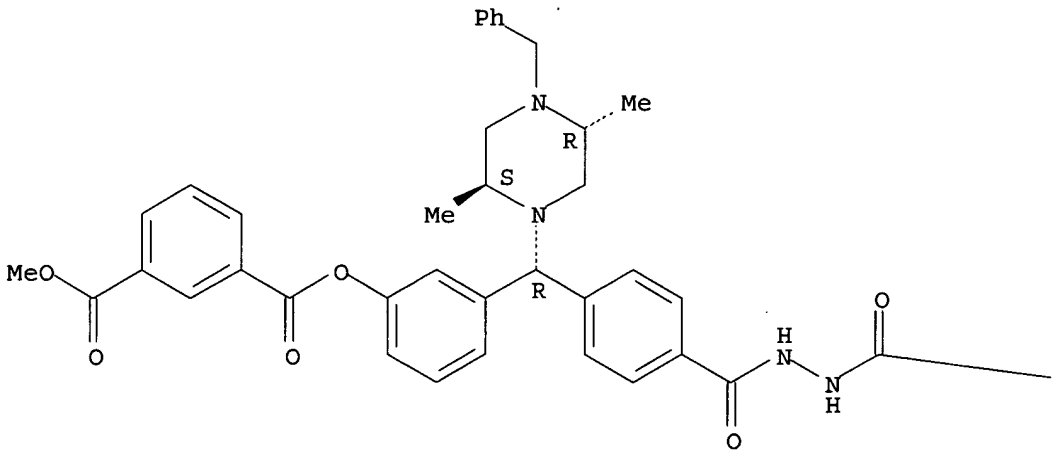
PAGE 1-B

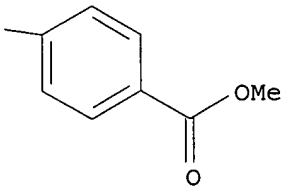


RN 253801-00-2 HCAPLUS
CN 1,3-Benzenedicarboxylic acid, 3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl][4-[[2-[4-(methoxycarbonyl)benzoyl]hydrazino]carbonyl]phenyl]methyl]phenyl methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

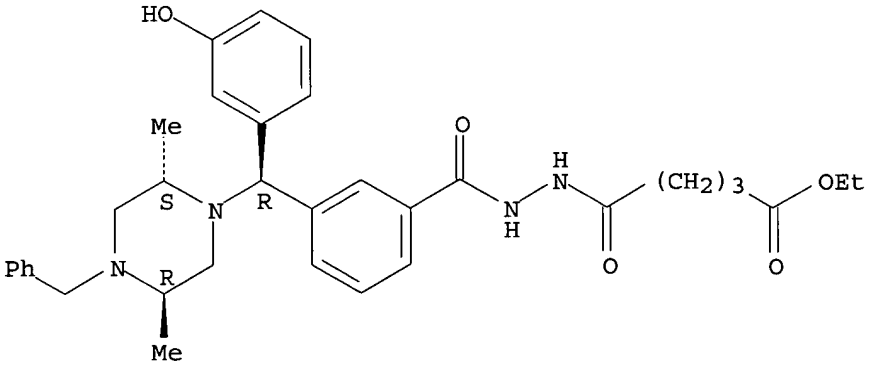
PAGE 1-A





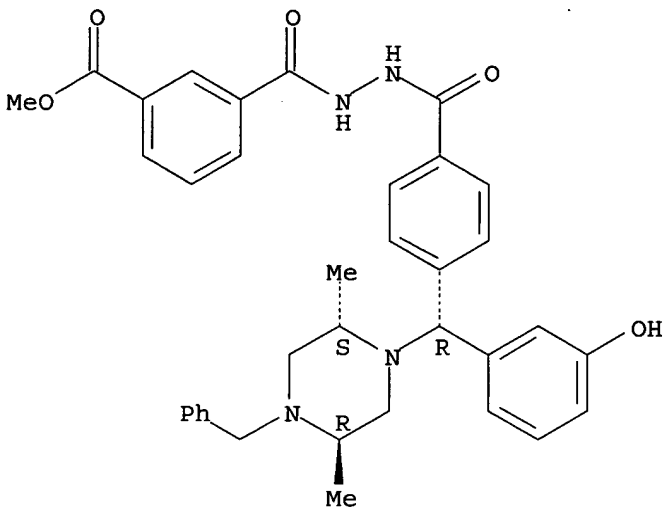
RN 253801-27-3 HCAPLUS
CN Pentanedioic acid, monoethyl ester, 2-[3-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



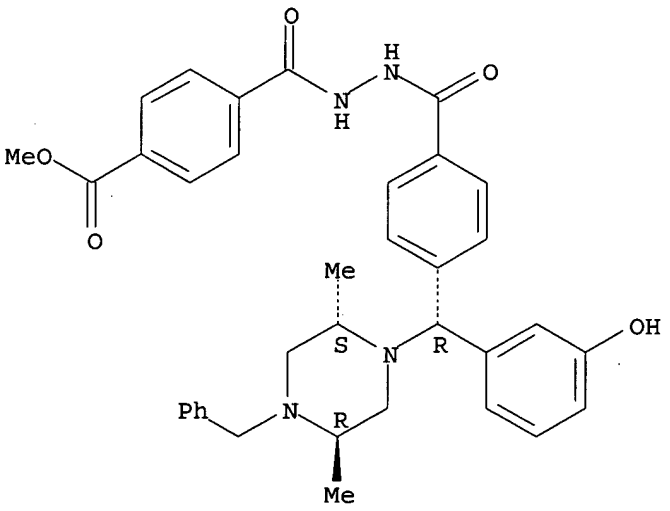
RN 253801-28-4 HCAPLUS
CN 1,3-Benzenedicarboxylic acid, monomethyl ester, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 253801-29-5 HCAPLUS
CN 1,4-Benzenedicarboxylic acid, monomethyl ester, 2-[4-[(R)-[(2S,5R)-2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

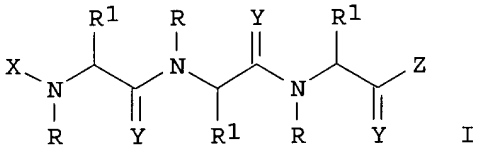


L12 ANSWER 24 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:811266 HCAPLUS
DOCUMENT NUMBER: 132:50253
TITLE: Preparation of tetrapeptides and their analogs that selectively bind mammalian opioid receptors
INVENTOR(S): Persons, Paul E.; Hauske, James; Hussoin, Roushan A.
PATENT ASSIGNEE(S): Sepracor, Inc., USA
SOURCE: PCT Int. Appl., 225 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9965932	A1	19991223	WO 1999-US13638		19990618
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
AU 9945729	A1	20000105	AU 1999-45729		19990618
US 6548637	B1	20030415	US 1999-336314		19990618
PRIORITY APPLN. INFO.:			US 1998-89792P	P	19980618
			WO 1999-US13638	W	19990618

OTHER SOURCE(S): MARPAT 132:50253
GI



I

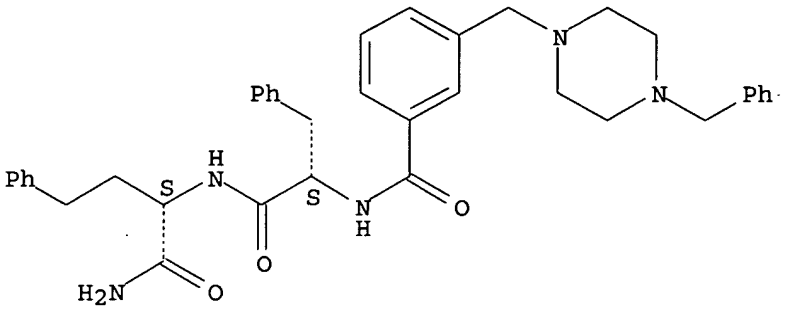
AB Tetrapeptides or analogs or peptidomimetics thereof, e.g., I [X = COR, SO2R, CONR2; Y = O, S, NR, (H)2, (R)2; Z = R, OR, SR, NR2; R = H, Me, lower alkyl, lower heteroalkyl, aryl, heteroaryl, aralkyl, heteroaralkyl; R1 = H, Me, lower alkyl, alkyl, aryl, heteroaryl, side chain of any naturally occurring α-amino acids; R and R1 taken together, when attached to adjacent N and C atoms, resp., may represent a ring with a total of 5-7 backbone atoms inclusive; said ring may contain two addnl. heteroatoms selected from O, S, N, Se and P; said ring may be unsubstituted or further substituted with one or more R, etc.], were prepared as ligands for mammalian opioid receptors. For example, N-[[(2,5-difluorophenyl)amino]carbonyl]-Pro-Phe-HPA-NH2 (II) (HPA = L-homophenylalanine) was synthesized from Rink resin-bound Fmoc-Pro-Phe-HPA and 2,5-difluorophenyl isocyanate; II demonstrated IC50 < 1 μM and < 10 μM in μ- and κ-opioid receptor assays, resp. The title compds. comprise full agonists, partial agonists, and antagonists of mammalian opioid receptors.

IT **252767-19-4P 252767-24-1P 252767-36-5P**
252767-48-9P 252767-56-9P 252767-60-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tetrapeptides and their analogs that selectively bind mammalian opioid receptors)

RN 252767-19-4 HCAPLUS

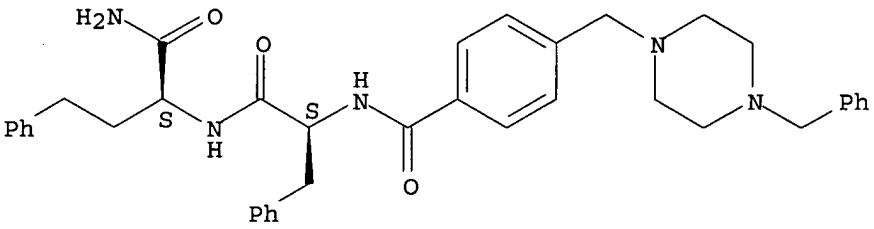
CN Benzenebutanamide, N-[3-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]-L-phenylalanyl-α-amino-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



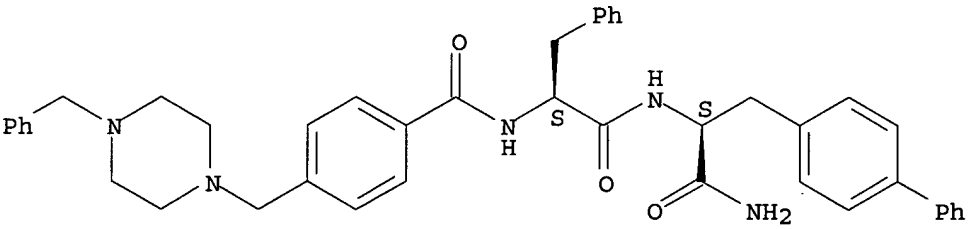
RN 252767-24-1 HCAPLUS
CN Benzenebutanamide, N-[4-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]-L-phenylalanyl-α-amino-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



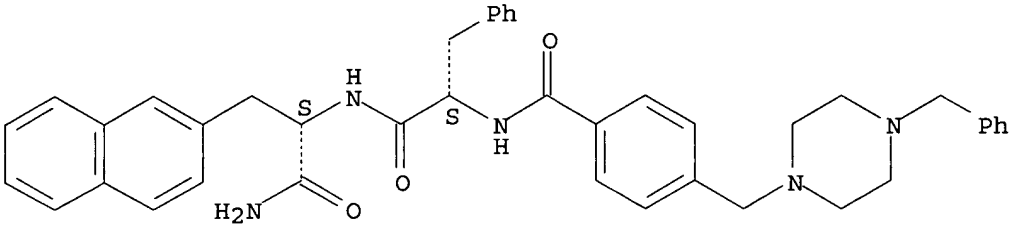
RN 252767-36-5 HCAPLUS
CN L-Alaninamide, N-[4-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]-L-phenylalanyl-3-[1,1'-biphenyl]-4-yl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



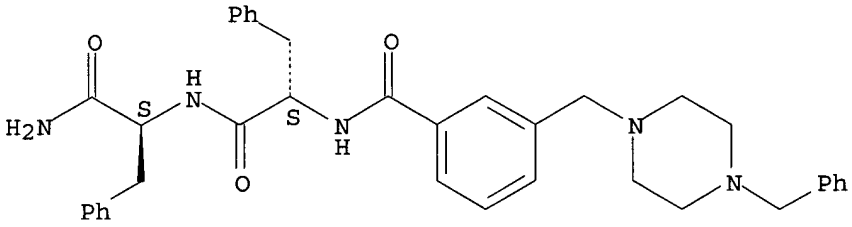
RN 252767-48-9 HCAPLUS
CN L-Alaninamide, N-[4-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]-L-phenylalanyl-3-(2-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



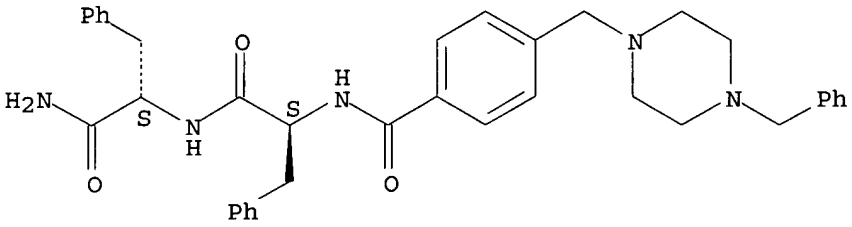
RN 252767-56-9 HCAPLUS
CN L-Phenylalaninamide, N-[3-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 252767-60-5 HCAPLUS
CN L-Phenylalaninamide, N-[4-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]-L-phenylalanyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 25 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:691092 HCAPLUS
DOCUMENT NUMBER: 131:299287
TITLE: Preparation of N-(acylalkyl)benzamides as cysteine protease inhibitors
INVENTOR(S): Lubisch, Wilfried; Moller, Achim; Treiber, Hans-Jorg; Knopp, Monika
PATENT ASSIGNEE(S): BASF Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9954320	A1	19991028	WO 1999-EP2620	19990419
W: AL, AU, BG, BR, BY, CA, CN, CZ, GE, HR, HU, ID, IL, IN, JP, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2328720	AA	19991028	CA 1999-2328720	19990419
AU 9938187	A1	19991108	AU 1999-38187	19990419
BR 9909819	A	20001219	BR 1999-9819	19990419
EP 1080083	A1	20010307	EP 1999-920705	19990419
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, FI, RO				
TR 200003071	T2	20010420	TR 2000-200003071	19990419
JP 2002512240	T2	20020423	JP 2000-544659	19990419
NO 2000005261	A	20001019	NO 2000-5261	20001019
BG 104885	A	20010531	BG 2000-104885	20001024
HR 2000000788	A1	20010630	HR 2000-788	20001117
ZA 2000006714	A	20011119	ZA 2000-6714	20001117
PRIORITY APPLN. INFO.:			DE 1998-19817460 A	19980420
			WO 1999-EP2620 W	19990419

OTHER SOURCE(S): MARPAT 131:299287

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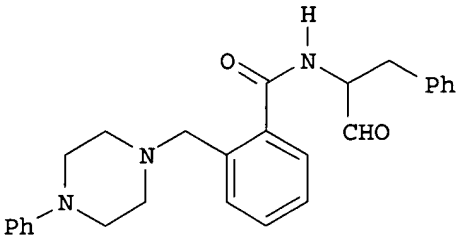


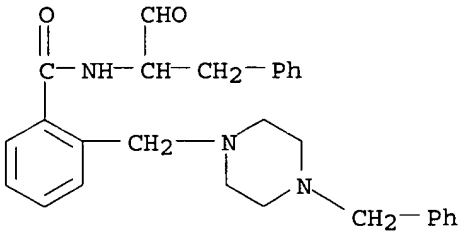
I

AB R1Z1[Z2(Z3R3)]CONHCHR4COR5 [R1 = H, alkyl, (hetero)aryl; R3 = (di)[(phenyl)alkyl]amino, pyrrolidino, piperidino, etc.; R4 = [(hetero)aryl]alkyl; R5 = H, CO2R11, COR; R = (un)substituted pyrrolidino, -piperidino, -piperazino; R11 = H, (phenyl)alkyl, etc.; Z1 = bond, alkylene, O, CO, etc.; Z2 = (un)substituted phenylene, -pyridinediyl, -imidazolediyl, etc.; Z3 = (CH2)1-3] were prepared as cysteine protease inhibitors (no data). Thus, 2-(ClH2C)C6H4CO2Me was aminated by 1-phenylpiperazine and the saponified product amidated by PhCH2CH(NH2)CH2OH to give, after oxidation, title compound I.

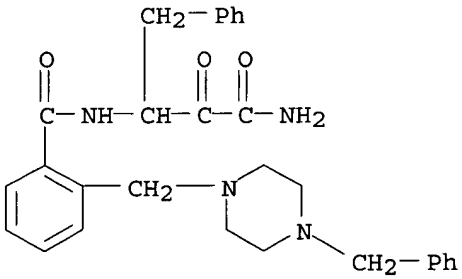
IT **247061-66-1P 247061-67-2P 247061-70-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(acylalkyl)benzamides as cysteine protease inhibitors)

RN 247061-66-1 HCAPLUS

CN Benzamide, N-(1-formyl-2-phenylethyl)-2-[[4-(phenylmethyl)-1-piperazinyl]methyl]- (9CI) (CA INDEX NAME)



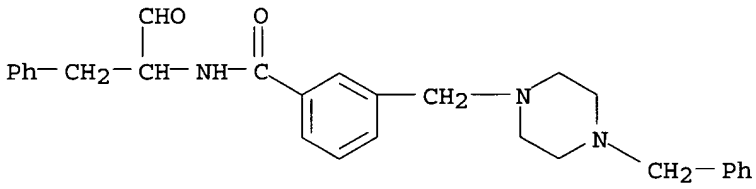
RN 247061-67-2 HCAPLUS
CN Benzenebutanamide, α-oxo-β-[[2-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]amino]- (9CI) (CA INDEX NAME)



RN 247061-70-7 HCAPLUS
CN Benzamide, N-(1-formyl-2-phenylethyl)-3-[[4-(phenylmethyl)-1-piperazinyl]methyl]-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

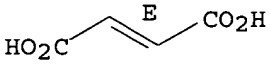
CRN 247061-69-4
CMF C28 H31 N3 O2



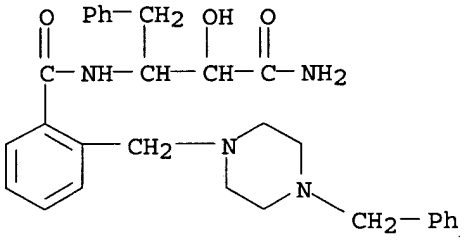
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT **247061-97-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-(acylalkyl)benzamides as cysteine protease inhibitors)
RN 247061-97-8 HCAPLUS
CN Benzenebutanamide, α-hydroxy-β-[[2-[[4-(phenylmethyl)-1-piperazinyl]methyl]benzoyl]amino]- (9CI) (CA INDEX NAME)

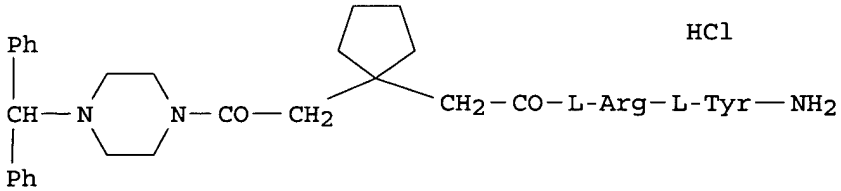


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 26 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:684275 HCAPLUS
DOCUMENT NUMBER: 131:286823
TITLE: Preparation of novel peptides for use as NPY antagonists
INVENTOR(S): Esser, Franz; Schnorrenberg, Gerd; Dollinger, Horst; Gaida, Wolfram
PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma K.-G., Germany
SOURCE: Ger. Offen., 44 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19816932	A1	19991021	DE 1998-19816932	19980416

PRIORITY APPLN. INFO.: DE 1998-19816932 19980416
OTHER SOURCE(S): MARPAT 131:286823
GI



I

AB Title compds. of the formula RR1NC(O)-A-C(O)-B-D-NH2, where R, R1 independently = H, (un)substituted alkyl, substituted Ph, pyrrolidinyl, morpholino, perhydroazepinyl, amino, alkylamino, or (un)substituted

1,2,3,4-tetrahydro-pyrimido[1,2-a]benzimidazole, A = (un)substituted (un)saturated alicyclic, (un)substituted alkyl, or CH2-X-CH2, where X = O, S, or substituted N, and B and D = (un)substituted D- or L-amino acid residues, were prepared for pharmaceutical use as NPY antagonists in the treatment of cardiovascular disturbances, coronary, cerebral, or renal vasospasm, obesity, bulimia, or asthma. Thus, 1-(diphenyl-methyl)-piperazine was reacted with 3,3-tetramethylene-glutaric anhydride and the product condensed with a dipeptide (preparation given) to give I in 41% yield. In in vitro receptor affinity tests using NPY receptor prepns. from rabbits, I had IC50 4.0x10-8 M.

IT **246161-72-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of for use as NPY antagonists)

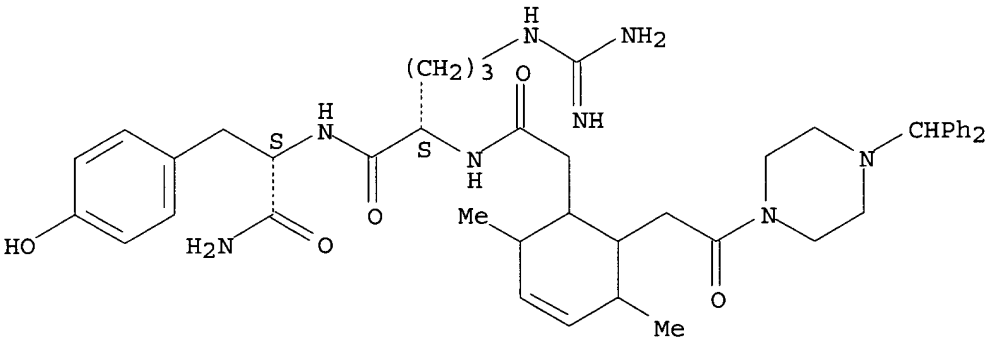
RN 246161-72-8 HCAPLUS

CN L-Tyrosinamide, N2-[[6-[2-[4-(diphenylmethyl)-1-piperazinyl]-2-oxoethyl]-2,5-dimethyl-3-cyclohexen-1-yl]acetyl]-L-arginyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

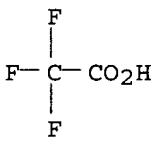
CRN 246161-71-7
CMF C44 H58 N8 O5

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

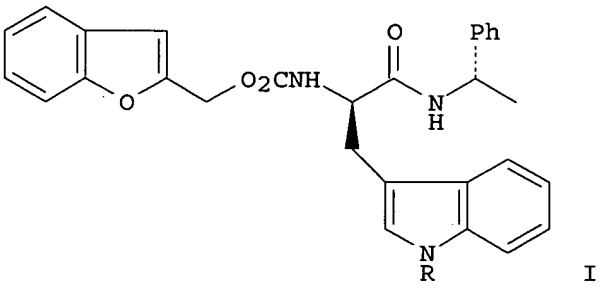


L12 ANSWER 27 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:672811 HCAPLUS
DOCUMENT NUMBER: 131:299365

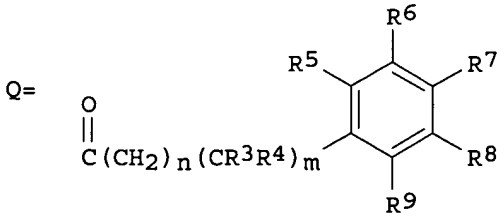
TITLE: Preparation of prodrugs of benzofuranylmethyl carbamate NK1 antagonists
INVENTOR(S): Chan, Oilun Helen; Chen, Michael Huai Gu; Goel, Om Prakash; Hershenson, Fred M.; Zhu, Zhijian
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9952903	A1	19991021	WO 1999-US6041	19990319
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2323047	AA	19991021	CA 1999-2323047	19990319
CA 2323047	C	20050315		
AU 9930114	A1	19991101	AU 1999-30114	19990319
EP 1075472	A1	20010214	EP 1999-911477	19990319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002511467	T2	20020416	JP 2000-543460	19990319
US 6258800	B1	20010710	US 2000-601570	20000803

PRIORITY APPLN. INFO.: US 1998-81881P P 19980415
WO 1999-US6041 W 19990319
OTHER SOURCE(S): MARPAT 131:299365
GI



I



AB Aqueous soluble prodrugs I [R = CH2OZ, C(O)OCH2OZ, Z, wherein Z = Q, P(O)(OH)2, C(O)Q1; n = 0-3; m = 0, 1] of certain tachykinin antagonists (NK1 antagonists) useful in the treatment of emesis, were prepared E.g., {3-[2-(benzofuran-2-ylmethoxycarbonylamino)-2-(1-phenylethylcarbamoyl)propyl]indol-1-yl}phosphonic acid disodium salt was prepared

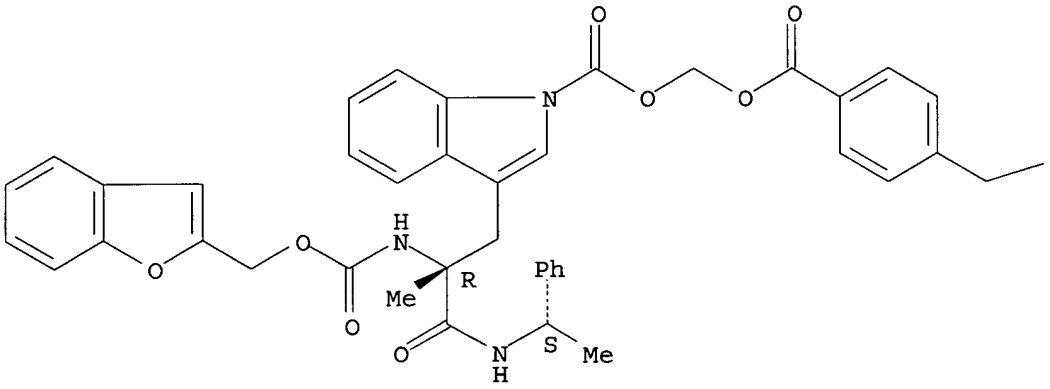
IT **247018-24-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of prodrugs of benzofuranylmethyl carbamate NK1 antagonists)

RN 247018-24-2 HCAPLUS

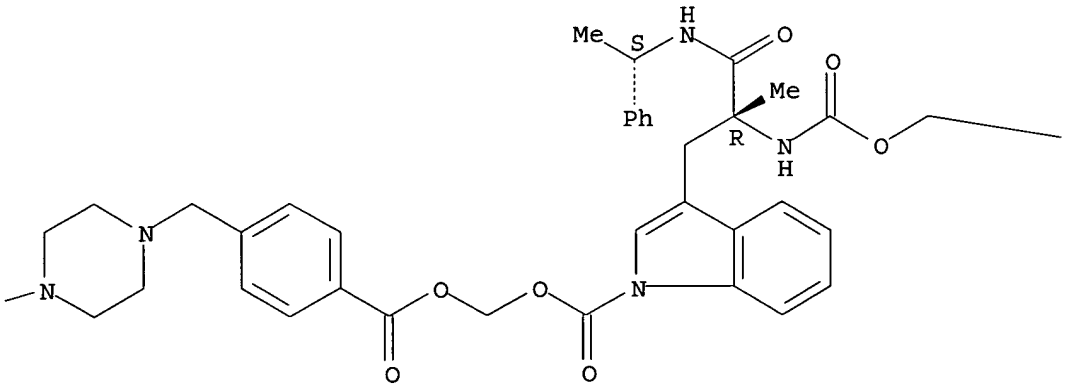
CN 1H-Indole-1-carboxylic acid, 3-[(2R)-2-[[(2-benzofuranylmethoxy)carbonyl]amino]-2-methyl-3-oxo-3-[[(1S)-1-phenylethyl]amino]propyl]-, 1,4-piperazinediylbis(methylene-4,1-phenylenecarbonyloxymethylene) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

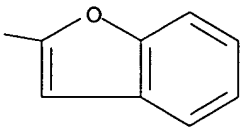
PAGE 1-A



PAGE 1-B



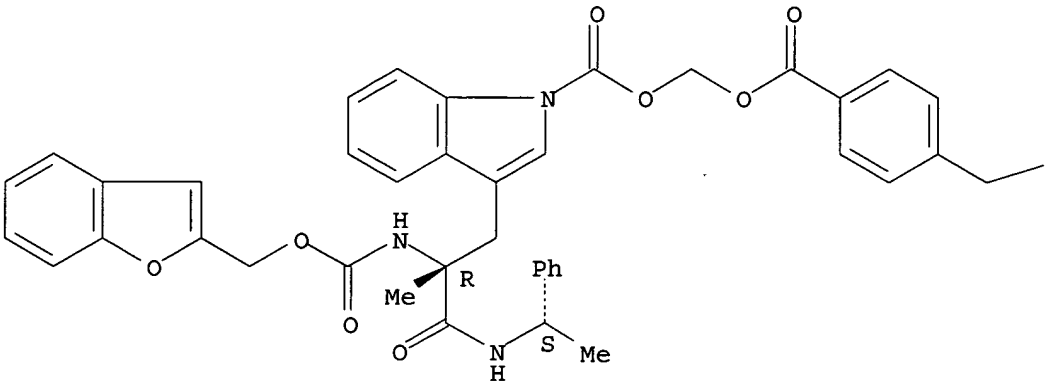
PAGE 1-C



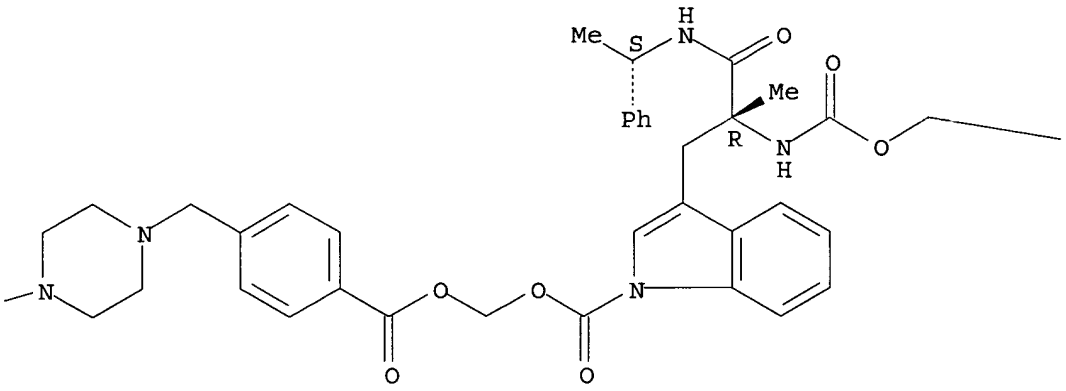
IT **247018-16-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of prodrugs of benzofuranylmethyl carbamate NK1 antagonists)
RN 247018-16-2 HCAPLUS
CN 1H-Indole-1-carboxylic acid, 3-[(2R)-2-[[(2-benzofuranylmethoxy)carbonyl]amino]-2-methyl-3-oxo-3-[[(1S)-1-phenylethyl]amino]propyl]-, 1,4-piperazinediylbis(methylene-4,1-phenylenecarbonyloxymethylene) ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

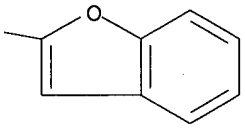
PAGE 1-A



PAGE 1-B



PAGE 1-C



●2 HCl

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 28 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:249093 HCAPLUS
DOCUMENT NUMBER: 130:312099
TITLE: Preparation of peptide-containing α-ketoamide cysteine and serine protease inhibitors
INVENTOR(S): Chatterjee, Sankar; Mallamo, John P.; Bihovsky, Ron; Wells, Gregory J.
PATENT ASSIGNEE(S): Cephalon Inc., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9917790	A1	19990415	WO 1998-US21055	19981007

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6150378	A	20001121	US 1998-166808		19981006
CA 2304116	AA	19990415	CA 1998-2304116		19981007
AU 9910686	A1	19990427	AU 1999-10686		19981007
AU 749555	B2	20020627			
EP 1021199	A1	20000726	EP 1998-953275		19981007
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
JP 2001518513	T2	20011016	JP 2000-514661		19981007
NZ 503550	A	20020201	NZ 1998-503550		19981007
US 6288231	B1	20010911	US 2000-527540		20000316
MX 200003419	A	20001113	MX 2000-3419		20000407
US 2002055616	A1	20020509	US 2001-879336		20010612
US 6703368	B2	20040309			
US 2004102609	A1	20040527	US 2003-685923		20031014
PRIORITY APPLN. INFO.:			US 1997-61309P	P	19971007
			US 1998-166808	A	19981006
			WO 1998-US21055	W	19981007
			US 2000-527540	A3	20000316
			US 2001-879336	A3	20010612

OTHER SOURCE(S): MARPAT 130:312099

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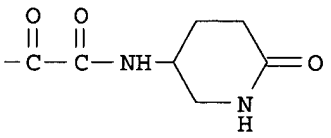

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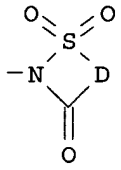

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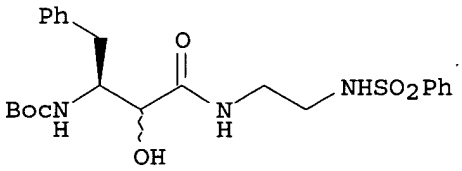

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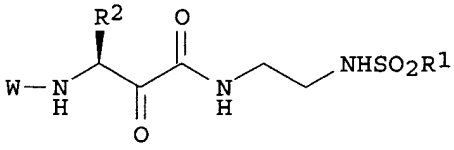


IV

AB Title compds. of formula Q-(Aaa)n-(NR3-CH(R1)-CO)q-NH-CH(R2)-Z [Q = G-B-(CHR4)v; R4 = H, C1-4 alkyl; v = 0-2; B = CO, OC(O), S(O)m, CH2, bond, NR5CO, S(O)m-A-CO, CO-A-CO; R5 = H, alkyl; m = 0-2; A = (un)substituted alkylene or cycloalkylene; G = H, a blocking group, alkenyl, (un)substituted alkyl, aryl, heterocyclyl, heterocycloalkyl, arylalkyl, heteroarylalkyl, or arylheteroalkyl; Aaa = an amino acid optionally containing blocking groups; n = 0-3; R1 and R2 = independently H, heteroaryl, (un)substituted alkyl, arylalkyl, heteroalkyl, heteroarylalkyl, or alkoxyalkyl, (un)substituted naturally occurring amino acid side chain; R3

= H, alkyl, arylalkyl, heteroalkyl, heteroarylalkyl, alkoxyalkyl, (un)substituted naturally occurring amino acid side chain, blocking group, etc.; q = 0-1; Z = CO-CO-NH-X-A1-K or I; X = bond, O; A1 = A; K = N(R10)Y, II, SO2N(R8)(R10); D = fused aryl, or heteroaryl group; R11 = alkoxy, aryloxy, NHR12; R9, R12 = H, (un)substituted alkyl, aryl, or heteroaryl; Y = SO2R8, CONHR9, CSNHR9, C(=NCN)R11, C(=NCONHR10)R11, CO2R8; R8 = (un)substituted alkyl, alkoxy, aryl, or heterocyclyl; R10 = H, alkyl; R8 and R10 may combine with the N atom to which they are attached to form an N-containing heterocyclic ring; R9 may be combined with an A1 alkylene group to form an N-containing heterocyclic ring] or their pharmaceutically acceptable salts, were prepared as cysteine and serine protease inhibitors. Thus, III (preparation given) was oxidized by Dess-Martin periodinane, deprotected, and coupled with PhSO2-L-Pro-OH to yield compound IV (W = PhSO2-L-Pro, R2 = PhCH2, R1 = Ph) which exhibited 78% inhibition of calpain I at 10 μM. Compound IV (W = MeSO2-D-Ser(CH2Ph), R2 = CH2OMe, R1 = Ph) exhibited 100% inhibition of calpain I at 10 μM. Methods for the use of the protease inhibitors are also described.

IT **223513-84-6P**

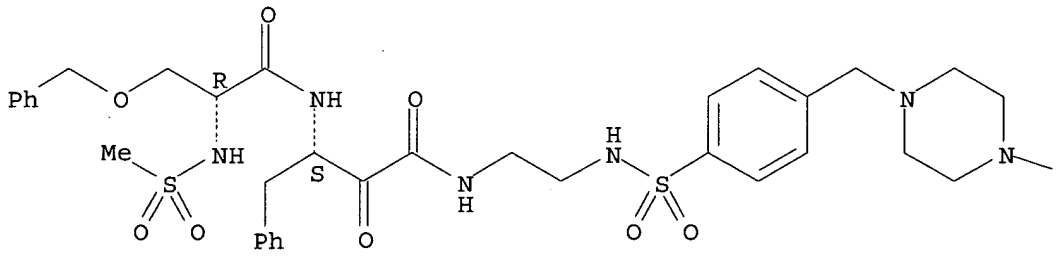
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide-containing α-ketoamide cysteine and serine protease inhibitors)

RN 223513-84-6 HCAPLUS

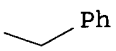
CN Benzenebutanamide, β-[[(2R)-2-[(methylsulfonyl)amino]-1-oxo-3-(phenylmethoxy)propyl]amino]-α-oxo-N-[2-[[[4-[[4-(phenylmethyl)-1-piperazinyl]methyl]phenyl]sulfonyl]amino]ethyl]-, (βS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 29 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN

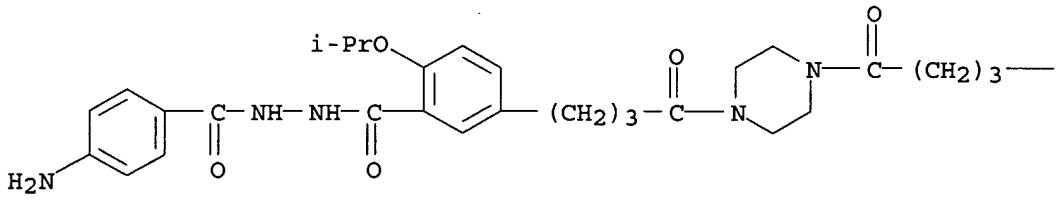
ACCESSION NUMBER: 1999:70873 HCAPLUS
DOCUMENT NUMBER: 130:223667
TITLE: Synthesis of Ordered Polymer by Direct Polycondensation. 9. Ordered Poly(amide-acylhydrazide-amide) from Three Nonsymmetric Monomers
AUTHOR(S): Yu, Shuyan; Seino, Hiroshi; Ueda, Mitsuru
CORPORATE SOURCE: Department of Human Sensing and Functional Sensor Engineering Graduate School of Engineering, Yamagata University, Yonezawa Yamagata, 992-8510, Japan
SOURCE: Macromolecules (1999), 32(4), 1027-1035
CODEN: MAMOBX; ISSN: 0024-9297
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

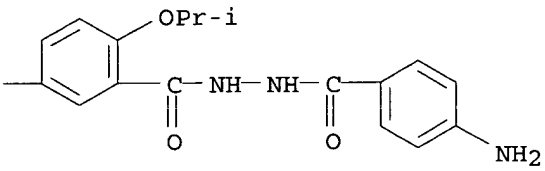
AB An ordered (-ccfebaddabef-) poly(amide-acylhydrazide-amide) was prepared by the direct polycondensation of 5-(3-carboxypropyl)-2-isopropoxybenzoic acid (XabX), bis(4-nitrophenyl) isophthalate (XccX), piperazine (YddY), and 4-aminobenzohydrazide (YefY), using the condensing agent diphenyl(2,3-dihydro-2-thioxo-3-benzoxazolyl)phosphonate (I). The polymerization was carried out by mixing the dicarboxylic acid, diester, condensing agent I, and triethylamine (TEA) in N-methyl-2-pyrrolidinone (NMP) for 3 h at room temperature, followed by addition of piperazine and aminobenzohydrazide at -15°. The mixture was stirred at -15° for 2 h, room temperature for 24 h, and 70° for 4 d in the presence of 1-hydroxybenzotriazole (HOBt). The resulting polymer has inherent viscosity of 0.20 dL/g in NMP, measured at a concentration of 0.5 g/dL at 30°. The feasibility of formation of the ordered polymer from three nonsym. monomers was demonstrated by studying the model reactions in detail. The authentic ordered and random polymers were prepared to verify the structure of the ordered polymer. The microstructure of the polymers obtained was studied by 1H and 13C NMR spectroscopy; the polymer obtained by direct polycondensation has the expected ordered structure.

IT **221041-42-5P**, 5,5'-[3,3'-(Piperazine-1,4-dicarbonyl)dipropylene]bis[N'-(4-aminobenzoyl)-2-isopropoxybenzohydrazide]
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate in step polyamide preparation; preparation of authentic ordered poly(amide-acylhydrazide-amide) via sequential steps using condensing reagent)

RN 221041-42-5 HCAPLUS
CN Benzoic acid, 3,3'-[1,4-piperazinediylbis(4-oxo-4,1-butanediyl)]bis[6-(1-methylethoxy)-, bis[2-(4-aminobenzoyl)hydrazide] (9CI) (CA INDEX NAME)

PAGE 1-A





REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 30 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:7977 HCAPLUS
DOCUMENT NUMBER: 130:66509
TITLE: Preparation of N-benzylpiperazines as antiinflammatory agents
INVENTOR(S): Bauman, John G.; Buckman, Brad O.; Ghannam, Ameen F.; Hesselgesser, Joseph E.; Horuk, Richard; Islam, Imadul; Liang, Meina; May, Karen B.; Monahan, Sean D.; Morissey, Michael M.; Ng, Howard P.; Wei, Guo Ping; Xu, Wei; Zheng, Wei
PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 309 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9856771	A2	19981217	WO 1998-EP3503	19980611
WO 9856771	A3	19990311		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2293382	AA	19981217	CA 1998-2293382	19980611
AU 9886258	A1	19981230	AU 1998-86258	19980611
AU 735462	B2	20010712		
EP 988292	A2	20000329	EP 1998-937467	19980611
EP 988292	B1	20030212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
EE 9900565	A	20000615	EE 1999-565	19980611
EE 4056	B1	20030616		
JP 2002503239	T2	20020129	JP 1999-501611	19980611
AT 232522	E	20030215	AT 1998-937467	19980611
EE 200200682	A	20030415	EE 2002-200200682	19980611
EE 200200683	A	20030415	EE 2002-200200683	19980611
EE 200200684	A	20030415	EE 2002-200200684	19980611
IL 132398	A1	20040831	IL 1998-132398	19980611
NO 9906068	A	20000211	NO 1999-6068	19991209

MX 9911506	A	20000430	MX 1999-11506		19991210
NO 2003001373	A	20000211	NO 2003-1373		20030326
PRIORITY APPLN. INFO.:			US 1997-873599	A	19970612
			US 1998-94397	A	19980609
			WO 1998-EP3503	W	19980611

OTHER SOURCE(S): MARPAT 130:66509

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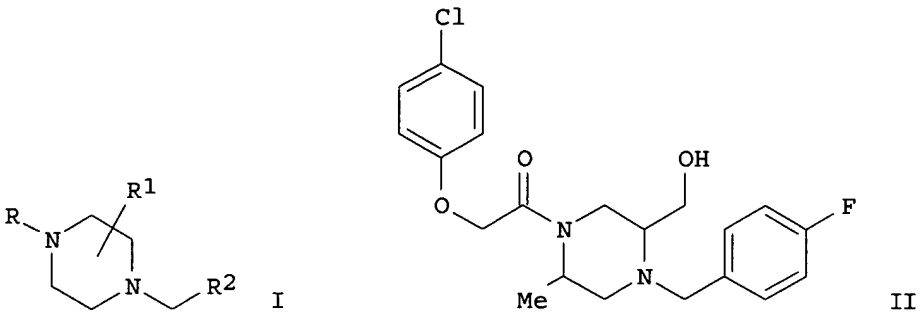


I II

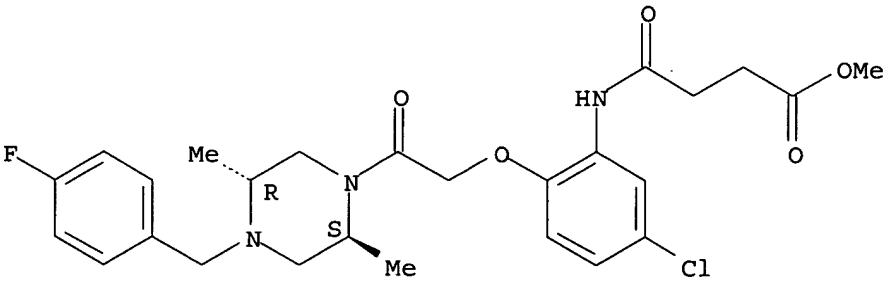
AB Title compds. [I; R = R3Z3Z2Z1; R1 = ≥1 of halo, alkyl, aryl, etc.; R2 = (un)substituted Ph; R3 = (un)substituted carbocyclic ring system (sic) or (un)substituted heterocyclic ring system (sic); Z1 = bond, CH2, CO, etc.; Z2 = alkylene or alkylidene; Z3 = bind, O, CH2, (alkyl)imino, etc.] were prepared as chemokine inhibitors (no data). Thus, (2R,5S)-1-(4-fluorobenzyl)-2-hydroxymethyl-5-methylpiperazine was N-acylated by 4-ClC6H4OCH2COCl to give title compound (R,R)-II.

IT **217644-74-1P 217644-75-2P 217645-11-9P**
217645-12-0P 217645-13-1P 217645-14-2P
217645-15-3P 217645-16-4P 217645-17-5P
217645-18-6P 217645-19-7P 217645-20-0P
217645-21-1P 217645-22-2P 217645-23-3P
217645-25-5P 217645-29-9P 217646-95-2P
217646-96-3P 217647-07-9P 217647-39-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-benzylpiperazines as antiinflammatory agents)

RN 217644-74-1 HCAPLUS

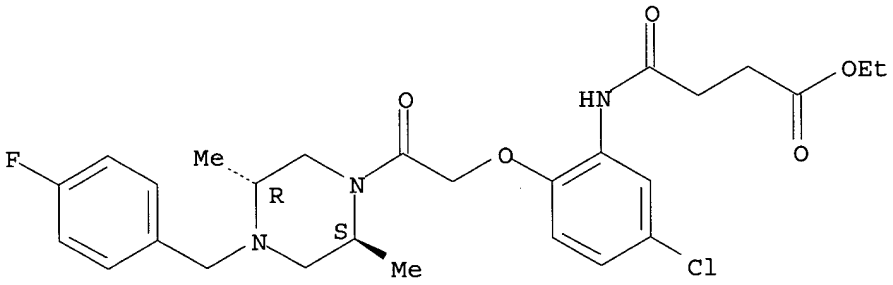
CN Butanoic acid, 4-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-4-oxo-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



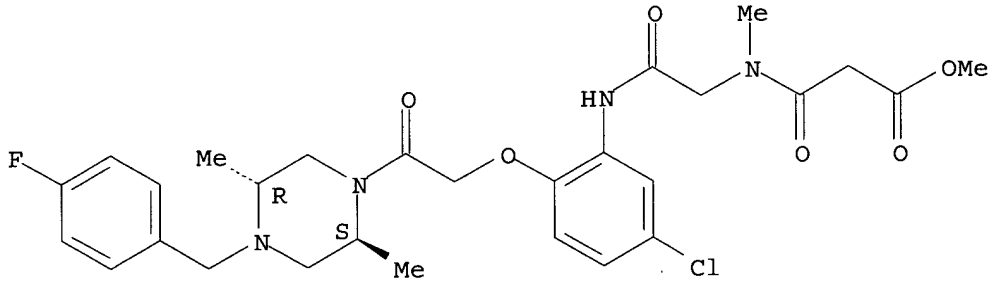
RN 217644-75-2 HCAPLUS
CN Butanoic acid, 4-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-4-oxo-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



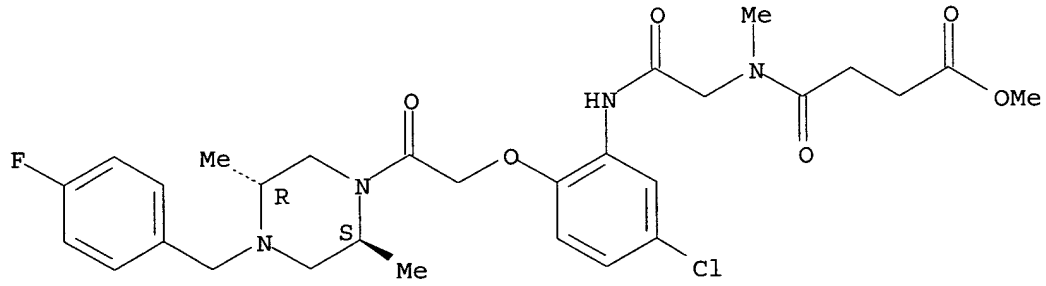
RN 217645-11-9 HCAPLUS
CN Propanoic acid, 3-[[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]methylamino]-3-oxo-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 217645-12-0 HCAPLUS
CN Butanoic acid, 4-[[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]methylamino]-4-oxo-, methyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

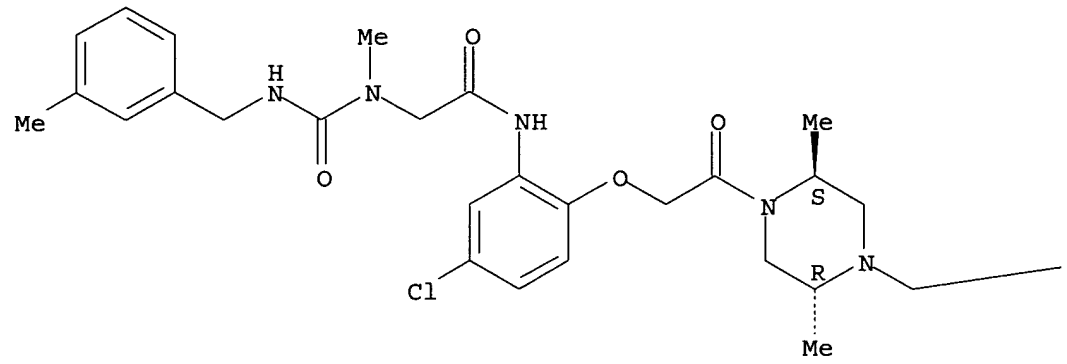


RN 217645-13-1 HCAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-

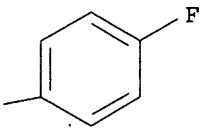
dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-2-[methyl[[[(3-methylphenyl)methyl]amino]carbonyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



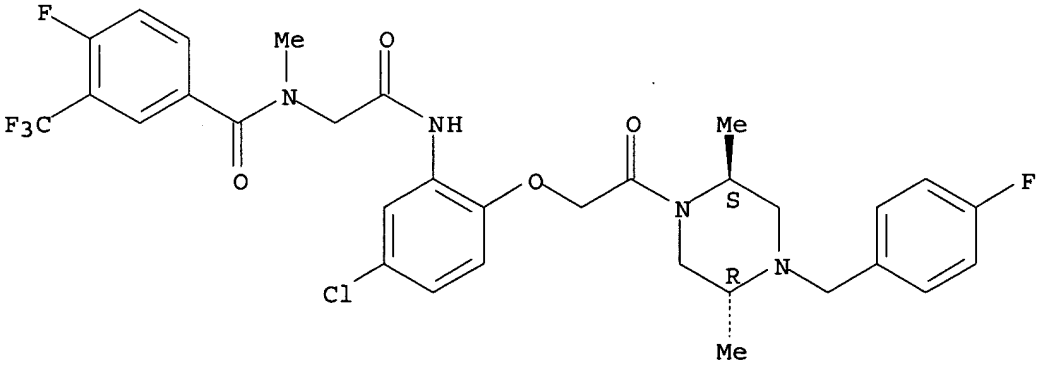
PAGE 1-B



RN 217645-14-2 HCAPLUS

CN Benzamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-4-fluoro-N-methyl-3-(trifluoromethyl)-, rel- (9CI) (CA INDEX NAME)

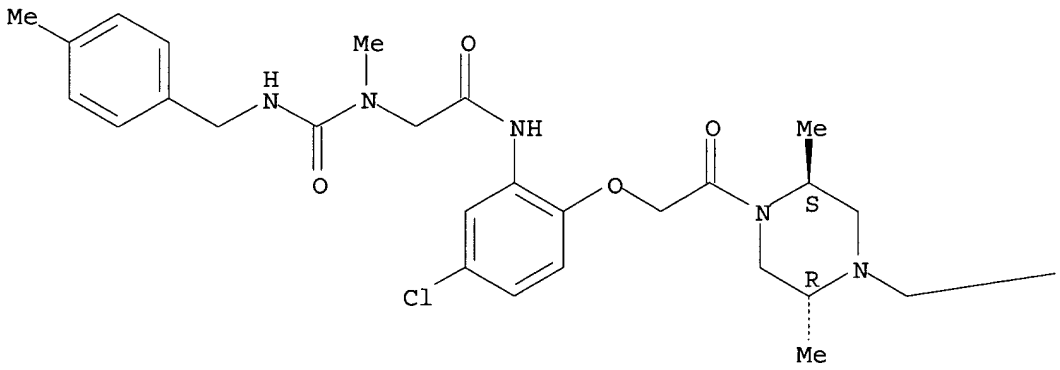
Relative stereochemistry.



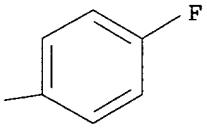
RN 217645-15-3 HCAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-2-[methyl[[[(4-methylphenyl)methyl]amino]carbonyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A

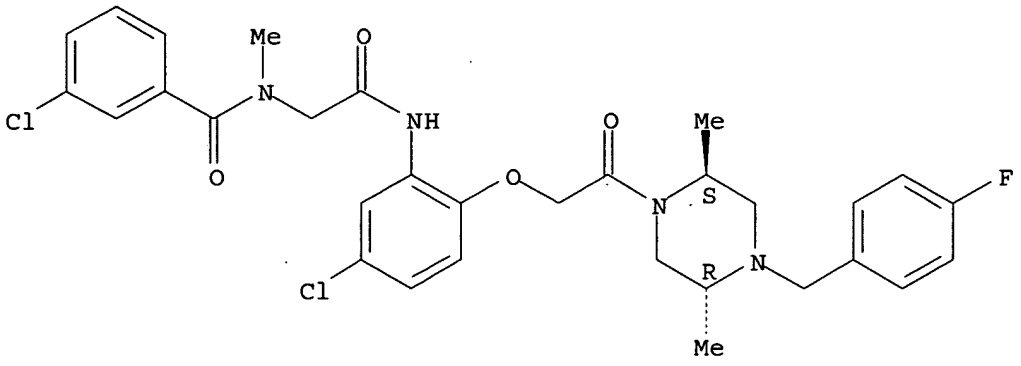


PAGE 1-B



RN 217645-16-4 HCAPLUS
CN Benzamide, 3-chloro-N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-N-methyl-, rel- (9CI) (CA INDEX NAME)

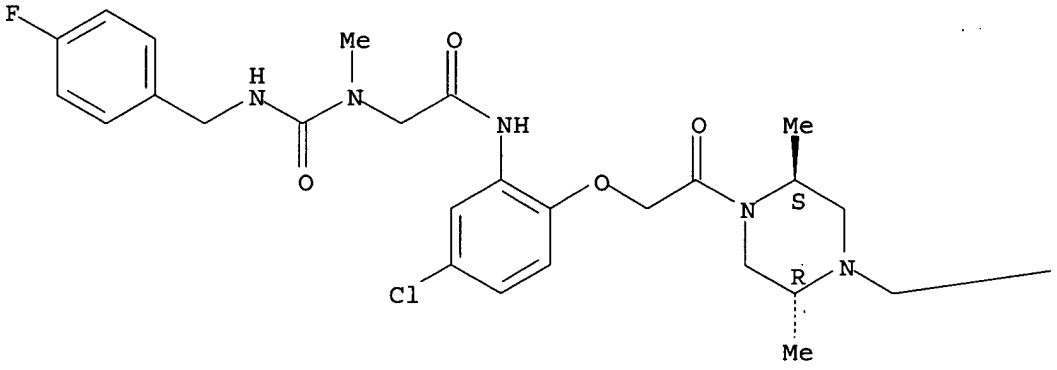
Relative stereochemistry.



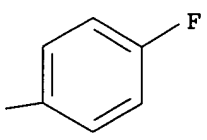
RN 217645-17-5 HCAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-2-[[[[(4-fluorophenyl)methyl]amino]carbonyl]methylamino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

PAGE 1-A



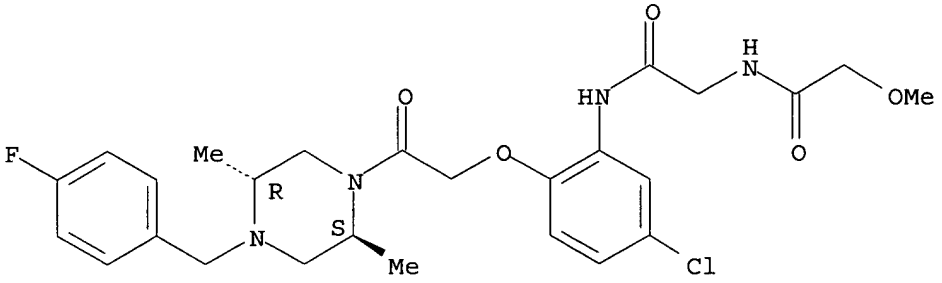
PAGE 1-B



RN 217645-18-6 HCAPLUS
CN Acetamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-

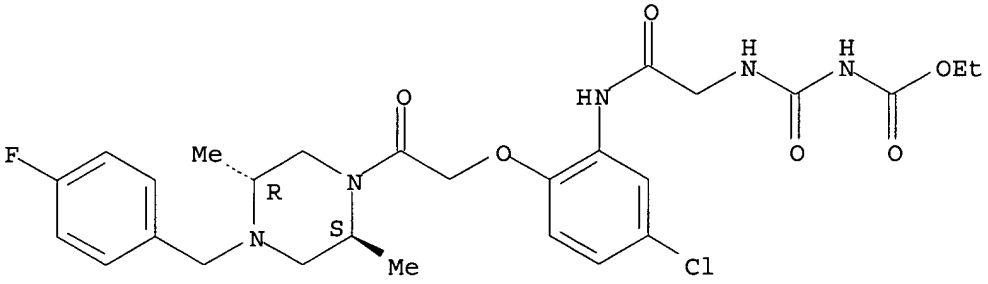
dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-2-methoxy-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



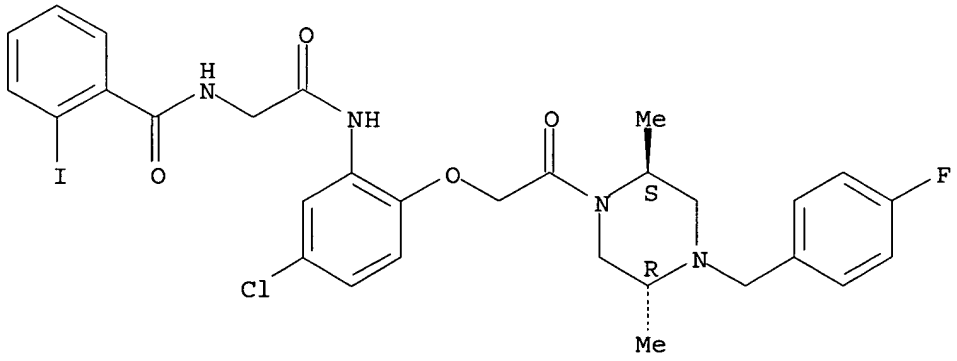
RN 217645-19-7 HCAPLUS
CN Carbamic acid, [[[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]amino]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 217645-20-0 HCAPLUS
CN Benzamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-2-iodo-, rel- (9CI) (CA INDEX NAME)

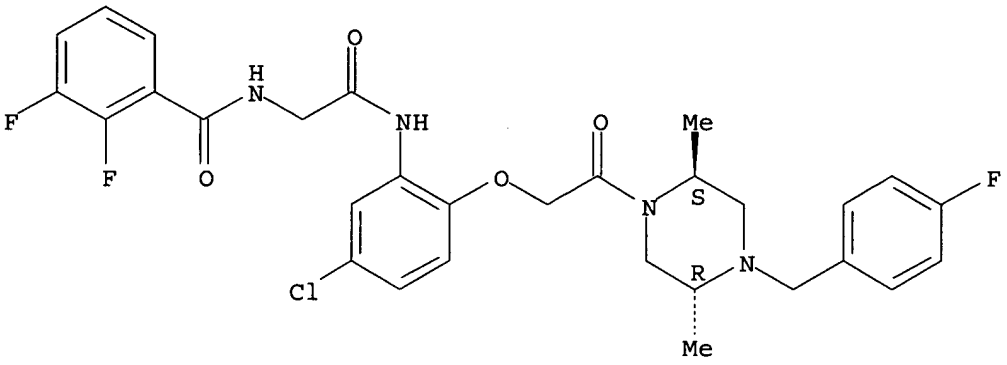
Relative stereochemistry.



RN 217645-21-1 HCAPLUS

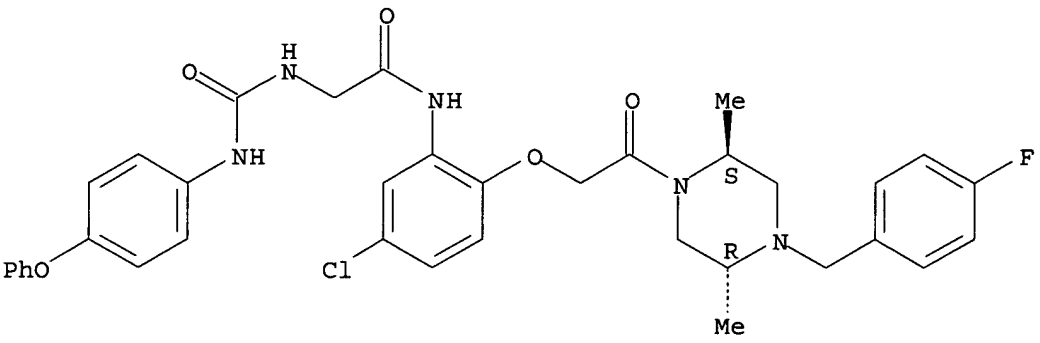
CN Benzamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-2,3-difluoro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



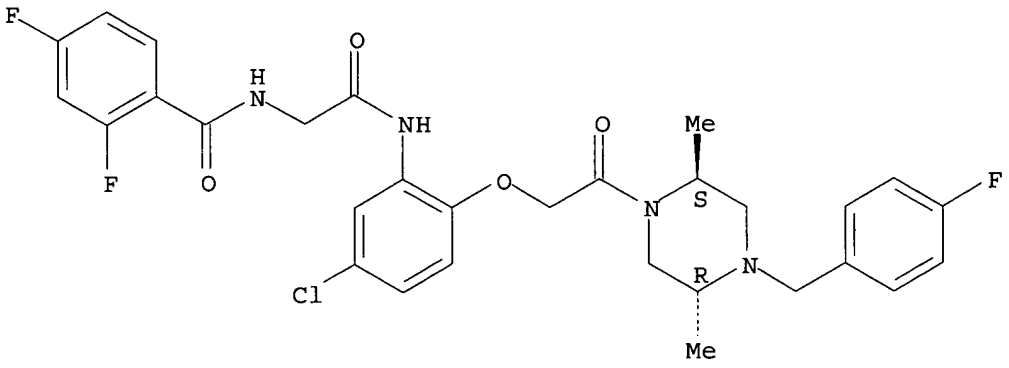
RN 217645-22-2 HCAPLUS
CN Acetamide, N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-2-[[[(4-phenoxyphenyl)amino]carbonyl]amino]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



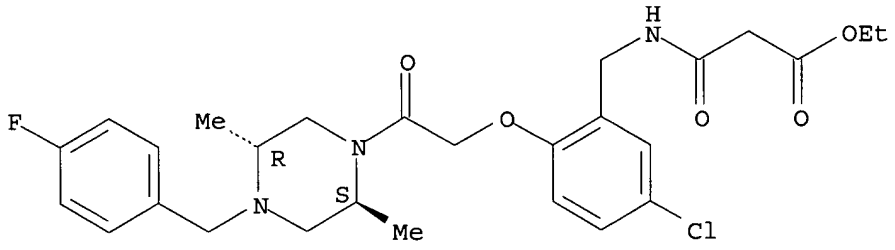
RN 217645-23-3 HCAPLUS
CN Benzamide, N-[2-[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]-2-oxoethyl]-2,4-difluoro-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



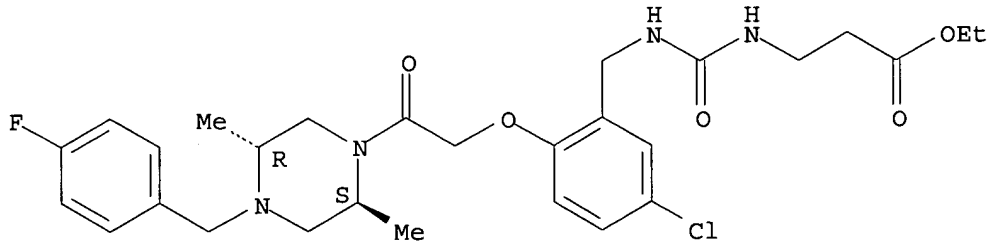
RN 217645-25-5 HCAPLUS
CN Propanoic acid, 3-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]amino]-3-oxo-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



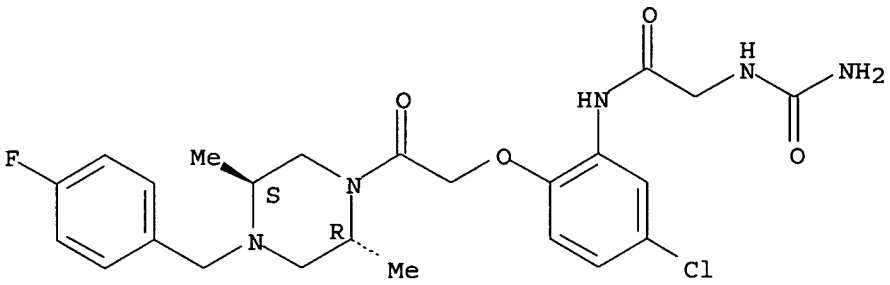
RN 217645-29-9 HCAPLUS
CN β-Alanine, N-[[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]methyl]amino]carbonyl]-, ethyl ester, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



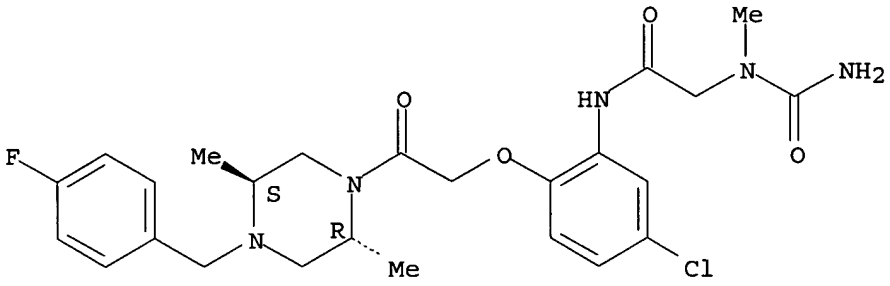
RN 217646-95-2 HCAPLUS
CN Acetamide, 2-[(aminocarbonyl)amino]-N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



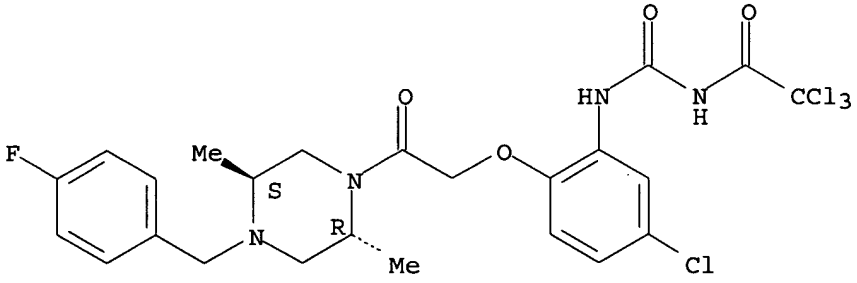
RN 217646-96-3 HCAPLUS
CN Acetamide, 2-[(aminocarbonyl)methylamino]-N-[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



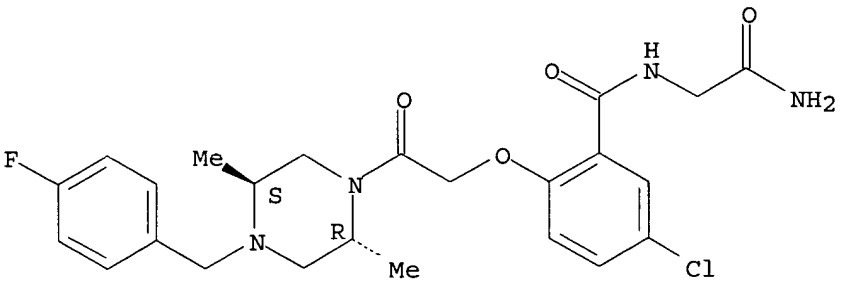
RN 217647-07-9 HCAPLUS
CN Acetamide, 2,2,2-trichloro-N-[[[5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]phenyl]amino]carbonyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 217647-39-7 HCAPLUS
CN Benzamide, N-(2-amino-2-oxoethyl)-5-chloro-2-[2-[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]-2-oxoethoxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L12 ANSWER 31 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:744941 HCAPLUS
DOCUMENT NUMBER: 130:14261
TITLE: Preparation of methionine derivatives as inhibitors of protein isoprenyl transferases
INVENTOR(S): Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.; Donner, Bernard G.; Fakhoury, Stephen A.; Janowick, David A.; Kalvin, Douglas M.; Larsen, John J.; Liu, Gang; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Swenson, Rolf E.; Sorensen, Bryan K.; Sullivan, Gerard M.; Szczepankiewicz, Bruce G.; Tasker, Andrew S.; Wasick, James T.; Winn, Martin
PATENT ASSIGNEE(S): University of Pittsburgh, USA
SOURCE: PCT Int. Appl., 504 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 8
PATENT INFORMATION:

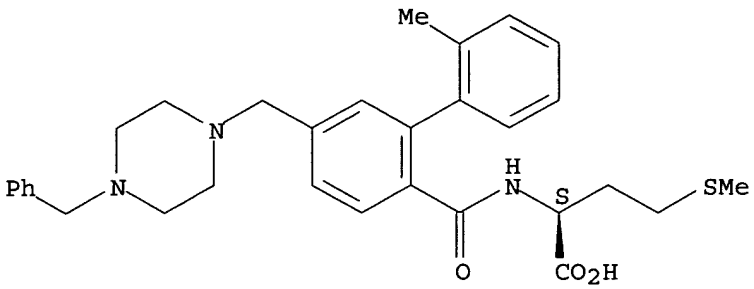
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9850030	A1	19981112	WO 1998-US9297	19980507
W: CA, JP, MX				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
TW 492955	B	20020701	TW 1998-87107182	19980715
TW 541302	B	20030711	TW 1998-87107183	19980715
PRIORITY APPLN. INFO.:			US 1997-852858 A	19970507
OTHER SOURCE(S):	MARPAT 130:14261			

AB Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is absent or is L4NR5L5, L4OL5, L4S(O)mL5 (m = 0-2), etc., where L4 and L5 are absent or alkylene, alkenylene, R5 is H, alkanoyl; Z is a covalent bond, O, S(O)q (q = 0-2), NH or imino; R3 = H, aryl, fluorenyl, heterocyclyl, cycloalkyl, etc.] were prepared as inhibitors of protein isoprenyl transferases. Thus, N-[4-(2,4-dioxohexahydro-1,3,5-triazin-2-yl)-2-phenylbenzoyl]methionine was prepared and showed 41% inhibition of farnesyltransferase at 1x10-6 M.

IT **215920-11-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibitors of protein isoprenyl transferases)
RN 215920-11-9 HCAPLUS
CN L-Methionine, N-[[2'-methyl-5-[[4-(phenylmethyl)-1-

piperazinyl]methyl][1,1'-biphenyl]-2-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



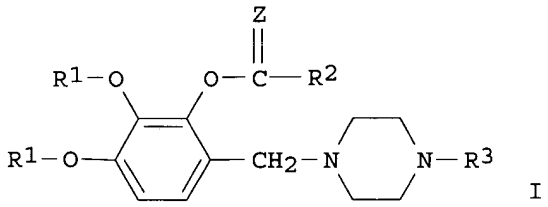
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 32 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1998:398242 HCAPLUS
DOCUMENT NUMBER: 129:67800
TITLE: Preparation of N-benzylpiperazine derivatives and their pharmaceutical compositions as anti-ischemic agents
INVENTOR(S): Wierzbicki, Michel; Boussard, Marie-Francoise; Labidalle, Serge; Guyot, Daniel; Rolland, Yves; Tillement, Jean-Paul; Testa, Bernard; Crevat, Aime
PATENT ASSIGNEE(S): Adir et Compagnie, Fr.
SOURCE: Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 847999	A1	19980617	EP 1997-402934	19971204
EP 847999	B1	20010321		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2757164	A1	19980619	FR 1996-15415	19961216
FR 2757164	B1	19990122		
AT 199902	E	20010415	AT 1997-402934	19971204
ES 2157541	T3	20010816	ES 1997-402934	19971204
PT 847999	T	20010830	PT 1997-402934	19971204
ZA 9711253	A	19980623	ZA 1997-11253	19971215
JP 10175967	A2	19980630	JP 1997-344823	19971215
US 5849745	A	19981215	US 1997-990611	19971215
CA 2225780	AA	19980616	CA 1997-2225780	19971216
CA 2225780	C	20021119		
NO 9705903	A	19980617	NO 1997-5903	19971216
AU 9748422	A1	19980618	AU 1997-48422	19971216
AU 720803	B2	20000615		
CN 1192436	A	19980909	CN 1997-107273	19971216
BR 9706360	A	19990601	BR 1997-6360	19971216
GR 3035855	T3	20010831	GR 2001-400707	20010511
PRIORITY APPLN. INFO.:			FR 1996-15415 A	19961216

OTHER SOURCE(S): CASREACT 129:67800; MARPAT 129:67800

GI



I

AB Disclosed are N-benzylpiperazine derivs. I [R1 = linear or branched C1-6 alkyl; Z = O or S; R2 = linear or branched C1-8 alkyl (un)substituted by carboxy group or C1-6 linear or branched alkoxycarbonyl, or R2 = linear or branched C1-6 alkoxy, various (un)substituted Ph, (un)substituted C3-7 cycloalkyl, 4-(2,3-dithiacyclopent-1-yl)butyl, pyridyl, amino group (un)substituted with 1-2 linear or branched C1-6 alkyls, or R2 = various 6-acetoxy-2,5,7,8-tetramethylchroman-2-yl derivs.; R3 = H, C3-7 cycloalkyl, -CHO, various (un)substituted Ph, pyridyl, or various (un)substituted linear or branched C1-20 alkyl], its isomers, and pharmaceutically acceptable salts. A process for the preparation of I is also disclosed. The preparation involves reaction of 1,2-(R1O)2-3-(HO)C6H3 with an N-substituted piperazine in the presence of CH2O, O-acylation of the trimetazidine derivative, then subsequent possible conversion to a thioester with Lawesson's reagent. Compds. I are useful as anti-ischemic agents (for treatment of chronic cellular ischemia, acute cerebral, cardiac or peripheral ischemia), for the treatment of chronic neurodegenerative diseases (e.g., Alzheimer's or Parkinson's disease), and for improving preservation of transplant organs. Pharmaceutical formulations containing I are also disclosed (1 example).

IT **208934-79-6P**

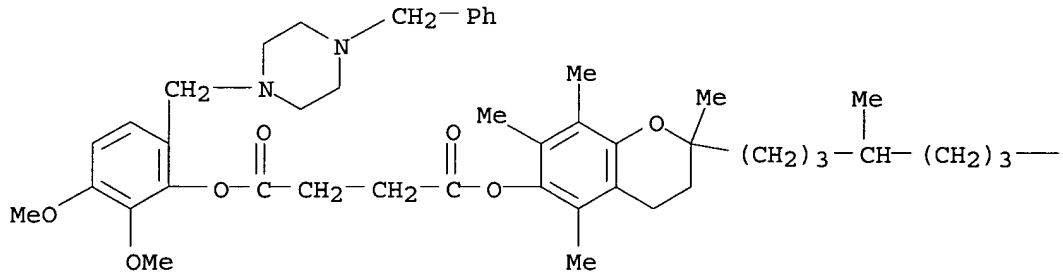
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-benzylpiperazine derivs. as anti-ischemic agents)

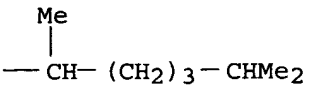
RN 208934-79-6 HCAPLUS

CN Butanedioic acid, 3,4-dihydro-2,5,7,8-tetramethyl-2-(4,8,12-trimethyltridecyl)-2H-1-benzopyran-6-yl 2,3-dimethoxy-6-[[4-(phenylmethyl)-1-piperazinyl]methyl]phenyl ester, dihydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



●2 HCl



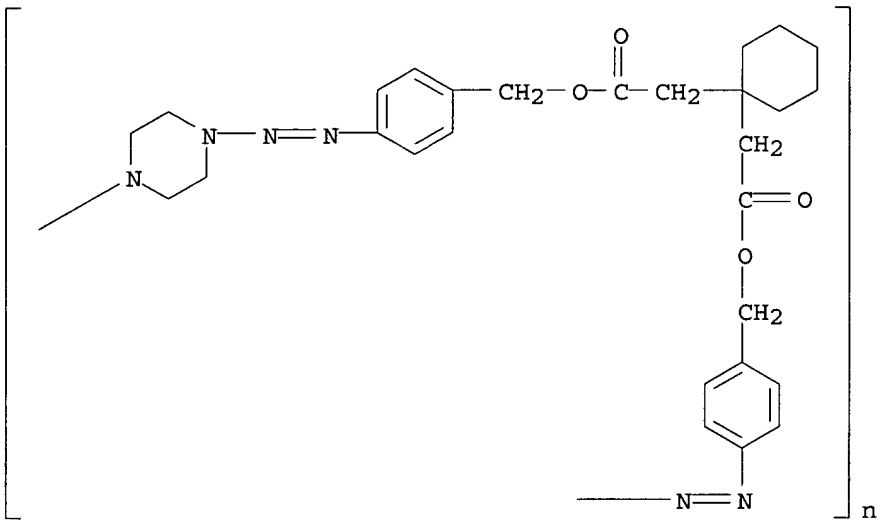
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 33 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:627054 HCAPLUS
DOCUMENT NUMBER: 127:293722
TITLE: New polyesters with photosensitive backbones based on the triazene unit
AUTHOR(S): Nuyken, Oskar; Dahn, Ulrich
CORPORATE SOURCE: Lehrstuhl fur Makromolekulare Stoffe, Institut fur Technische Chemie der TU Munchen, Garching, 85747, Germany
SOURCE: Journal of Polymer Science, Part A: Polymer Chemistry (1997), 35(14), 3017-3025
CODEN: JPACEC; ISSN: 0887-624X
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The synthesis of photosensitive polymers that contain the triazeno group (Ph-N=N-NR2) as a sensitive unit in their backbone is reported. The synthesis pathway consists of difunctional alcs. incorporating the photosensitive unit that react with diacyl derivs. to give the resp. polyesters. Upon irradiation, the photosensitive chromophores both in monomeric and polymeric surroundings are cleaved fast and irreversibly, as shown in photolytic studies and their kinetic evaluations. Thermogravimetric investigations indicate the loss of nitrogen being the initial thermal decomposition step and exhibit stabilities sufficient for the requirements in applications as photoresists.

IT **197249-69-7P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and characterization of polyesters with photosensitive backbones based on the triazene unit)

RN 197249-69-7 HCAPLUS
CN Poly[1,4-piperazinediylazo-1,4-phenylenemethyleneoxy(1-oxo-1,2-ethanediyl)cyclohexylidene(2-oxo-1,2-ethanediyl)oxymethylene-1,4-phenyleneazo] (9CI) (CA INDEX NAME)

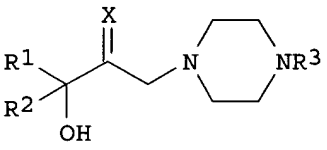


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 34 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:587697 HCAPLUS
DOCUMENT NUMBER: 127:262704
TITLE: Preparation of piperazine-containing oximes as matrix metalloproteinase inhibitors and pharmaceuticals containing them
INVENTOR(S): Tomiyama, Takeshi; Tomiyama, Itaru; Imamaki, Takeyuki; Takeuchi, Satoshi; Okura, Yasushi
PATENT ASSIGNEE(S): Kotobuki Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 09227539	A2	19970902	JP 1996-29566	19960216
PRIORITY APPLN. INFO.:			JP 1996-29566	19960216

OTHER SOURCE(S): MARPAT 127:262704
GI



I

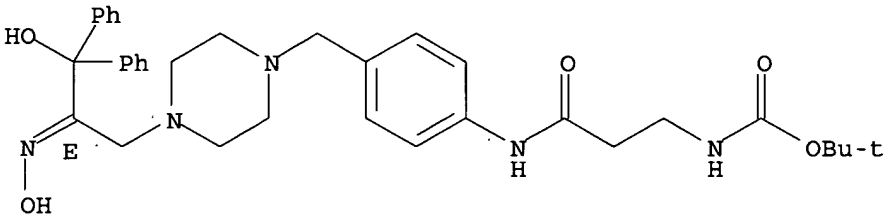
AB Title compds. I [R1, R2 = (substituted) Ph, benzyl, phenethyl, thienyl; R3 = lower alkyl, (substituted) benzyl; X = NOR4; R4 = H, lower alkyl, lower acyl] or their salts, useful for treatment and/or prevention of diseases

caused by degradation of extracellular matrix by matrix metalloproteinases (MMPs), are prepared by halogenation of HOCR1R2Ac (II; R1, R2 = same as I), treating 3,3-substituted 3-hydroxy-1-halogenopropan-2-ones with 1-substituted piperazines, treating I (X = O) with R4ONH2 (R4 = H, lower alkyl), and optional reaction with R4Cl (R4 = lower acyl). I show high oral activity. II (R1 = R2 = Ph) was brominated and treated with N-benzylpiperazine to give I (R1 = R2 = Ph, R3 = benzyl, X = O), which was treated with HONH2.HCl in EtOH in the presence of NEt3 under reflux for 15 h to give 77.2% I (R1 = R2 = Ph, R3 = benzyl, X = NOH) (III). III in vitro inhibited MMP-2 from human sarcoma cell with IC50 of 0.0011 μM.

IT **196108-24-4P 196108-25-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine-containing oximes as matrix metalloproteinase inhibitors)

RN 196108-24-4 HCAPLUS
CN Carbamic acid, [3-[[4-[[4-[3-hydroxy-2-(hydroxyimino)-3,3-diphenylpropyl]-1-piperazinyl]methyl]phenyl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester, dihydrochloride, (E)- (9CI) (CA INDEX NAME)

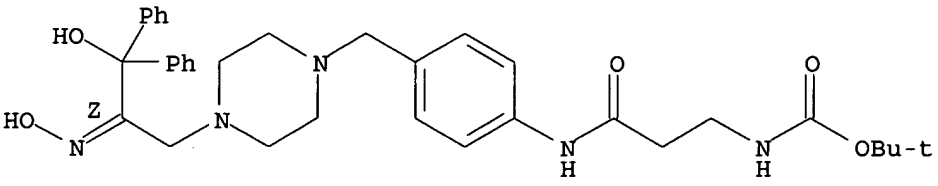
Double bond geometry as shown.



●2 HCl

RN 196108-25-5 HCAPLUS
CN Carbamic acid, [3-[[4-[[4-[3-hydroxy-2-(hydroxyimino)-3,3-diphenylpropyl]-1-piperazinyl]methyl]phenyl]amino]-3-oxopropyl]-, 1,1-dimethylethyl ester, dihydrochloride, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

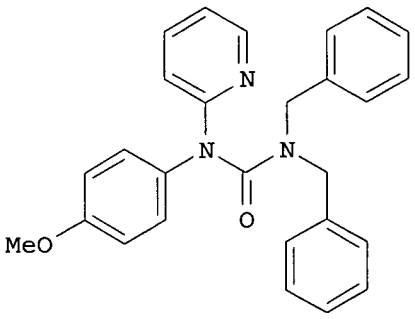


●2 HCl

L12 ANSWER 35 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:537574 HCAPLUS
DOCUMENT NUMBER: 127:161697
TITLE: 2-Amino heterocycles and their therapeutic uses as leukotriene biosynthesis inhibitors
INVENTOR(S): Es-Sayed, Mazen; Yamamoto, Masaru; Frobel, Klaus; Poll, Chris; Grix, Suzanna; Tudhope, Stephen
PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany
SOURCE: PCT Int. Appl., 275 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9724328	A1	19970710	WO 1996-EP5643	19961216
W: AU, BG, BR, BY, CA, CN, CZ, EE, HU, IL, IS, JP, KE, KP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9713728	A1	19970728	AU 1997-13728	19961216
PRIORITY APPLN. INFO.:			GB 1995-26560 A	19951227
			WO 1996-EP5643 W	19961216

OTHER SOURCE(S): MARPAT 127:161697
GI

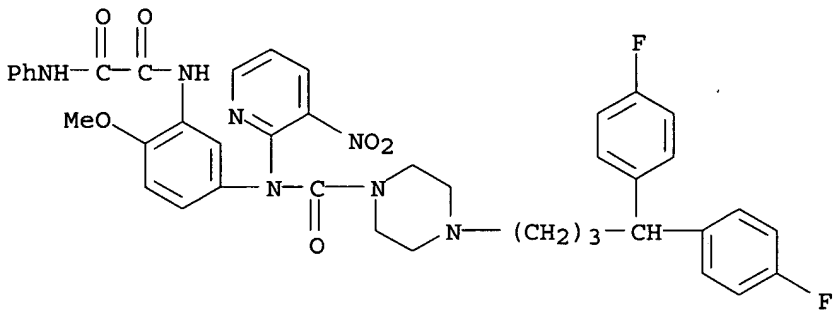


II

AB 2-Amino heterocycles R1R2NCOR3 [I; R1 = H, Me, (un)substituted 6-membered aromatic heterocycle containing ≤2 N atoms and optionally benzo-fused; R2 = (un)substituted adamantyl, cycloalkyl, pyridyl, Ph, CH2Ph, tetralin-5-yl, 2-norbornyl, 1-azabicyclo[2.2.2]oct-3-yl; or NR1R2 forms α-carboline residue; R3 = (un)substituted or cyclic amino groups linked via a bond, carbonyl, or alkylene group] are disclosed. I can be used for the production of medicaments which inhibit leukotriene synthesis (in particular LTB4), and are especially useful for the treatment and control of respiratory diseases and inflammatory processes (no data). For instance, condensation of 2-chloropyridine with 4-MeOC6H4NH2 at 150° gave 2-(4-methoxyanilino)pyridine, which reacted with ClCO2CCl3 and then HN(CH2Ph)2 in dioxane at 60° to give title compound II plus a byproduct.

IT **193556-85-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

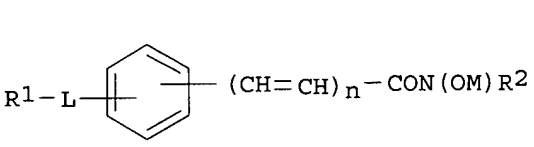
(preparation of 2-amino heterocycles as leukotriene biosynthesis inhibitors)
RN 193556-85-3 HCAPLUS
CN Ethanediamide, N-[5-[[[4-[4,4-bis(4-fluorophenyl)butyl]-1-piperazinyl]carbonyl](3-nitro-2-pyridinyl)amino]-2-methoxyphenyl]-N'-phenyl- (9CI) (CA INDEX NAME)



L12 ANSWER 36 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:926097 HCAPLUS
DOCUMENT NUMBER: 123:340182
TITLE: Preparation of hydroxamic acid derivative for inhibiting proliferation of smooth muscle cells and medicinal preparation containing the same
INVENTOR(S): Isozaki, Masashi; Kasukawa, Hiroaki; Nakazawa, Keiichi; Houki, Keiko
PATENT ASSIGNEE(S): Terumo K K, Japan
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

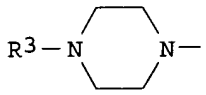
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9513264	A1	19950518	WO 1994-JP1870		19941104
W: US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
JP 07278086	A2	19951024	JP 1994-251094		19941017
PRIORITY APPLN. INFO.:			JP 1993-278168	A	19931108
			JP 1994-22475	A	19940221

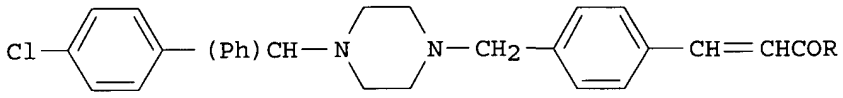
OTHER SOURCE(S): MARPAT 123:340182
GI



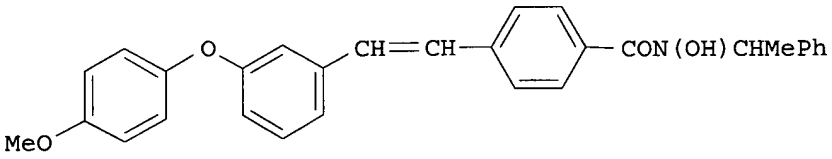
I

Q =





II



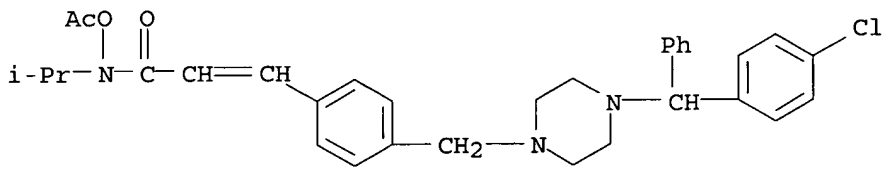
III

AB Hydroxamic acid derivs. [I; R1 = Ph, aryloxyphenyl, Q; wherein R3= aryl or aryl-C1-4 alkyl; L = C1-8 alkylene, C2-8 alkenylene, (CH2)mO (wherein m = an integer 0-4), CO; n = 0 or 1; R2 = H, C1-4 alkyl, aryl-C1-4 alkyl; M = H, alkanoyl, alkoxycarbonyl, a medicinally acceptable cation], having the effect of suppressing smooth muscle fiber growth and useful as vascular wall thickening preventives, post-percutaneous transluminal coronary angioplasty (PTCA) restenosis preventives, and even antiarteriosclerotic agents, are prepared Thus, cinnamic acid derivative (II; R = OH) was stirred with oxalyl chloride and DMF in CH2Cl2 for 2h and the reaction solution was added dropwise to a solution of N-methylhydroxylamine hydrochloride and Et3N in aqueous THF, followed by stirring the resulting mixture at room temperature for 2 h to give 62.3% N-hydroxy-p-piperazinylmethylcinnamamide II (R = NMeOH). This compound and N-hydroxybenzamide derivative (III) in vitro showed IC50 of 2.0 + 10-7 mol for specifically inhibiting the proliferation of smooth muscle cells of a rat thoracic aorta.

IT **170429-94-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate for preparation of hydroxamic acid derivative for inhibiting proliferation of smooth muscle cells)

RN 170429-94-4 HCAPLUS

CN 2-Propenamide, N-(acetyloxy)-3-[4-[[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]methyl]phenyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



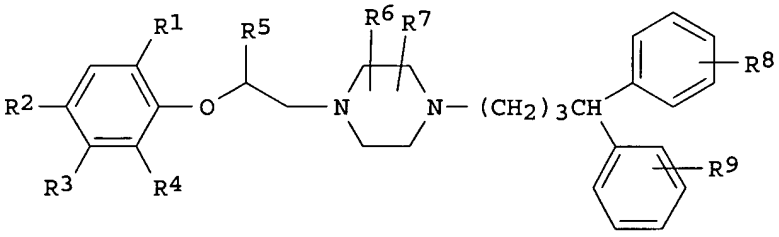
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of hydroxamic acid deriv. for inhibiting proliferation of

smooth muscle cells

L12 ANSWER 37 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:807930 HCAPLUS
DOCUMENT NUMBER: 123:198828
TITLE: Preparation of N-(phenoxyethyl)-N'-(diphenylbutyl)piperazines as antiretrovirals.
INVENTOR(S): Wild, Hanno; Bender, Wolfgang; Haebich, Dieter; Raddatz, Siegfried; Roeben, Wolfgang; Seidel, Peter-Rudolf; Hansen, Jutta; Paessens, Arnold
PATENT ASSIGNEE(S): Bayer A.-G., Germany
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 623605	A2	19941109	EP 1994-106319	19940422
EP 623605	A3	19950301		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
DE 4314962	A1	19941110	DE 1993-4314962	19930506
AU 9460562	A1	19941110	AU 1994-60562	19940419
AU 678815	B2	19970612		
JP 06329644	A2	19941129	JP 1994-115939	19940502
CA 2122787	AA	19941107	CA 1994-2122787	19940503
ZA 9403099	A	19950113	ZA 1994-3099	19940505
HU 70837	A2	19951128	HU 1994-1331	19940505
CN 1097745	A	19950125	CN 1994-104911	19940506

PRIORITY APPLN. INFO.: DE 1993-4314962 A 19930506
OTHER SOURCE(S): MARPAT 123:198828
GI



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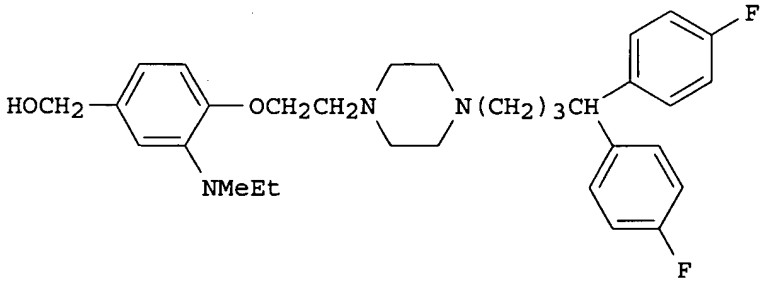


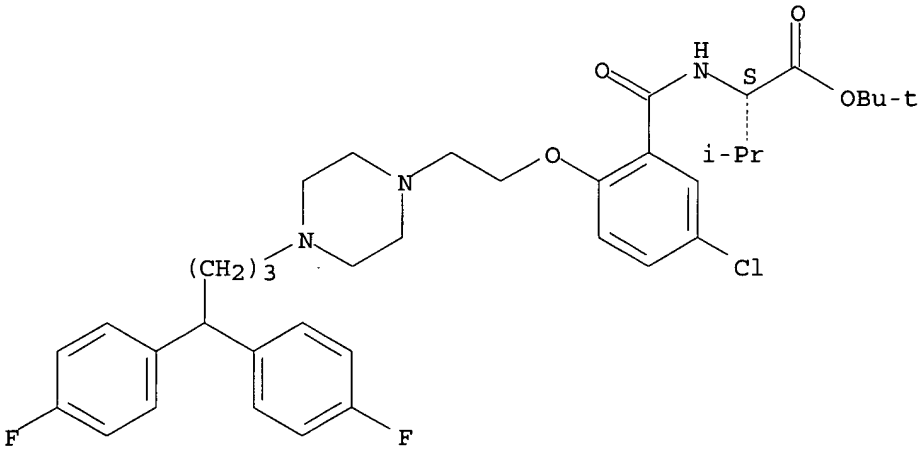
II

AB Title compds. [I; R1-R4 = H, NO2, halo, CO2H, OH, CHO, alkoxy, alkoxycarbonyl, CF3, Ph, hydroxyalkyl, NR10R11, COA; R2R3, R3R4 = atoms to complete 5-7 membered carbocyclic rings; A = NR12CHR13(CH2)aCOR14; R10, R11 = H, Ph, PhCH2, protecting group, alkyl; NR10R11 = 5-7 membered saturated heterocyclyl; R12 = H, alkyl; R13 = H, aryl, cycloalkyl, (substituted) alkyl; R14 = OH, alkoxy; R5 = H, alkyl, CO2R18, COA; R1R5 = atoms to form a 5-6 membered heterocyclyl; R18 = H, alkyl, protecting group; R6, R7 = H, CO2H, (substituted) alkyl, alkoxycarbonyl; R8, R9 = halo, cyano, NO2, N3, OH], were prepared Thus, title compound II inhibited HIV protease with IC50 = 0.17 μM.

IT **168051-01-2P 168051-02-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-(phenoxyethyl)-N'-(diphenylbutyl)piperazines as antiretrovirals)

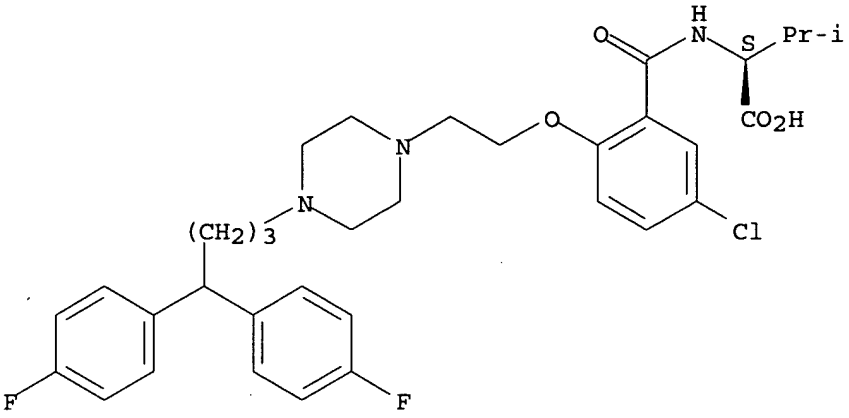
RN 168051-01-2 HCAPLUS
CN L-Valine, N-[2-[2-[4-[4,4-bis(4-fluorophenyl)butyl]-1-piperazinyl]ethoxy]-5-chlorobenzoyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 168051-02-3 HCAPLUS
CN L-Valine, N-[2-[2-[4-[4,4-bis(4-fluorophenyl)butyl]-1-piperazinyl]ethoxy]-5-chlorobenzoyl]- (9CI) (CA INDEX NAME)

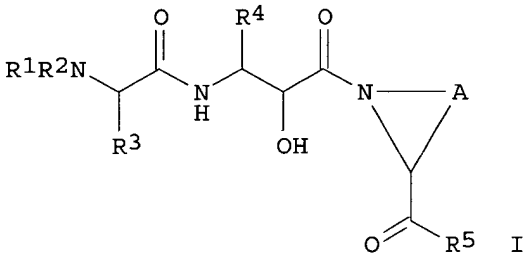
Absolute stereochemistry.



L12 ANSWER 38 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:735221 HCAPLUS
DOCUMENT NUMBER: 123:144637
TITLE: Preparation of peptide inhibitors of HIV protease.
INVENTOR(S): Higashida, Susumu; Sakurai, Mitsuya; Yabe, Yuichiro; Nishihgaki, Takashi; Komai, Tomoaki; Handa, Hiroshi
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 354 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 587311	A1	19940316	EP 1993-306258	19930806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
AU 9344438	A1	19940210	AU 1993-44438	19930805
AU 662434	B2	19950831		
ZA 9305697	A	19940310	ZA 1993-5697	19930805
IL 106600	A1	19970930	IL 1993-106600	19930805
CA 2103536	AA	19940208	CA 1993-2103536	19930806
NO 9302813	A	19940208	NO 1993-2813	19930806
HU 64774	A2	19940228	HU 1993-2286	19930806
RU 2106357	C1	19980310	RU 1993-50122	19930806
KR 177838	B1	19990401	KR 1993-15304	19930806
CN 1091432	A	19940831	CN 1993-117625	19930807
JP 06100533	A2	19940412	JP 1993-197311	19930809
US 5629406	A	19970513	US 1994-227588	19940414
PRIORITY APPLN. INFO.:			JP 1992-211746 A	19920807
			US 1993-102467 B1	19930805

OTHER SOURCE(S): MARPAT 123:144637
GI



I

AB Title compds. [I; R1 = H, alkyl, aralkyl, CORa, CORb, CSRa, CSRb, SO2Rb, CONHRb, CSNHRb, CONRbRb, CSNRbRb; R2 = H, alkyl; R3 = H, alkylidene, substituted alkyl, Rb; R4 = (substituted) alkyl, cycloalkyl, aryl; R5 = RbO, RbRbN, RbHN, aralkyloxycarbonyloxy, aralkyloxycarbonylamino, (CH2)pD(CH2)r; B, D = bond, CO, O, S, NH, CH2:CH2, NHCO; m, n, p, r = 0-5; A = (CH2)mB(CH2)n; Ra = alkoxy, aralkyloxy, aryloxy, alkoxycarbonyl; Rb = (substituted) alkyl, cycloalkyl, heterocyclyl, aryl, arylalkenyl] and pharmaceutically acceptable salts, esters, and prodrugs thereof, were prepared Thus, (4S)-1-[(2S,3S)-3-[N2-(2-quinoxalinecarbonyl)asparaginyl]amino-2-hydroxy-4-phenylbutyryl]-N-tert-butyl-4-chloroprolinamide, prepared by solution phase methods, inhibited release of virus from HIV-infected Molt 4 cells with IC50 = 0.39 μg/mL, vs. >20 μg/mL for RO 31-8959.

IT **166383-04-6P 166383-28-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide inhibitors of HIV protease)

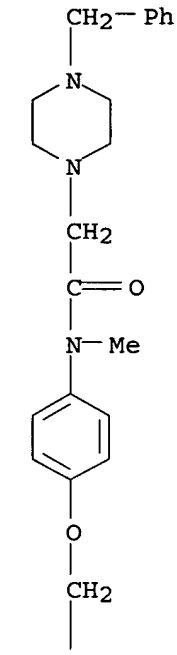
RN 166383-04-6 HCAPLUS

CN L-Prolinamide, N2-[[4-[methyl[[4-(phenylmethyl)-1-piperazinyl]acetyl]amino]phenoxy]acetyl]-L-asparaginyl-(2S,3S)-2-hydroxy-4-phenyl-3-aminobutanoyl-N-(1,1-dimethylethyl)-, monoacetate (salt) (9CI) (CA INDEX NAME)

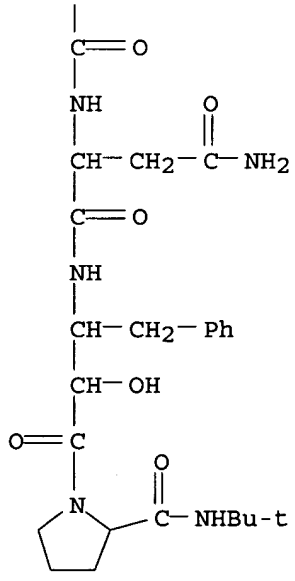
CM 1

CRN 166383-03-5
CMF C45 H60 N8 O8

PAGE 1-A

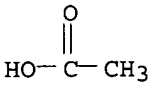


PAGE 2-A



CM 2

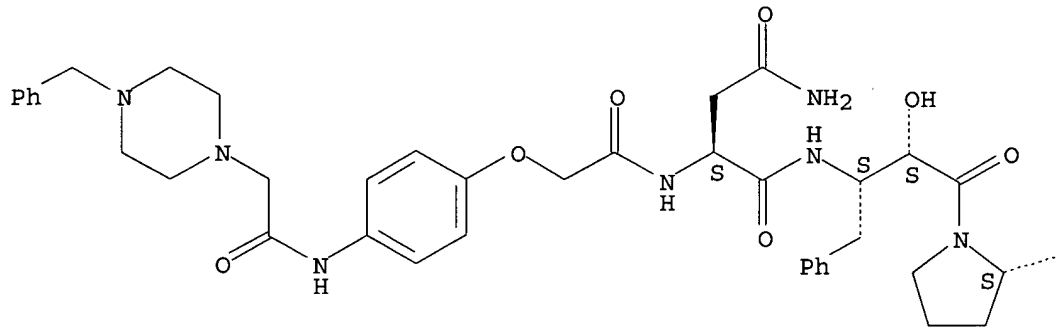
CRN 64-19-7
CMF C2 H4 O2



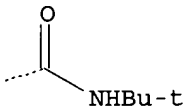
RN 166383-28-4 HCAPLUS
CN L-Prolinamide, N2-[[4-[[[4-(phenylmethyl)-1-piperazinyl]acetyl]amino]phenoxy]acetyl]-L-asparaginyl-(2S,3S)-2-hydroxy-4-phenyl-3-aminobutanoyl-N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



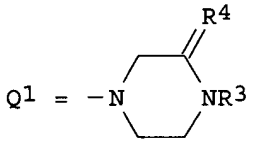
PAGE 1-B

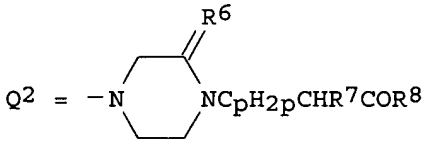


L12 ANSWER 39 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:667081 HCAPLUS
DOCUMENT NUMBER: 123:55917
TITLE: Antithrombogenic piperazine derivatives.
INVENTOR(S): Gante, Joachim; Raddatz, Peter; Juraszyk, Horst; Bernotat-Danielowski, Sabine; Melzer, Guido
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Eur. Pat. Appl., 16 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 608759	A2	19940803	EP 1994-100709	19940119
EP 608759	A3	19941005		
EP 608759	B1	20010822		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
DE 4302485	A1	19940804	DE 1993-4302485	19930129
JP 06271549	A2	19940927	JP 1994-3451	19940118
AT 204570	E	20010915	AT 1994-100709	19940119
ES 2162825	T3	20020116	ES 1994-100709	19940119
PT 608759	T	20020228	PT 1994-100709	19940119
SK 281842	B6	20010806	SK 1994-68	19940120
AU 9454702	A1	19940804	AU 1994-54702	19940125
AU 670649	B2	19960725		
CN 1099759	A	19950308	CN 1994-101127	19940125
CN 1056141	B	20000906		
CZ 288122	B6	20010411	CZ 1994-163	19940125
CA 2114361	AA	19940730	CA 1994-2114361	19940127
NO 9400308	A	19940801	NO 1994-308	19940128
NO 312550	B1	20020527		
ZA 9400615	A	19940913	ZA 1994-615	19940128
HU 70042	A2	19950928	HU 1994-249	19940128
PL 172716	B1	19971128	PL 1994-302069	19940128
RU 2154639	C2	20000820	RU 1994-2323	19940128
US 5908843	A	19990601	US 1994-189385	19940131
GR 3036838	T3	20020131	GR 2001-401700	20011009

PRIORITY APPLN. INFO.: DE 1993-4302485 A 19930129
OTHER SOURCE(S): MARPAT 123:55917
GI





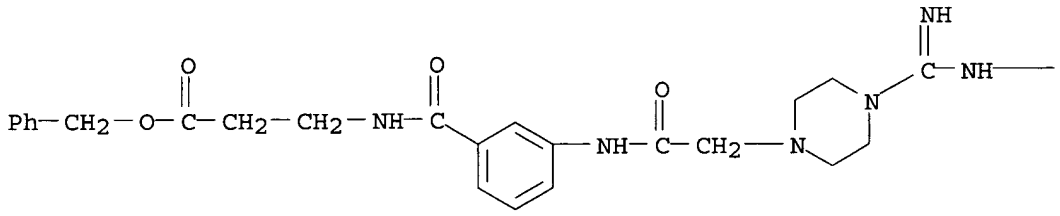
AB The title compds. Y(CmH2mCHR1)nCO(NHCHR2CO)rZ [I; R1, R2 = (un)substituted PhCH2, etc.; Y = Q1, 4-R5C6H4; Z = Q1, Q2, etc.; R3 = H, H2NC(:NH)NH; R4, R6 = H2, :O; R7 = R1; R8 = OH, NHOH, etc.; m = 0-4; n, r = 0, 1; p = 0-2], useful as antithrombotics (no data), antineoplastic agents (no data), antiatherosclerotics (no data), etc., are prepared and I-containing formulations presented. Thus, 3-[4-(4-guanidinobenzoyl)-2-oxo-1-piperazinyl]propionic acid, m.p. 110° (decomposition), was prepared

IT **164784-45-6P 164784-47-8P 164784-48-9P**
164784-50-3P 164784-51-4P 164784-52-5P
164784-53-6P 164784-57-0P 164784-58-1P
164784-59-2P 164784-62-7P 164784-63-8P
164784-64-9P 164784-65-0P 164784-66-1P
164784-67-2P 164784-68-3P 164784-69-4P

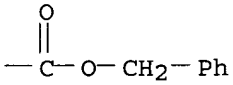
164784-70-7P 164784-71-8P 164784-72-9P
164784-73-0P 164784-76-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of antithrombogenic piperazines)

RN 164784-45-6 HCAPLUS
CN β-Alanine, N-[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]acetyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

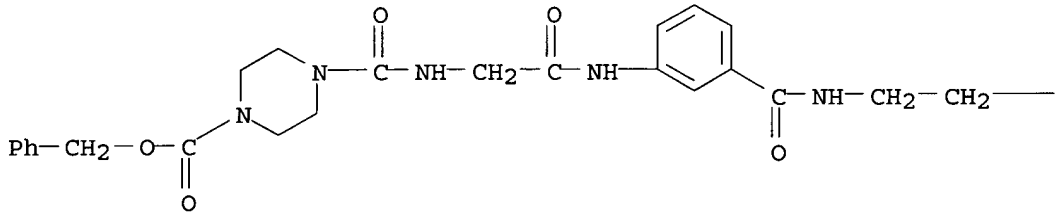


PAGE 1-B

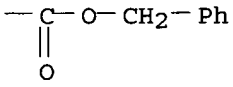


RN 164784-47-8 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[2-oxo-2-[[3-[[[3-oxo-3-(phenylmethoxy)propyl]amino]carbonyl]phenyl]amino]ethyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



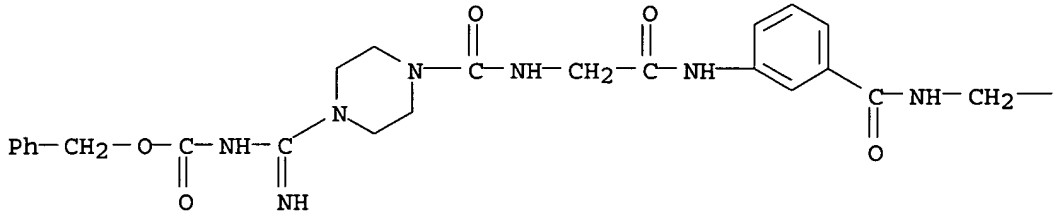
PAGE 1-B



RN 164784-48-9 HCAPLUS

CN β-Alanine, N-[3-[[[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]carbonyl]amino]acetyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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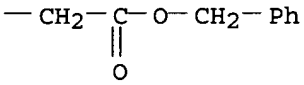
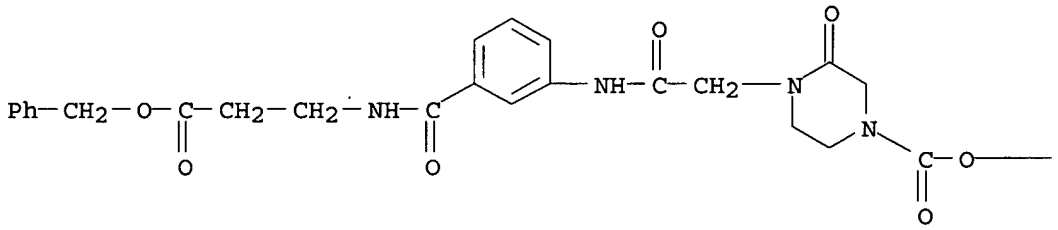
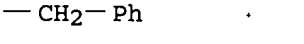


RN 164784-50-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 3-oxo-4-[2-oxo-2-[[3-[[[3-oxo-3-(phenylmethoxy)propyl]amino]carbonyl]phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

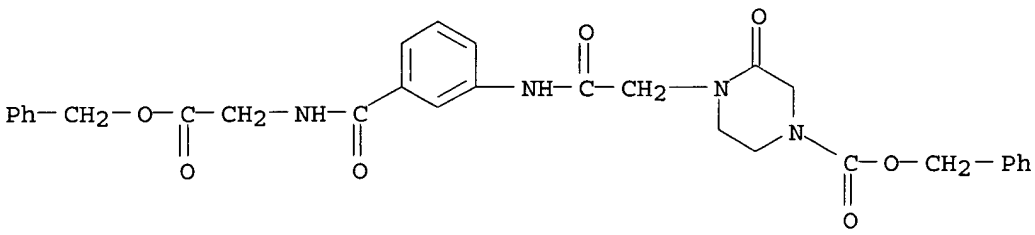


PAGE 1-B



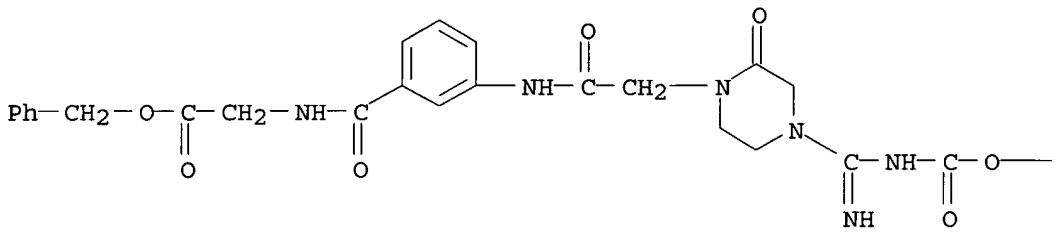
RN 164784-51-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 3-oxo-4-[2-oxo-2-[[3-[[[2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]phenyl]amino]ethyl]-, phenylmethyl

ester (9CI) (CA INDEX NAME)



RN 164784-52-5 HCAPLUS
CN Glycine, N-[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-2-oxo-1-piperazinyl]acetyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

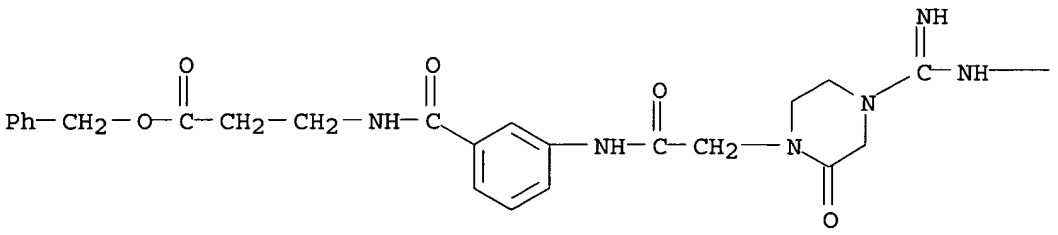


PAGE 1-B

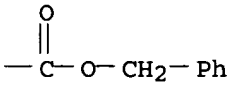
— CH_2 — Ph

RN 164784-53-6 HCAPLUS
CN β-Alanine, N-[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-2-oxo-1-piperazinyl]acetyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

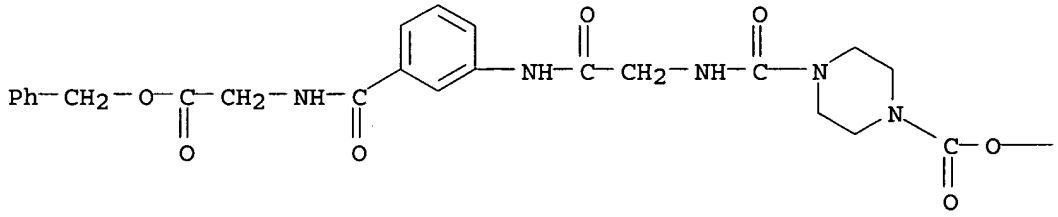


PAGE 1-B



RN 164784-57-0 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[2-oxo-2-[[3-[[[2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]phenyl]amino]ethyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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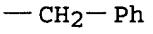
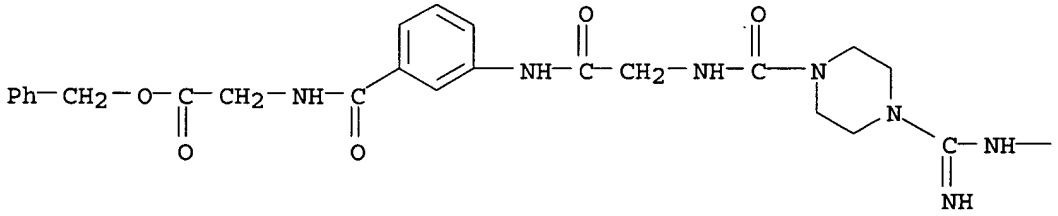
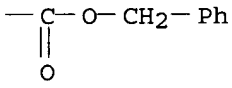


RN 164784-58-1 HCAPLUS
CN Glycine, N-[3-[[[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]carbonyl]amino]acetyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

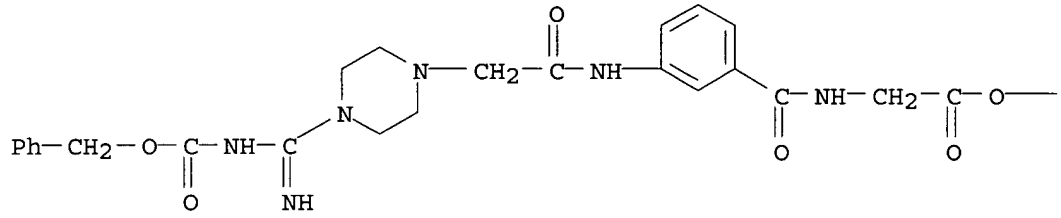


PAGE 1-B

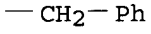


RN 164784-59-2 HCAPLUS
CN Glycine, N-[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]acetyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

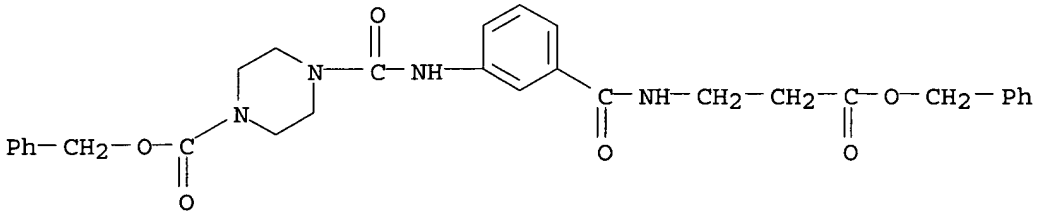
PAGE 1-A



PAGE 1-B

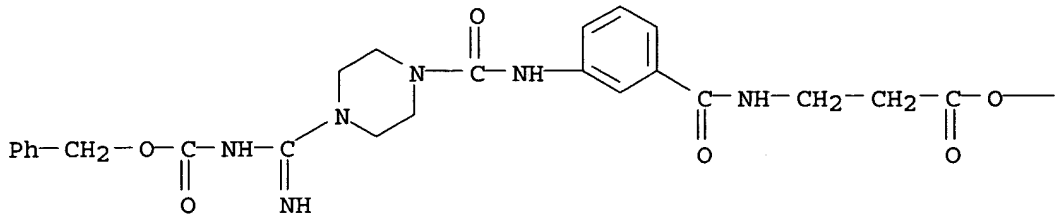


RN 164784-62-7 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[3-[[[3-oxo-3-(phenylmethoxy)propyl]amino]carbonyl]phenyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 164784-63-8 HCAPLUS
CN β-Alanine, N-[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]carbonyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

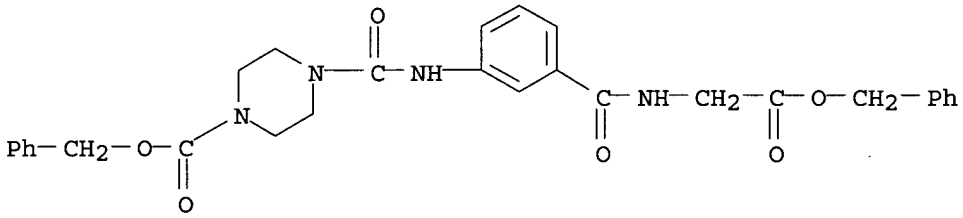
PAGE 1-A



PAGE 1-B

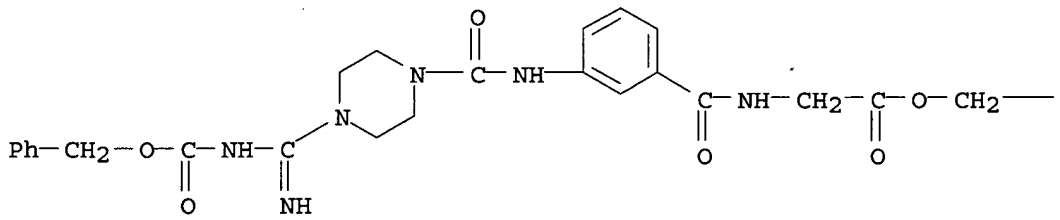
— CH_2 — Ph

RN 164784-64-9 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[3-[[[2-oxo-2-(phenylmethoxy)ethyl]amino]carbonyl]phenyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 164784-65-0 HCAPLUS
CN Glycine, N-[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]carbonyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

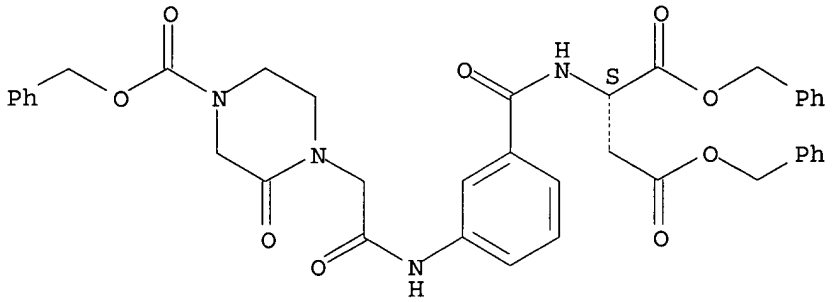
PAGE 1-A



— Ph

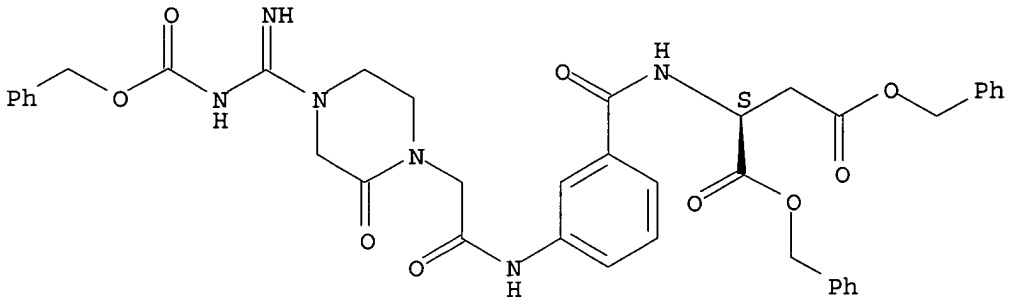
RN 164784-66-1 HCAPLUS
CN L-Aspartic acid, N-[3-[[[2-oxo-4-[(phenylmethoxy)carbonyl]-1-piperazinyl]acetyl]amino]benzoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

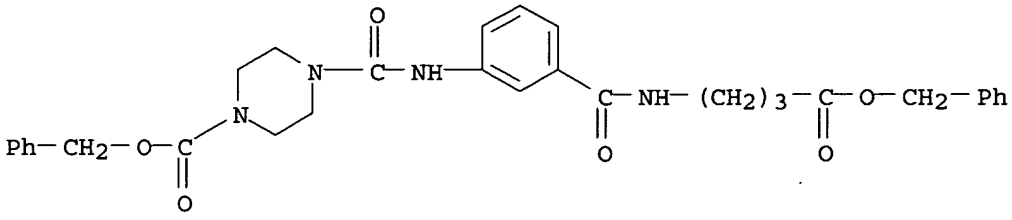


RN 164784-67-2 HCAPLUS
CN L-Aspartic acid, N-[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-2-oxo-1-piperazinyl]acetyl]amino]benzoyl]-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164784-68-3 HCAPLUS
CN 1-Piperazinecarboxylic acid, 4-[[[3-[[[4-oxo-4-(phenylmethoxy)butyl]amino]carbonyl]phenyl]amino]carbonyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 164784-69-4 HCAPLUS
CN 1-Piperazinecarboxylic acid, 3-oxo-4-[2-oxo-2-[[3-[[[4-oxo-4-(phenylmethoxy)butyl]amino]carbonyl]phenyl]amino]ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

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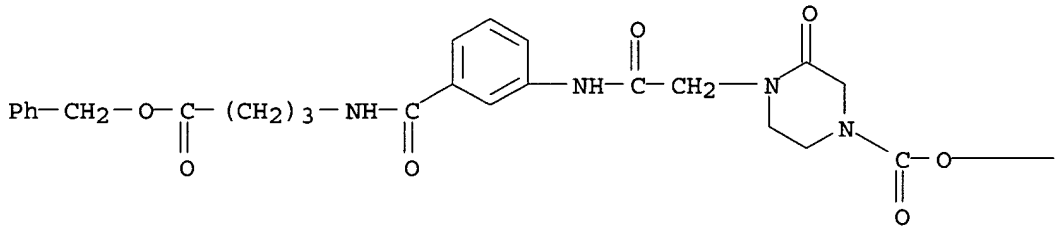
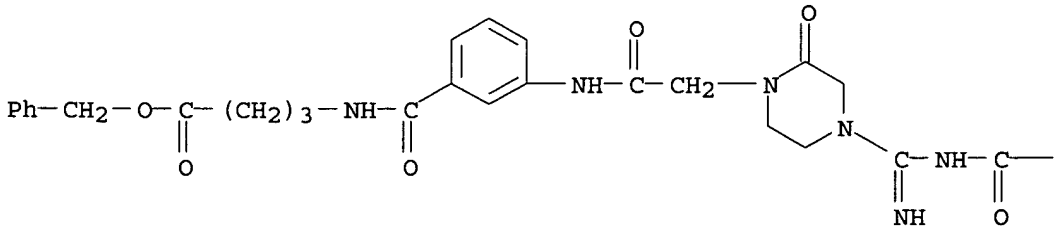


PAGE 1-B

— CH_2 — Ph

RN 164784-70-7 HCAPLUS
CN Butanoic acid, 4-[[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-2-oxo-1-piperazinyl]acetyl]amino]benzoyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

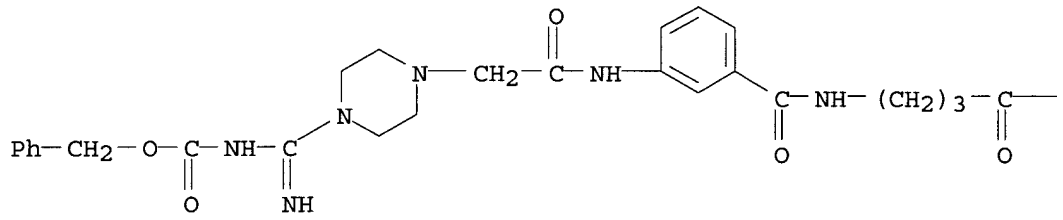


PAGE 1-B

—O—CH_2—Ph

RN 164784-71-8 HCAPLUS
CN Butanoic acid, 4-[[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]acetyl]amino]benzoyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

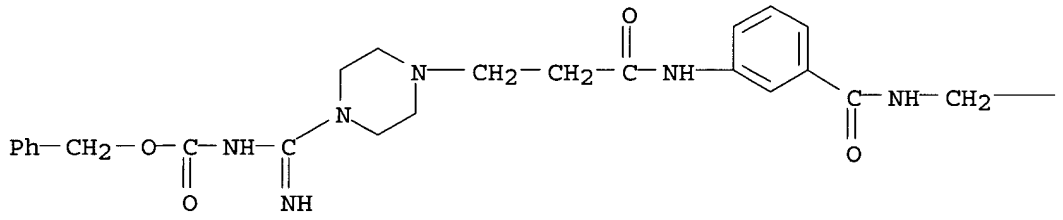


PAGE 1-B

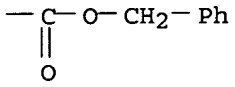
—O—CH_2—Ph

RN 164784-72-9 HCAPLUS
CN Glycine, N-[3-[[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]-1-oxopropyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

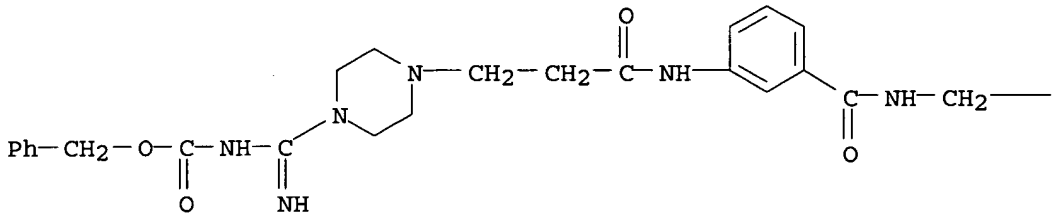


PAGE 1-B

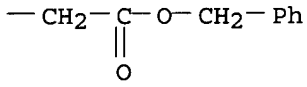


RN 164784-73-0 HCAPLUS
CN β-Alanine, N-[3-[[3-[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]-1-oxopropyl]amino]benzoyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

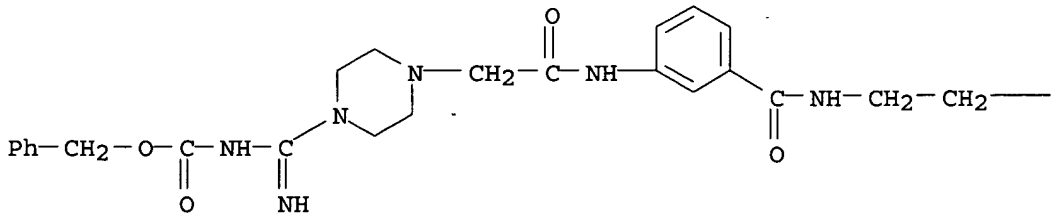


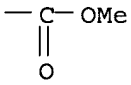
PAGE 1-B



RN 164784-76-3 HCAPLUS
CN β-Alanine, N-[3-[[[4-[imino[[(phenylmethoxy)carbonyl]amino]methyl]-1-piperazinyl]acetyl]amino]benzoyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A





L12 ANSWER 40 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1995:324534 HCAPLUS
DOCUMENT NUMBER: 122:106523
TITLE: Substituted [[(Piperazinylcarbonyl)phenyl]amino]alkyl Thioethers
INVENTOR(S): Ferrini, Pier Giorgio
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 27 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 606824	A1	19940720	EP 1993-810906	19931224
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
US 5380726	A	19950110	US 1993-170110	19931220
AU 9352611	A1	19940721	AU 1993-52611	19931221
JP 06247949	A2	19940906	JP 1993-351780	19931229
CA 2112786	AA	19940716	CA 1994-2112786	19940104
FI 9400154	A	19940716	FI 1994-154	19940112
ZA 9400280	A	19940705	ZA 1994-280	19940114
NO 9400135	A	19940718	NO 1994-135	19940114
HU 70936	A2	19951128	HU 1994-118	19940114
PRIORITY APPLN. INFO.:			CH 1993-115 A	19930115

OTHER SOURCE(S): MARPAT 122:106523
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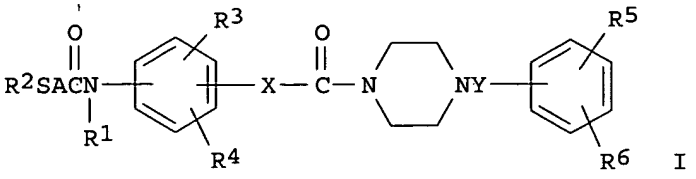

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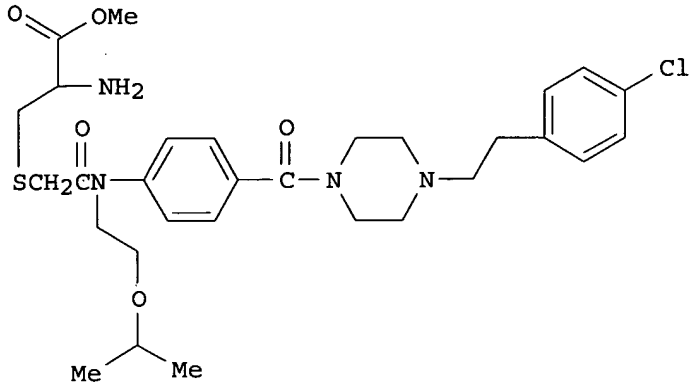


II

AB The title compds., I (R1 = H, alkyl, etc.: R2 = alkyl, carboxy, etc.; R3, R4 = H, alkyl, halo, etc.; R4, 5, R6 = H, alkyl, halo, amino, etc.; A, X, Y = alkanediyl) were disclosed. A specifically claimed example compound is (R)-1-[4-[[[[2-amino-2-(methoxycarbonyl)ethyl]thio]acetyl](2-isopropoxyethyl)amino]benzoyl]-4-[2-(4-chlorophenyl)ethyl]piperazine (II). The title compds. were prepared from amino acids, such as cysteine or glutathione. I were claimed for the treatment of diseases mediated by interleukin-1, however, pharmacol. test data were not shown.

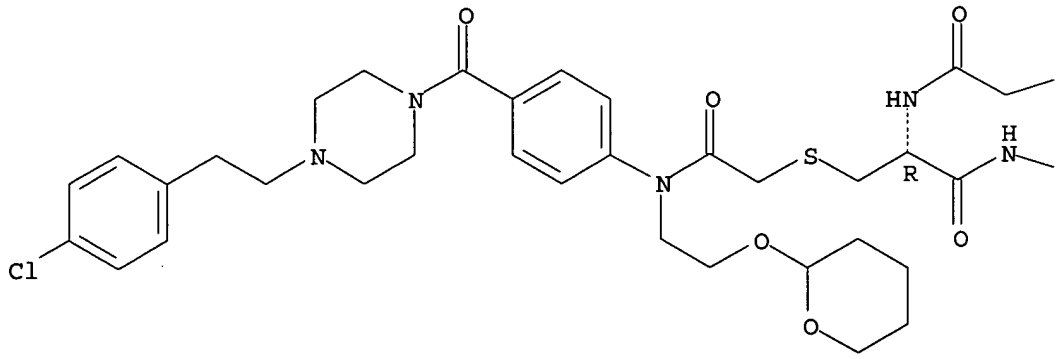
IT **160580-41-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for [[(piperazinylcarbonyl)phenyl]amino]alkyl thioether)

RN 160580-41-6 HCAPLUS

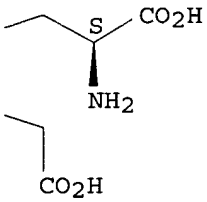
CN Glycine, N-[S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]amino]-2-oxoethyl]-N-L-γ-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



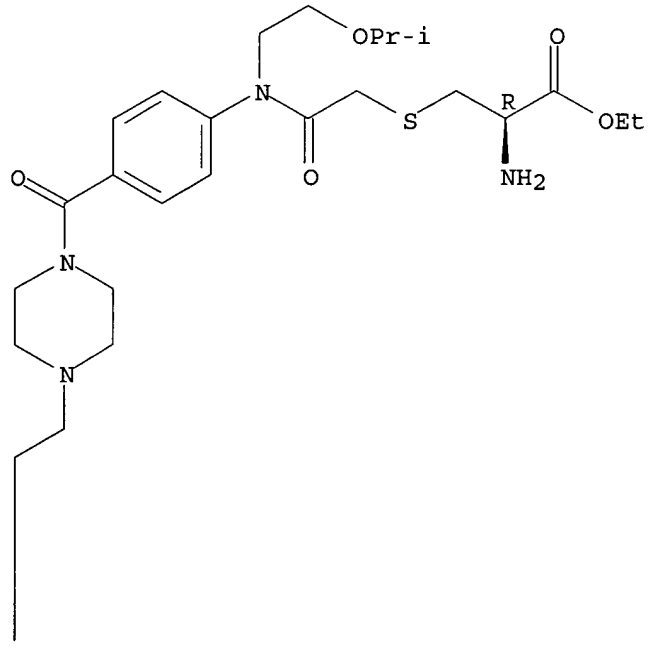
PAGE 1-B



IT **160580-42-7P 160580-43-8P 160580-44-9P**
160580-45-0P 160580-46-1P 160580-47-2P
160580-48-3P 160580-49-4P 160580-50-7P
160580-51-8P 160580-52-9P 160580-53-0P
160580-54-1P 160580-55-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, for treatment of diseases mediated by interleukin-1)
RN 160580-42-7 HCAPLUS
CN L-Cysteine, S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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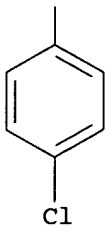
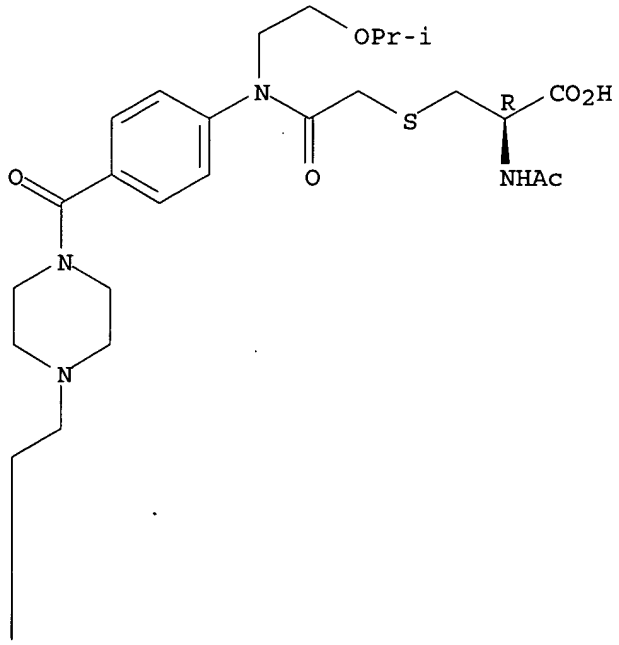


●2 HCl

RN 160580-43-8 HCAPLUS
CN L-Cysteine, N-acetyl-S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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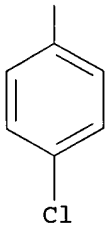
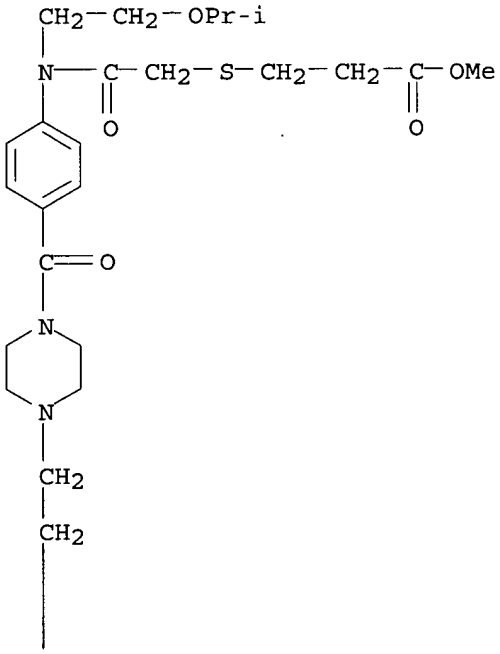


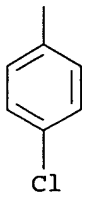
● HCl

RN 160580-44-9 HCAPLUS
CN Propanoic acid, 3-[[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]thio]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

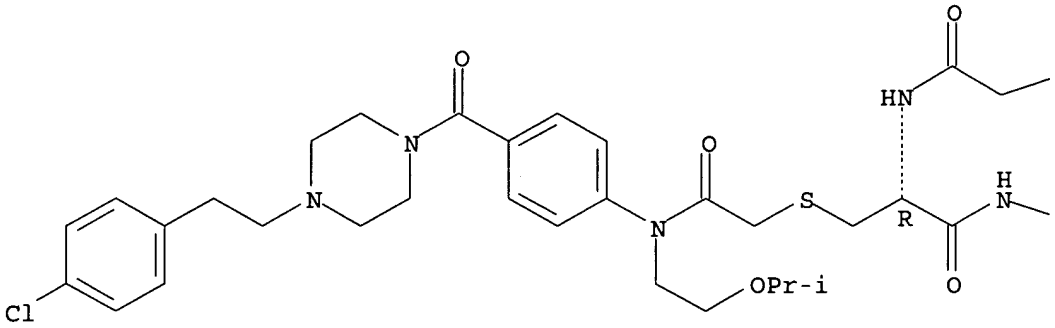


● HCl

RN 160580-45-0 HCAPLUS
CN Glycine, N-[S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-N-L-γ-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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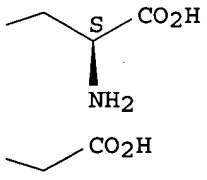
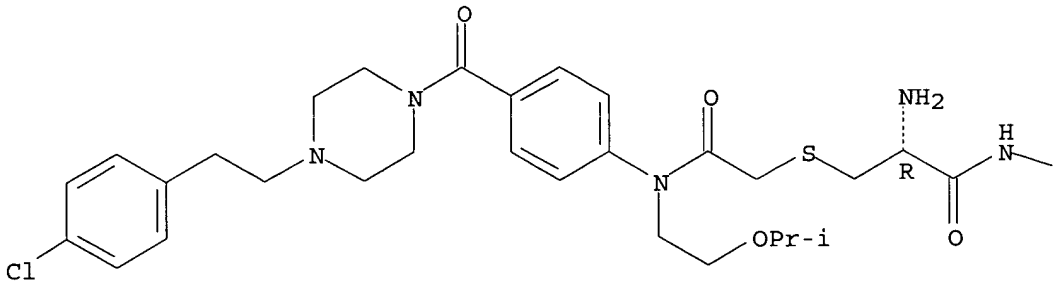


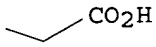
RN 160580-46-1 HCAPLUS
CN Glycine, N-[S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



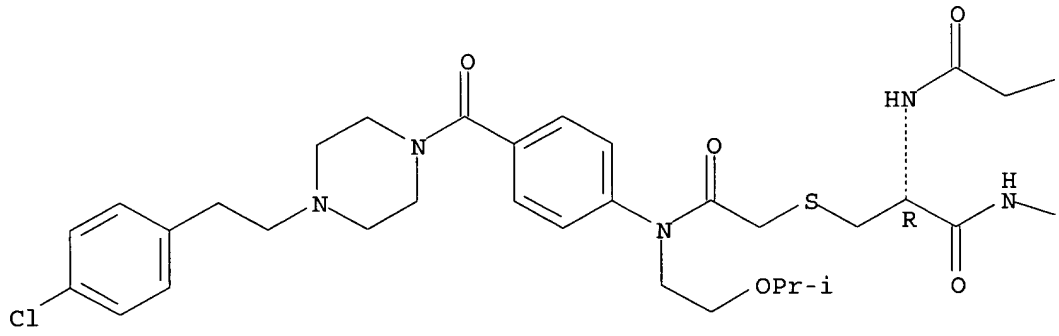
PAGE 1-B



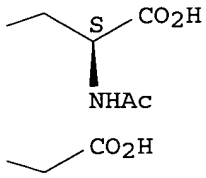
RN 160580-47-2 HCAPLUS
CN Glycine, N-[N-(N-acetyl-L-γ-glutamyl)-S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



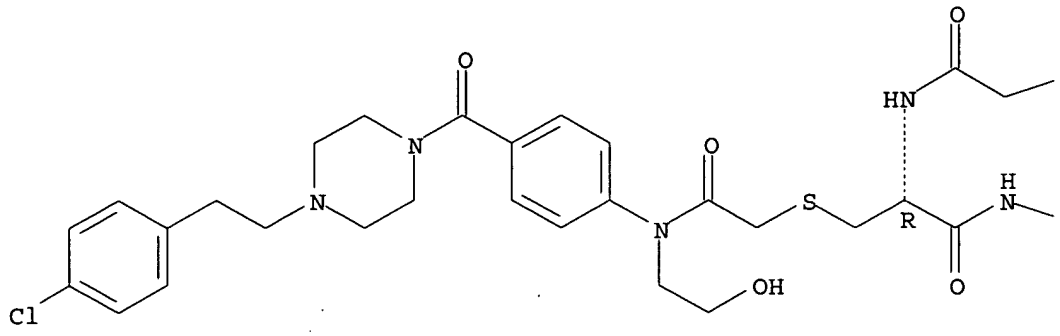
PAGE 1-B



RN 160580-48-3 HCAPLUS
CN Glycine, N-[S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl](2-hydroxyethyl)amino]-2-oxoethyl]-L-γ-glutamyl-L-cysteinyl]-, dihydrochloride (9CI) (CA INDEX NAME)

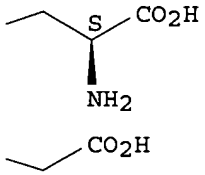
Absolute stereochemistry.

PAGE 1-A



● 2 HCl

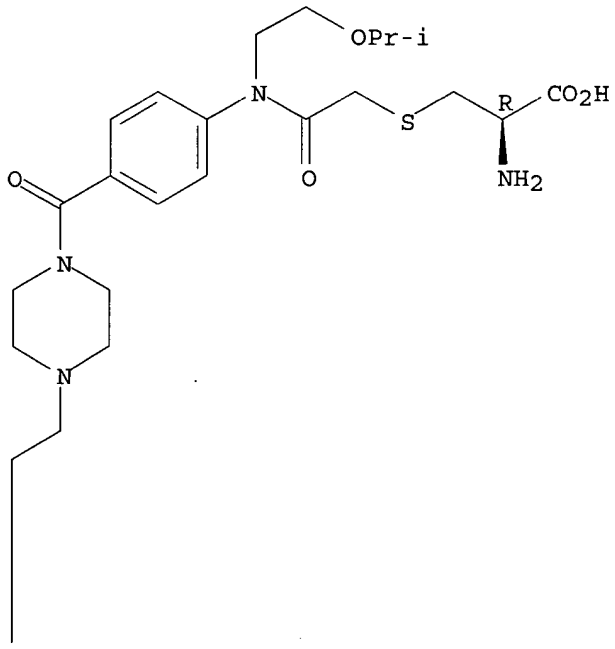
PAGE 1-B



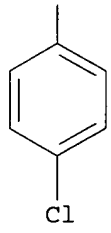
RN 160580-49-4 HCAPLUS
CN L-Cysteine, S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

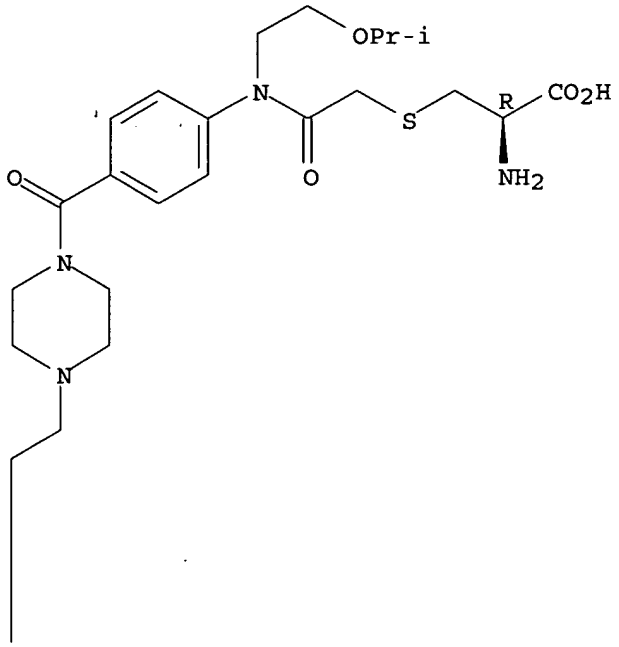


● HCl

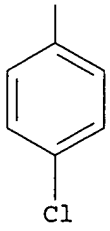
RN 160580-50-7 HCAPLUS
CN L-Cysteine, S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



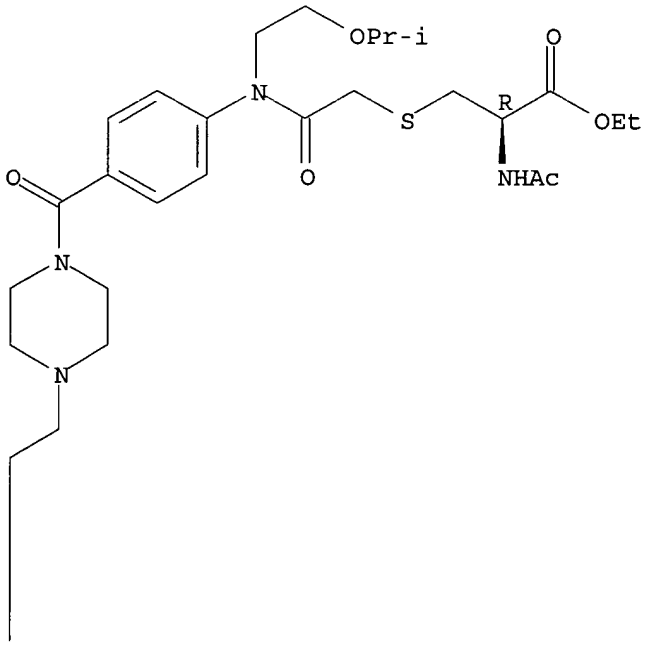
PAGE 2-A



RN 160580-51-8 HCAPLUS
CN L-Cysteine, N-acetyl-S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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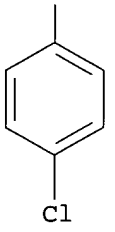
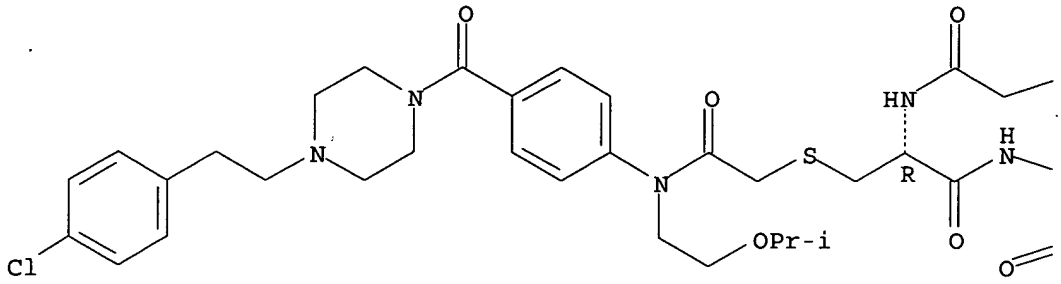


● HCl

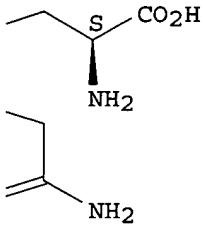
RN 160580-52-9 HCAPLUS
CN Glycinamide, L-γ-glutamyl-S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-L-cysteinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



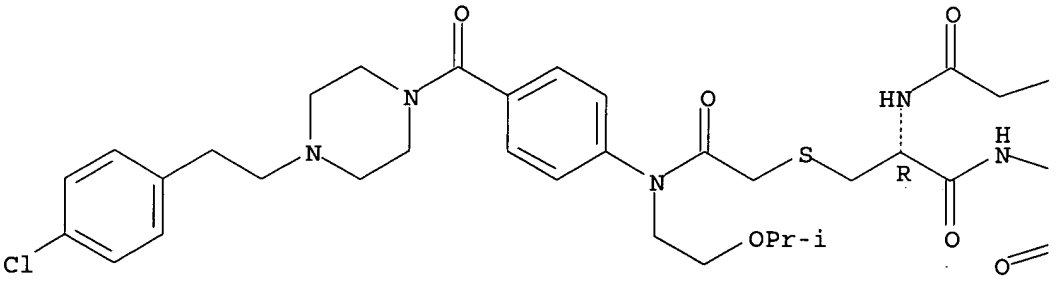
PAGE 1-B



RN 160580-53-0 HCAPLUS
CN Glycine, N-[S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-N-L-γ-glutamyl-L-cysteinyl]-, 1-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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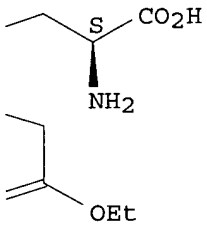
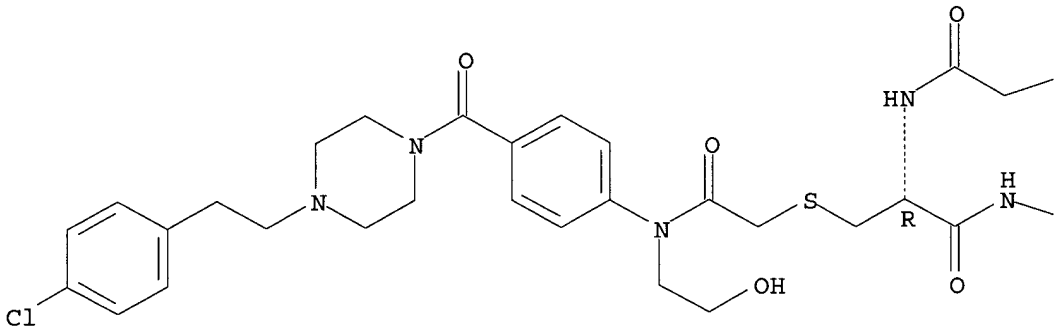


RN 160580-54-1 HCAPLUS
CN Glycine, N-[S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl](2-hydroxyethyl)amino]-2-oxoethyl]-N-L-γ-glutamyl-L-cysteinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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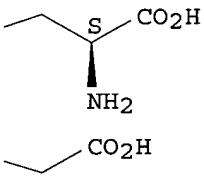
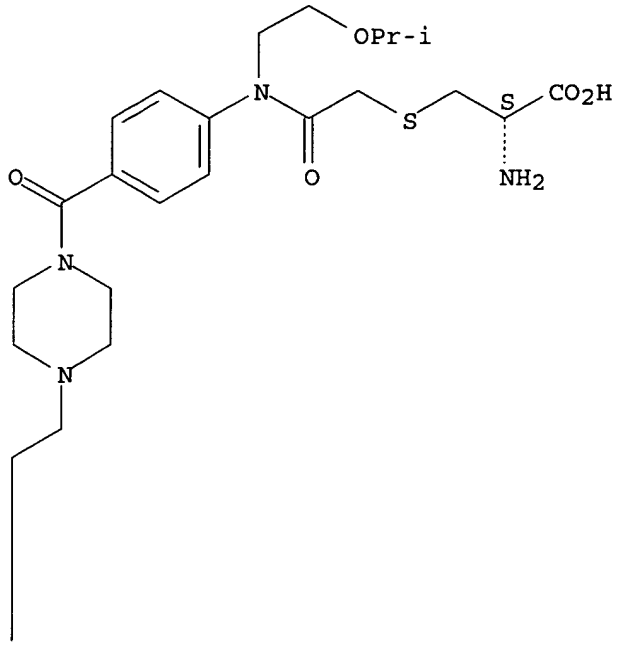


RN 160580-55-2 HCAPLUS
CN D-Cysteine, S-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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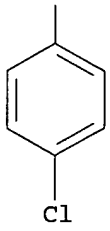

L12 ANSWER 41 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1995:277045 HCAPLUS
DOCUMENT NUMBER:	122:46487
TITLE:	CAT-1 inhibitors, their synthesis, pharmaceutical compositions, and methods of use
INVENTOR(S):	Guthrie, Robert W.; Mullin, John G., Jr.; Kachensky, David F.; Kierstead, Richard W.; Tilley, Jefferson W.; Heathers, Guy P.; Higgins, Alan J.; Lemahieu, Ronald A.
PATENT ASSIGNEE(S):	Hoffman-La Roche Inc., USA
SOURCE:	U.S., 85 pp. Cont.-in-part of U.S. Ser. No. 698, 014, abandoned. CODEN: USXXAM
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	2
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5344843	A	19940906	US 1992-850620	19920313
RU 2059603	C1	19960510	RU 1992-5011784	19920131
EP 512352	A2	19921111	EP 1992-107135	19920427
EP 512352	A3	19930310		
EP 512352	B1	19960327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
AT 136018	E	19960415	AT 1992-107135	19920427
AU 9216003	A1	19921112	AU 1992-16003	19920504
AU 653398	B2	19940929		
CA 2068076	AA	19921110	CA 1992-2068076	19920506
ZA 9203279	A	19930127	ZA 1992-3279	19920506
NO 9201840	A	19921110	NO 1992-1840	19920508
HU 63602	A2	19930928	HU 1992-1538	19920508
JP 05279353	A2	19931026	JP 1992-143375	19920508
JP 07107060	B4	19951115		
RO 109938	B1	19950728	RO 1992-622	19920508
BR 9201769	A	19921229	BR 1992-1769	19920511
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			US 1992-850620 A	19920313

OTHER SOURCE(S): MARPAT 122:46487

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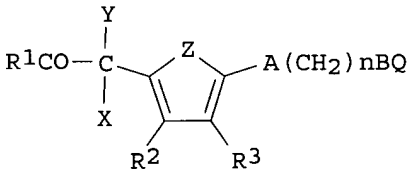


I

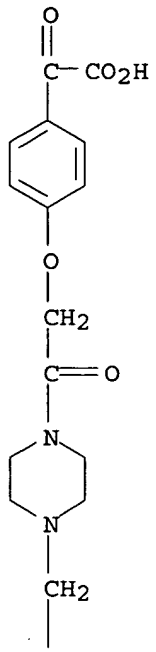
AB The invention relates to compds. I (R1 = OH; R2, R3 = H, alkyl, aryl, alkoxy, etc.; X, Y together = O, or one is amino and other is H; Z = S, CR2=CR2'; A = bond, O, S, SO, CHCH, etc.; B = bond, O, S, SO, etc.; Q = Ph, cyclohexyl, pyridinyl, etc.; n = 1-6) and their pharmaceutically acceptable salts, and when appropriate, enantiomers, racemates, diastereomers or mixts. thereof or geometric isomer or mixts. thereof, and pharmaceutically acceptable salts thereof. The compds. inhibit carnitine acyltransferase 1 (CAT-1) and are therefore useful in the prevention of injury to ischemic tissue, and can limit infarct size, improve cardiac function and prevent arrhythmias during and following a myocardial infarction. 5-[[2-(2-Naphthalenyloxy)ethyl]oxy]-α-oxo-2-thiopheneacetic acid (preparation given) inhibited CAT-1 with an IC50 = 0.05 μM. Tablet and capsule formulations containing 4-[2-(2-naphthyloxy)ethoxy]-α-oxobenzeneacetic acid are presented.

IT **146572-66-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(synthesis and pharmaceutical compns. and use of carnitine acyltransferase inhibitor compds.)

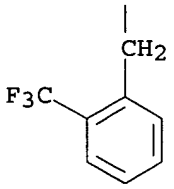
RN 146572-66-9 HCAPLUS

CN Benzeneacetic acid, α-oxo-4-[2-oxo-2-[4-[2-[2-(trifluoromethyl)phenyl]ethyl]-1-piperazinyl]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



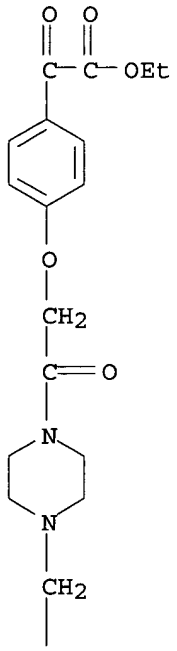
● HCl

IT **145797-87-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and pharmaceutical compns. and use of carnitine acyltransferase inhibitor compds.)

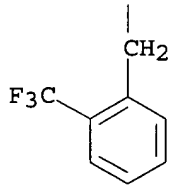
RN 145797-87-1 HCAPLUS

CN Benzeneacetic acid, α-oxo-4-[2-oxo-2-[4-[2-[2-(trifluoromethyl)phenyl]ethyl]-1-piperazinyl]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L12 ANSWER 42 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:483367 HCAPLUS
DOCUMENT NUMBER: 121:83367
TITLE: Analgesic diarylmethylpiperazines and piperidines
INVENTOR(S): Chang, Kwen Jen; Boswell, Grady Evan; Bubacz, Dulce Garrido; Collins, Mark Allan; Davis, Ann Otstot; Mcnutt, Robert Walton
PATENT ASSIGNEE(S): Wellcome Foundation Ltd., UK
SOURCE: PCT Int. Appl., 214 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9315062	A1	19930805	WO 1993-GB216	19930202

W: AT, AU, BR, CA, CH, DE, ES, HU, JP, KP, LU, NL, NO, PL, RO, RU, SE, UA, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG

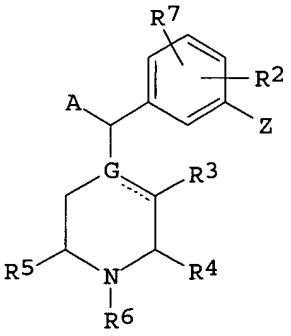
AU 9334573	A1	19930901	AU 1993-34573	19930202
AU 675928	B2	19970227		
ZA 9300717	A	19940802	ZA 1993-717	19930202
JP 07503247	T2	19950406	JP 1993-513072	19930202
JP 3109832	B2	20001120		
EP 649414	A1	19950426	EP 1993-914513	19930202
EP 649414	B1	20030416		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
IL 104582	A1	19981030	IL 1993-104582	19930202
AT 237597	E	20030515	AT 1993-914513	19930202
PT 649414	T	20030930	PT 1993-914513	19930202
ES 2197152	T3	20040101	ES 1993-914513	19930202
US 5658908	A	19970819	US 1994-284445	19940803
US 5854249	A	19981229	US 1997-864667	19970528
US 2002052007	A1	20020502	US 2001-957903	20010921

PRIORITY APPLN. INFO.:

GB 1992-2238	A	19920203
WO 1993-GB216	A	19930202
US 1994-284445	A3	19940803
US 1996-658726	A2	19960605
US 1997-887312	A3	19970703
US 1999-352308	A2	19990712

OTHER SOURCE(S): MARPAT 121:83367

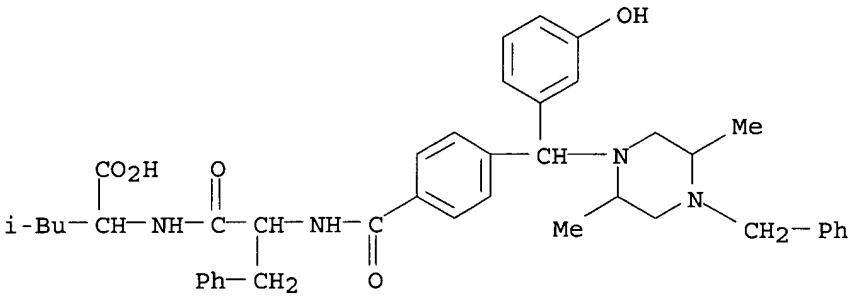
GI



I

AB The title compds. [I; A = 5- or 6-membered carbocyclic or heterocyclic aromatic ring; G = C, N; R2 = H, halogen, C1-4 alkyl; R3-R5 = H, Me (so long as the total number of M e groups is not greater than 2); R6 = H, C1-6 alkyl, C3-6 cycloalkyl, aralkyl, etc.; R7 = H, F; Z = HO, esters, hydroxymethyl, NH2, carboximides, sulfonimides; R1 = R2 = R7 = F only when Z = OH and G = C when R6 ≠ aralkyl], useful as mu and/or delta receptor opioid compds. for mediating analgesia, are prepared and I-containing formulations presented. Thus, (±)-4-[(α-R)-α-[(2S,5R)]-4-allyl-2,5-dimethyl-1-piperazinyl-3-hydroxybenzyl]-N,N-diethylbenzamide, prepared from 3-bromophenol in a multi-step reaction, demonstrated 50% inhibitory concentration against rat brain delta receptors at 1.8 nM and 50% Mu receptor inhibitory concentration of 15 nM.

IT **155806-55-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and analgesic activity of)
RN 155806-55-6 HCAPLUS
CN L-Leucine, N-[N-[4-[[2,5-dimethyl-4-(phenylmethyl)-1-piperazinyl](3-hydroxyphenyl)methyl]benzoyl]-L-phenylalanyl]-, [2R-[1(R*),2α,5β]]- (9CI) (CA INDEX NAME)



L12 ANSWER 43 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1994:422383 HCAPLUS
DOCUMENT NUMBER: 121:22383
TITLE: silver halide color photographic material
INVENTOR(S): Morigaki, Masakazu; Yamada, Kohzaburoh; Seto, Nobuo; Yoshioka, Yasuhiro
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 102 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 570006	A1	19931118	EP 1993-107890		19930514
EP 570006	B1	19990324			
R: DE, FR, GB, NL					
JP 06027609	A2	19940204	JP 1993-23464		19930120
JP 3101848	B2	20001023			
US 5362617	A	19941108	US 1993-59981		19930512
PRIORITY APPLN. INFO.:			JP 1992-148009	A	19920515
			JP 1993-23464	A	19930120

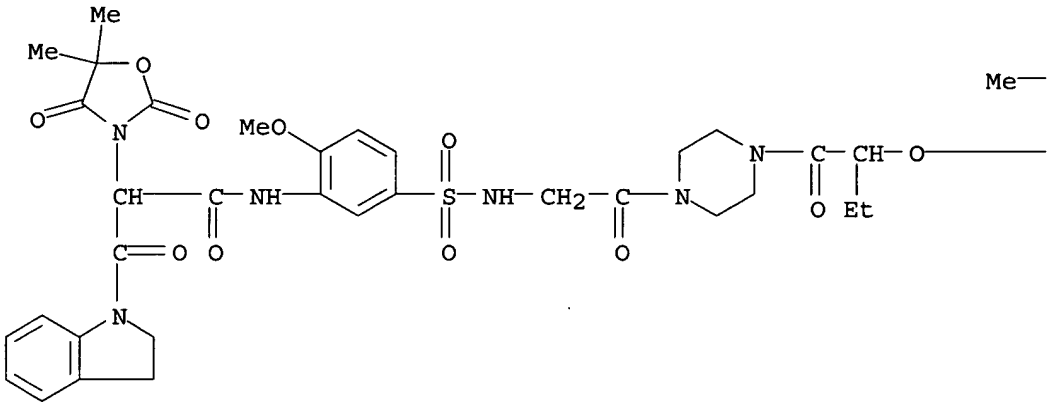
OTHER SOURCE(S): MARPAT 121:22383
GI For diagram(s), see printed CA Issue.
AB A silver halide color photog. material comprises a support provided thereon at least one layer containing a coupler represented by the formula ACOCHZCONHB wherein A represents a group represented by NR1R2, I, or II (R1, R2 = an aliphatic, aromatic, or heterocyclic group; Q1 = an organic group necessary to form a N-containing heterocyclic ring; R3 = an organic group; Q2 = an organic group necessary to form a 3- to 6-membered ring; B = an aromatic or heterocyclic group; Z = H or a group capable of splitting off upon coupling reaction with the oxidation product of an aromatic primary amine

developing agent).

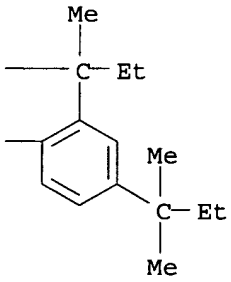
IT **155620-21-6 155620-30-7**
RL: USES (Uses)
(yellow photog. coupler)

RN 155620-21-6 HCAPLUS
CN 1H-Indole-1-propanamide, N-[5-[[[2-[4-[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-oxobutyl]-1-piperazinyl]-2-oxoethyl]amino]sulfonyl]-2-methoxyphenyl]-α-(5,5-dimethyl-2,4-dioxo-3-oxazolidinyl)-2,3-dihydro-β-oxo- (9CI) (CA INDEX NAME)

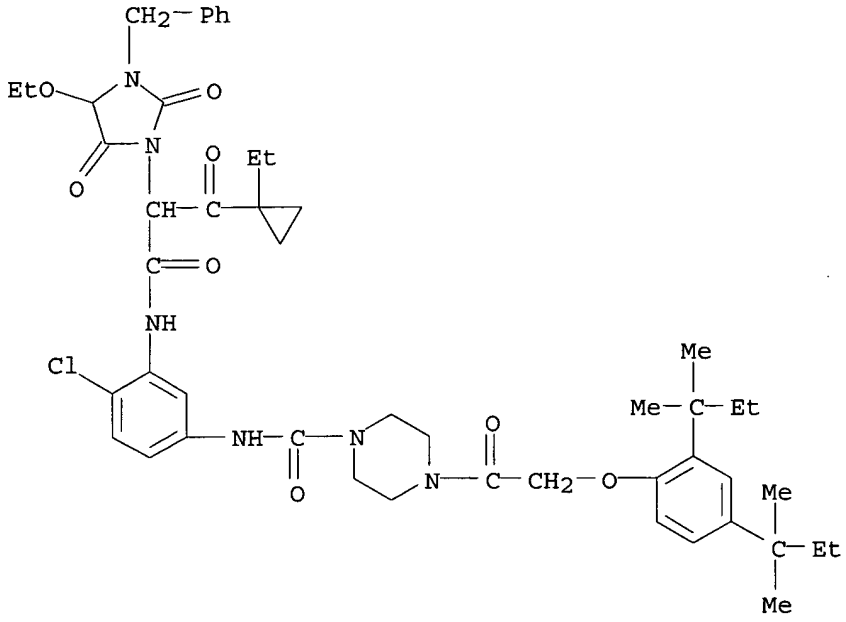
PAGE 1-A



PAGE 1-B



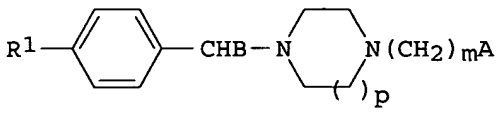
RN 155620-30-7 HCAPLUS
CN 1-Piperazinecarboxamide, 4-[[2,4-bis(1,1-dimethylpropyl)phenoxy]acetyl]-N-[4-chloro-3-[[2-[4-ethoxy-2,5-dioxo-3-(phenylmethyl)-1-imidazolidinyl]-3-(1-ethylcyclopropyl)-1,3-dioxopropyl]amino]phenyl]- (9CI) (CA INDEX NAME)



L12 ANSWER 44 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:580818 HCAPLUS
DOCUMENT NUMBER: 119:180818
TITLE: Preparation of piperazine derivatives as drugs
INVENTOR(S): Kumagai, Kazuhiro; Nagasawa, Masaaki; Takahashi, Hidenori; Abe, Tooru; Omata, Takeshi; Segawa, Yoshihide
PATENT ASSIGNEE(S): Zeria Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9302062	A1	19930204	WO 1992-JP833	19920702
W: AU, CA, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2113449	AA	19930204	CA 1992-2113449	19920702
AU 9222316	A1	19930223	AU 1992-22316	19920702
AU 658656	B2	19950427		
EP 598123	A1	19940525	EP 1992-914249	19920702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 2767321	B2	19980618	JP 1992-502728	19920702
US 5432179	A	19950711	US 1993-170198	19931230
PRIORITY APPLN. INFO.:			JP 1991-203755 A	19910719
			WO 1992-JP833 A	19920702

OTHER SOURCE(S): MARPAT 119:180818
GI



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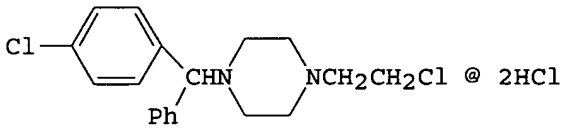

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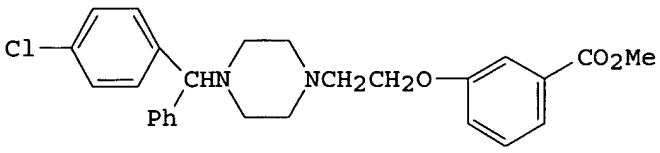


III

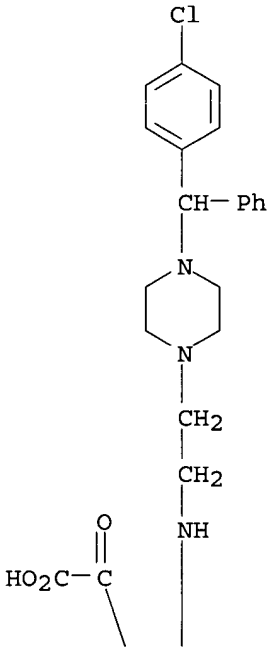
AB Piperazine derivs. [I; A = (substituted) phenoxy, pyridyloxy, quinolinyloxy, indolinyloxy, etc.; B = Ph, pyridyl; R1 = H, halo; m = 2, 3' p = 1,2], useful as antiallergic, antihistaminic, and antiasthmatic agents, are prepared and formulated. 3-HOC6H4CO2Me was added to a suspension of piperazine salt II and K2CO3 in Me2CO and then refluxed to give 68% III. I showed 52.3-86.4% allergy inhibition at 10 mg/kg orally in rats. I also showed IC50 of 0.14-1.59 μM in vitro against histamine in guinea pigs. Granular, tablet, and injection formulations are given.

IT **150167-44-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as drug)

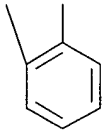
RN 150167-44-5 HCAPLUS

CN Benzeneacetic acid, 2-[[2-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]ethyl]amino]-α-oxo-, monosodium salt (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



● Na

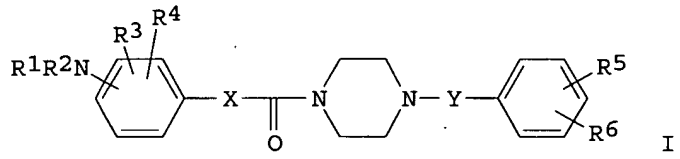
L12 ANSWER 45 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:254960 HCAPLUS
DOCUMENT NUMBER: 118:254960
TITLE: Preparation of N-(aminoaroyl)-N'-(arylalkyl)piperazines as analgesics
INVENTOR(S): Ferrini, Pier Giorgio
PATENT ASSIGNEE(S): Ciba-Geigy A.-G., Switz.
SOURCE: Eur. Pat. Appl., 30 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

EP 524146	A1	19930120	EP 1992-810525		19920710
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, PT, SE					
US 5286728	A	19940215	US 1992-913277		19920714
AU 9220317	A1	19930121	AU 1992-20317		19920715
AU 650989	B2	19940707			
CA 2074154	AA	19930120	CA 1992-2074154		19920717
NO 9202853	A	19930120	NO 1992-2853		19920717
ZA 9205359	A	19930331	ZA 1992-5359		19920717
JP 05202014	A2	19930810	JP 1992-190894		19920717
HU 67047	A2	19950130	HU 1992-2359		19920717
PRIORITY APPLN. INFO.:			CH 1991-2160	A	19910719
			CH 1992-1340	A	19920427

OTHER SOURCE(S): MARPAT 118:254960

GI



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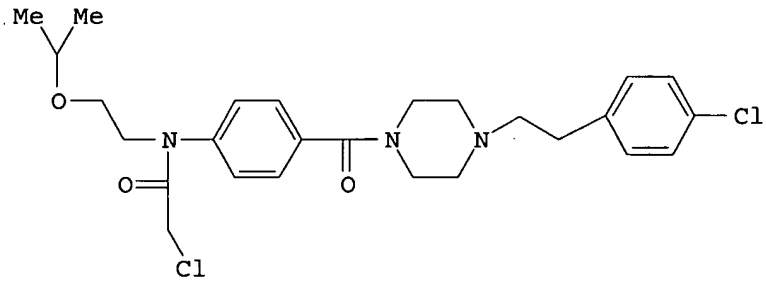


II

AB Title compds. [I; R1 = H, alkyl, alkoxyalkyl, aryloxyalkyl, (di)alkylaminoalkyl, etc.; R2 = (substituted) alkenoyl, carbamoyl, carboxycarbonyl, H, alkanoyl, CO2H, alkoxy carbonyl, arylalkoxycarbonyl, etc.; R3, R4 = H, alkyl, halo, alkoxy, alkylthio; R5, R6 = H, alkyl, haloalkyl, alkoxy, alkylthio, halo, (di)(alkyl)amino, alkanoylamino; X, Y = bond, alkylene, alkenylene], were prepared Thus, 1-[4-[N-(2-isopropoxyethyl)amino]benzoyl]-4-[2-(4-chlorophenyl)ethyl]piperazine (preparation given) was stirred with K2CO3 and ClCH2COCl in PhMe at 45° to give title compound II. HCl. Numerous dosage formulations were prepared containing II or II salts. I inhibited lipopolysaccharide-induced fever in rats with Eb50 = 0.05-3.5 mg/kg orally.

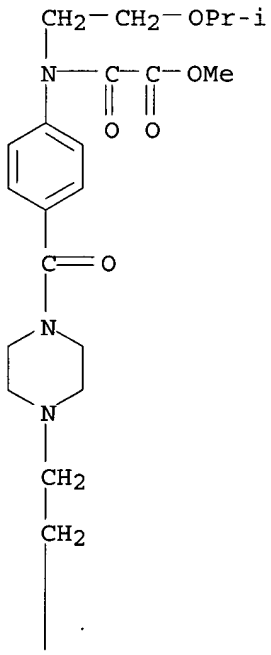
IT **147131-48-4P 147131-49-5P 147131-50-8P 147131-53-1P 147131-54-2P 147131-61-1P 147149-07-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as analgesic)

RN 147131-48-4 HCAPLUS

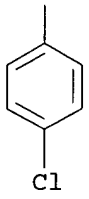
CN Acetic acid, [[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]oxo-, methyl

ester, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

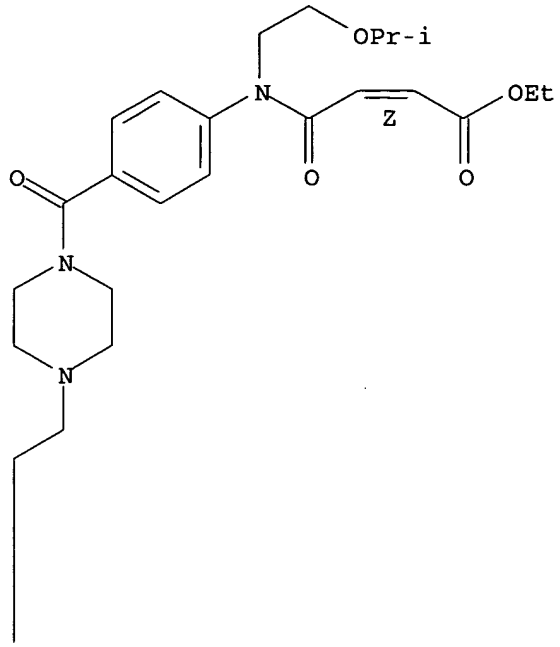


● HCl

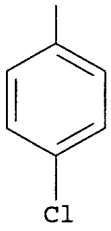
RN 147131-49-5 HCAPLUS
CN 2-Butenoic acid, 4-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-4-oxo-, ethyl ester, monohydrochloride, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



PAGE 2-A

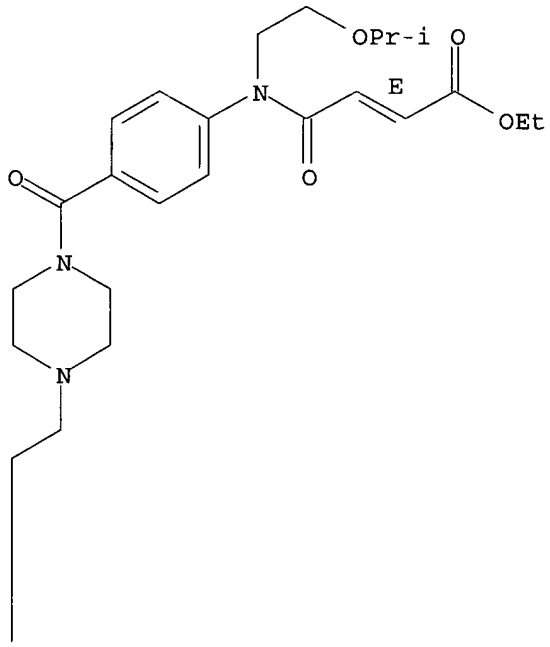


● HCl

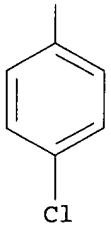
RN 147131-50-8 HCAPLUS
CN 2-Butenoic acid, 4-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-4-oxo-, ethyl ester, monohydrochloride, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



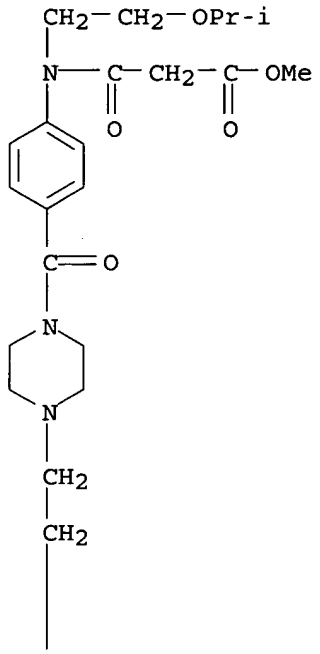
PAGE 2-A



● HCl

RN 147131-53-1 HCAPLUS
CN Propanoic acid, 3-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-3-oxo-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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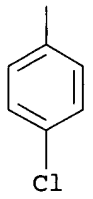
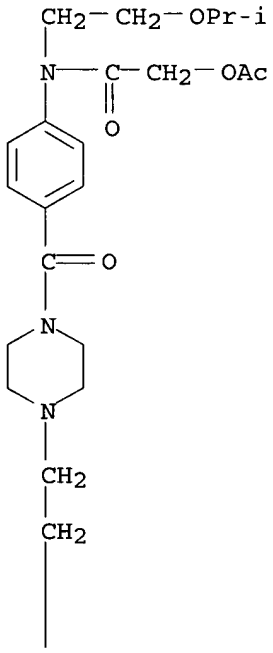


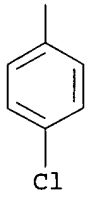
● HCl

RN 147131-54-2 HCAPLUS
CN Acetamide, 2-(acetyloxy)-N-[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl]-N-[2-(1-methylethoxy)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



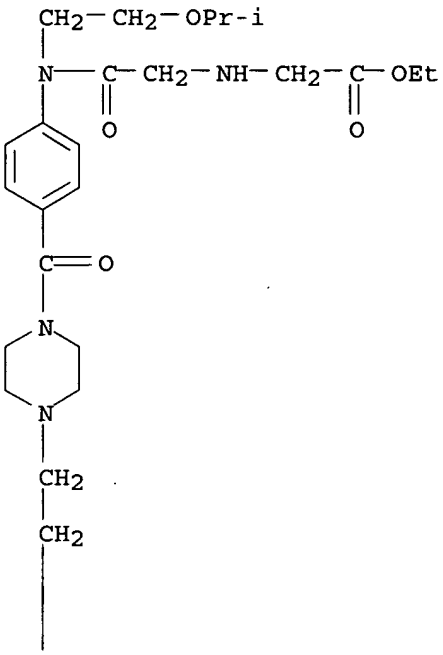
PAGE 2-A



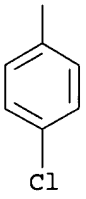
● HCl

RN 147131-61-1 HCAPLUS
CN Glycine, N-[2-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-2-oxoethyl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

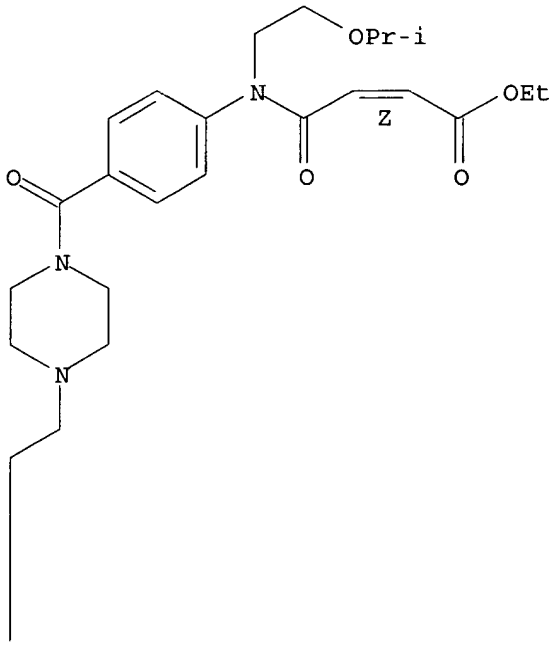


● HCl

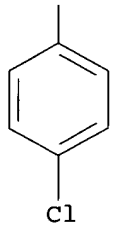
RN 147149-07-3 HCAPLUS
CN 2-Butenoic acid, 4-[[4-[[4-[2-(4-chlorophenyl)ethyl]-1-piperazinyl]carbonyl]phenyl][2-(1-methylethoxy)ethyl]amino]-4-oxo-, ethyl ester, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-A



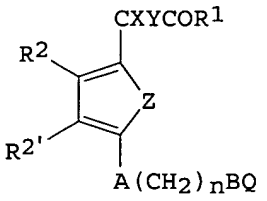
PAGE 2-A



L12 ANSWER 46 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:147306 HCAPLUS
DOCUMENT NUMBER: 118:147306
TITLE: Preparation of α-oxobenzeneacetic acids and related compounds as antiischemics and antiarrhythmics
INVENTOR(S): Guthrie, Robert William; Heathers, Guy Phillip; Higgins, Alan John; Kachensky, David Francis; Kierstead, Richard Wightmann; LeMahieu, Ronald Andrew; Mullin, John Guilfoyle, Jr.; Tilley, Jefferson Wright
PATENT ASSIGNEE(S): Hoffmann-La Roche, F., AG, Switz.
SOURCE: Eur. Pat. Appl., 166 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 512352	A2	19921111	EP 1992-107135	19920427
EP 512352	A3	19930310		
EP 512352	B1	19960327		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
US 5344843	A	19940906	US 1992-850620	19920313
PRIORITY APPLN. INFO.:			US 1991-698014 A	19910509
			US 1992-850620 A	19920313

OTHER SOURCE(S): MARPAT 118:147306
GI



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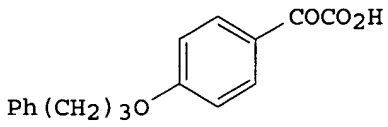


II

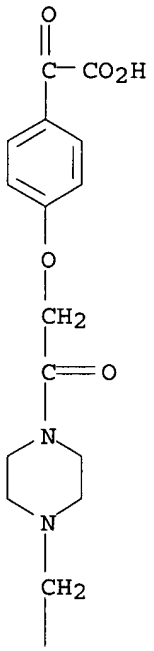
AB Title compds. I [R1 = OH, OR3, NR4R5; 1 of R4, R5 = H, C1-7 (hydroxy)alkyl and the other = H, OH, C1-7 alkyl, C1-7 alkoxy; R3 = (CH2CH2O)mH, CH2CHOHCH2OH, 2,2-dimethyl-1,3-dioxolan-4-yl, CH2CH2NH2, etc.; m = 1-4; R2, R2' = H, C1-7 alkyl, aryl-C1-7 alkyl, C1-7 alkoxy, OH, NH2, C1-7 alkylamino, cyano, halo, SH, etc.; A = bond, O, NR7, S, SO, SO2, C.tplbond.C, CH:CH, CH2CH, NR8CO, CONR9; R7 = H, C1-7 alkyl, acyl; R8,R9 = H, C1-7 alkyl; n = 0-10; B = bond, groups defined for A, CO, CS, (OCH2CH2)mO, etc.; Z = O, S, CR2:CR2', N:CR2, CR2:N, NR11; R11 = H, C1-7 alkyl; XY = O, S, :NOH, alkoxyimino, alkenyloxyimino, hydrazono, etc., or individually 1 of X and Y = halo and the other = H, halo, C1-7 alkyl, aryl-C1-7 alkyl; other possibilities for X and Y; Q = cycloalkyl, aryl, heterocyclyl; with provisos] were prepared as drugs to prevent injury to ischemic tissue and arrhythmias during and after a myocardial infarction. Thus, Me 4-hydroxy-α-oxobenzeneacetate in DMF containing NaH was O-alkylated by Ph(CH2)3Br and the resultant product was hydrolyzed by NaOH in MeOH to give title compound II. II had IC50 of 0.5 μM against carnitine acyltransferase 1 in mitochondria. Over 200 I were prepared Capsules containing I were also prepared

IT **146572-66-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antiischemic and antiarrhythmic)

RN 146572-66-9 HCAPLUS

CN Benzeneacetic acid, α-oxo-4-[2-oxo-2-[4-[2-[2-(trifluoromethyl)phenyl]ethyl]-1-piperazinyl]ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



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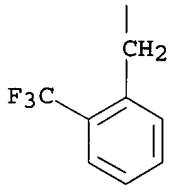
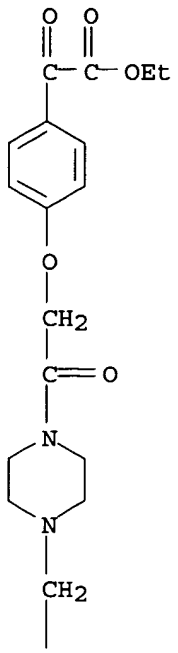


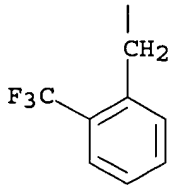
● HCl

IT **145797-87-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antiischemics and antiarrhythmics)
RN 145797-87-1 HCAPLUS
CN Benzeneacetic acid, α-oxo-4-[2-oxo-2-[4-[2-[2-(trifluoromethyl)phenyl]ethyl]-1-piperazinyl]ethoxy]-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



L12 ANSWER 47 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1992:265507 HCAPLUS
DOCUMENT NUMBER: 116:265507
TITLE: Silver halide photographic image-forming method by development
INVENTOR(S): Ohashi, Minoru; Koga, Masao
PATENT ASSIGNEE(S): Mitsubishi Paper Mills, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04016938	A2	19920121	JP 1990-121747	19900511
US 5212045	A	19930518	US 1991-697439	19910509

PRIORITY APPLN. INFO.: JP 1990-120279 A 19900509
JP 1990-121747 A 19900511

OTHER SOURCE(S): MARPAT 116:265507

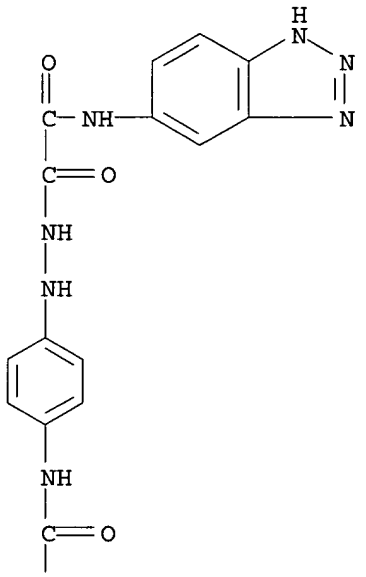
AB Images are formed by developing a photog. material in the presence of R1R2N(CO)2(NH)2Z1NHCOZnCONHZ2(NH)2(CO)2NR3R4 (Z = divalent linking group; Z1-2 = aromatic group; R1-4 = H, alkyl, aryl, heterocyclic group; R1R2 or R3R4 may form ring; n = 0, 1). The obtained images showed stable sensitivity.

IT **141797-72-0**
RL: USES (Uses)
(silver halide photog. development with, for stable sensitivity)

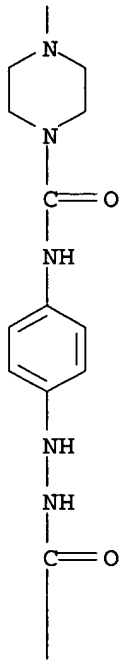
RN 141797-72-0 HCAPLUS

CN Acetic acid, (1H-benzotriazol-5-ylamino)oxo-, 2,2'-[1,4-piperazinediylbis(carbonylimino-4,1-phenylene)]dihydrazide (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



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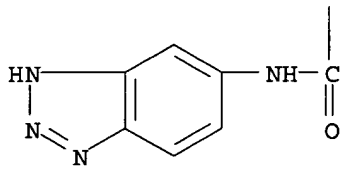
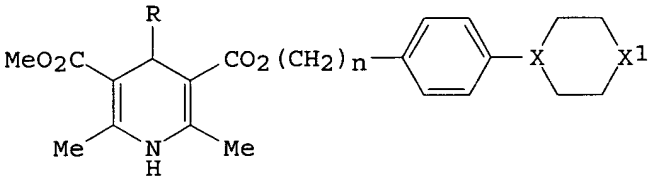


L12 ANSWER 48 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1991:408729 HCAPLUS
DOCUMENT NUMBER: 115:8729
TITLE: Novel 1,4-dihydropyridine calcium antagonists. II. Synthesis and antihypertensive activity of 3-[4-(substituted amino)phenylalkyl] ester derivatives
AUTHOR(S): Ashimori, Atsuyuki; Ono, Taizo; Inoue, Yoshihisa; Morimoto, Satoshi; Eda, Masahiro; Uchida, Takeshi; Ohtaki, Yutaka; Fujino, Yoshiyuki; Kido, Hideaki; et al.
CORPORATE SOURCE: Res. Div., Green Cross Corp., Hirakata, 573, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1991), 39(1), 91-9
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:8729
GI



I

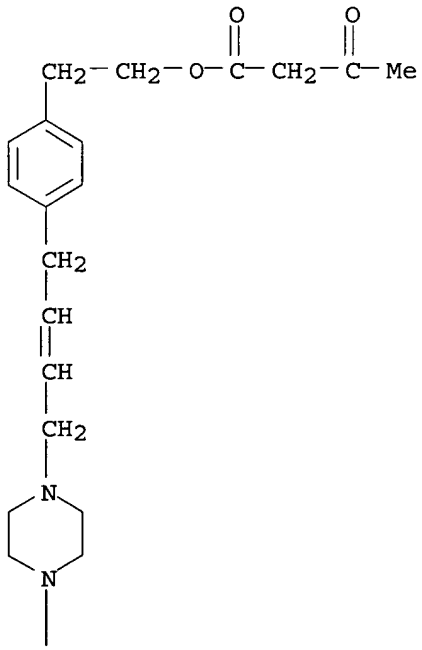
AB Novel 1,4-dihydropyridine derivs. bearing 3-[4-(substituted amino)phenylalkyl] ester side chains were prepared by several routes and tested for antihypertensive activity in spontaneously hypertensive rats. Most compds. showed a more potent antihypertensive effect and a longer duration of action than nicardipine. The derivs. with a benzhydrylpiperazinyl and a benzhydrylpiperidinyl group were distinctive. [(Benzhydrylpiperazinyl)phenyl]alkyl and [(benzhydrylpiperidinyl)phenyl]alkyl dihydrodimethylpyridinedicarboxylates I (R = 3-O2NC6H4, X = N, X1 = NCHPh2, n = 2; R = 4-cyano-2-pyridyl, X = N, X1 = NCHPh2, n = 2; R = 3-O2NC6H4, X = N, X1 = NCHPh2, n = 3; R = 3-O2NC6H4, X = N, X1 = CHCHPh2, X = CH, X1 = NCHPh2, n = 2) were selected as candidates for further pharmacol. investigations.

IT **134392-10-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclocondensation of, with nitrobenzaldehyde and aminocrotonate)

RN 134392-10-2 HCAPLUS

CN Butanoic acid, 3-oxo-, 2-[4-[4-[4-(diphenylmethyl)-1-piperazinyl]-2-butenyl]phenyl]ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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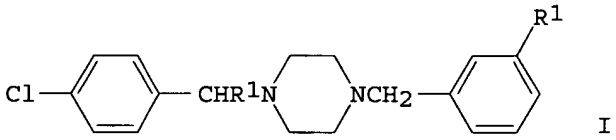
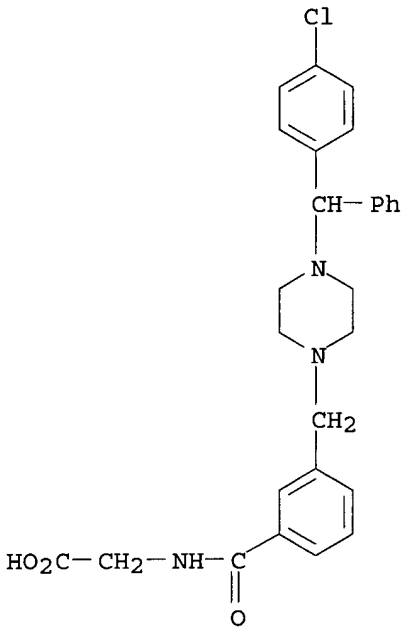
L12 ANSWER 49 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:515140 HCAPLUS
DOCUMENT NUMBER: 111:115140
TITLE: Synthesis of some metabolites of meclozine
AUTHOR(S): Goenechea, Sabino; Brzezinka, Harald; Glanzmann, Gerda; Ruecker, Gerhad; Neugebauer, Michael
CORPORATE SOURCE: Inst. Rechtsmed., Univ. Bonn, Bonn, D-5300/1, Fed. Rep. Ger.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1989), 322(6), 355-7
CODEN: ARPMAS; ISSN: 0365-6233
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 111:115140
GI



I

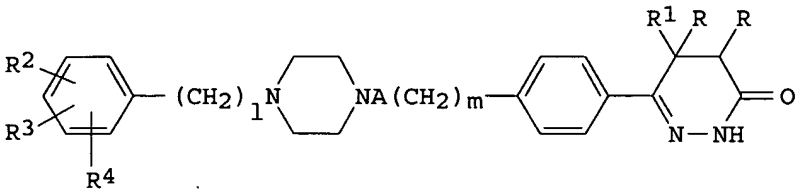
AB The meclozine (I, R = Ph, R1 = Me) metabolites I [R = Ph, R1 = CO2H, CONH2, CONHCH2CH2SO3H; R = 3,4-MeO(HO)C6H3, R1 = CO2H] and the mono- and di-N-oxides of I (R = Ph, R1 = Me) were prepared
IT **122504-58-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 122504-58-9 HCAPLUS
CN Glycine, N-[3-[[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]methyl]benzoyl]- (9CI) (CA INDEX NAME)



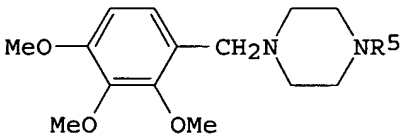
L12 ANSWER 50 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1989:95277 HCAPLUS
DOCUMENT NUMBER: 110:95277
TITLE: Preparation of pyridazinone derivatives as cardiotonics
INVENTOR(S): Okujima, Hiromi; Narimatsu, Akihiro; Kobayashi, Makio; Furuya, Rikizo; Kitada, Yoshi
PATENT ASSIGNEE(S): Mitsubishi Kasei Corp., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63218666	A2	19880912	JP 1987-53643	19870309
PRIORITY APPLN. INFO.:			JP 1987-53643	19870309

OTHER SOURCE(S): MARPAT 110:95277
GI



I



II

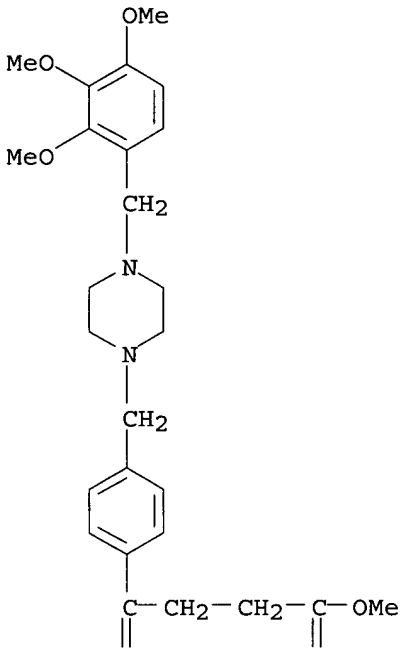
AB Title compds. I [R = H or RR = bond; R1 = H, C1-5 alkyl; R2, R3, R4 = H, C1-5 alkoxy, OH; R2R3, R3, R4, R2R4 = OCH2O, O(CH2)2O; A = bond, (CH2)nNH; l = 0-4; m,n = 1-4] are prepared A solution of p-(BrCH2)C6H4CO(CH2)2CO2Me in MeOH was refluxed with a piperazine II (R5) for 8 h to give 52% II [R5 = CH2C6H4[[CO(CH2)2CO2Me]-p], and the latter and 80% H2NNH2 in AcOH were refluxed for 1 h to give 51% I (R = R1 = H; R2 = 2-MeO; R3 = 3-MeO; R4 = 4-MeO; A = bond) (III), which was converted to its HCl salt. III.HCl showed, in vitro, at 3 + 10-5 g/mL, 35.3% increase of muscular contraction in guinea pigs left atrium and at 100 μg 16.5% increase of dog-niple muscular contraction.

IT **119115-71-8P 119115-74-1P 119115-75-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, in preparation of pyridazinone cardiotonics)

RN 119115-71-8 HCAPLUS

CN Benzenebutanoic acid, γ-oxo-4-[[4-[(2,3,4-trimethoxyphenyl)methyl]-1-piperazinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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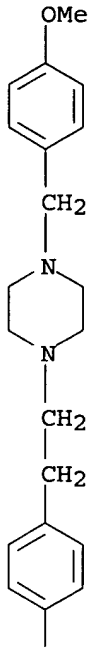
RN 119115-74-1 HCAPLUS
CN Benzenebutanoic acid, 4-[2-[4-[(4-methoxyphenyl)methyl]-1-piperazinyl]ethyl]-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



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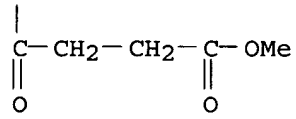
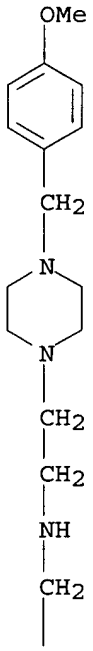
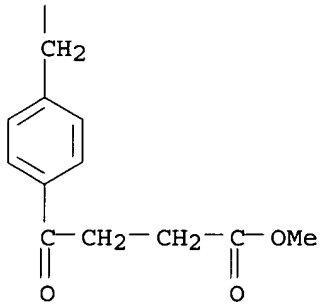


RN 119115-75-2 HCAPLUS
CN Benzenebutanoic acid, 4-[2-[[2-[4-[(4-methoxyphenyl)methyl]-1-piperazinyl]ethyl]amino]ethyl]-γ-oxo-, methyl ester (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

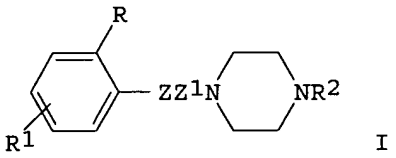


L12 ANSWER 51 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1981:550706 HCAPLUS
DOCUMENT NUMBER: 95:150706
TITLE: Piperazine derivative, processes for the preparation therof, and pharmaceutical composition comprising the same
INVENTOR(S): Teraji, Tsutomo; Oku, Teruo; Namiki, Takayuki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: Brit. UK Pat. Appl., 14 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2056968	A	19810325	GB 1979-29092	19790821
JP 56032474	A2	19810401	JP 1980-115296	19800820

PRIORITY APPLN. INFO.: GB 1979-29092 A 19790821

GI



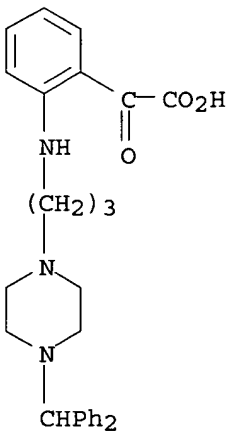
I

AB Piperazines I [R = CO2H, CO2H derivative, acylamino; R1 = H, halo, alkyl, alkoxy, aryl, acylamino; R2 = aralkyl; Z = NR3, O, S, NHCO (R3 = H, acyl); Z1 = alkylene], and their pharmaceutically acceptable salts, having antiallergic activity, were prepared E. g., a solution of 1-[3-(4-benzhydryl-1-piperazinyl)propyl]isatin in N aqueous NaOH and THF was treated by dropwise addition of 15% aqueous H2O2 at room temperature and the mixture was stirred 5 h at 70°, cooled to room temperature, treated with Na2SO3 (pH 1, 10% HCl), diluted with EtOAc, adjusted to pH 9 (aqueous NaHCO3), and stirred 0.5 h to give I [R = CO2H, R1 = H, R2 = CHPh2, Z = NH, Z1 = (CH2)3] (II). A 10 mg/kg p.o. dose of II produced complete inhibition of anaphylactic asthma in guinea pigs.

IT **79310-58-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as allergy inhibitor)

RN 79310-58-0 HCAPLUS

CN Benzeneacetic acid, 2-[[3-[4-(diphenylmethyl)-1-piperazinyl]propyl]amino]-α-oxo-, monosodium salt (9CI) (CA INDEX NAME)

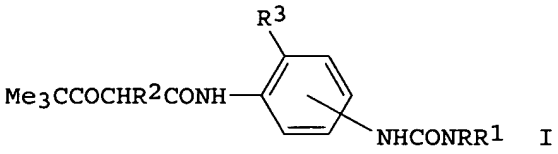


● Na

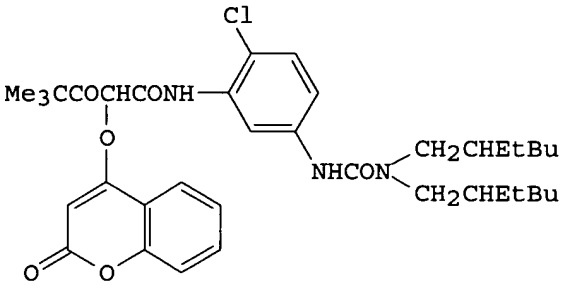
L12 ANSWER 52 OF 52 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1979:466263 HCAPLUS
DOCUMENT NUMBER: 91:66263
TITLE: Silver halide color photographic yellow couplers
INVENTOR(S): Yamashita, Kiyoshi; Kawakatsu, Tetsu; Nakaya, Mamoru
PATENT ASSIGNEE(S): Mitsubishi Paper Mills, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 54023528	A2	19790222	JP 1977-88606	19770723
JP 56007221	B4	19810217		

PRIORITY APPLN. INFO.: JP 1977-88606 A 19770723
GI



I



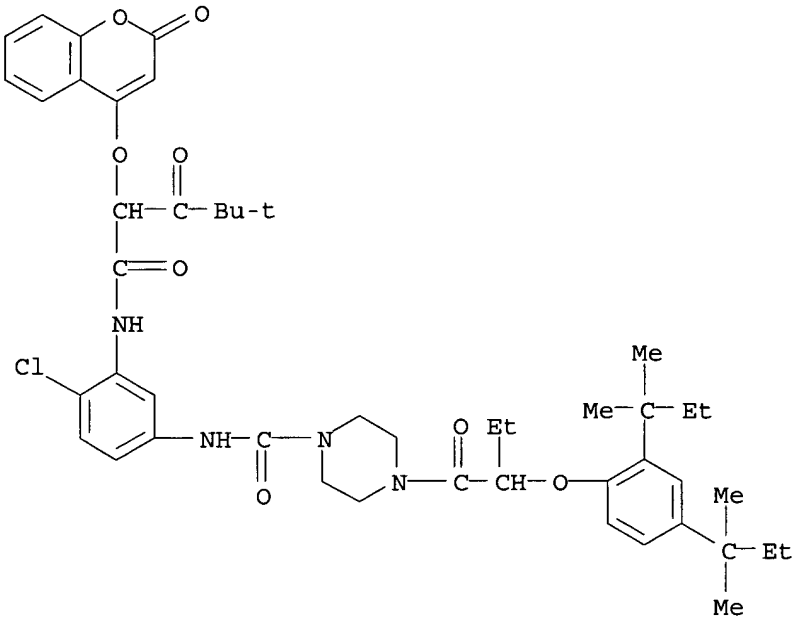
II

AB Ag halide photog. yellow couplers of the general formula I (R, R1 = alkyl, substituted alkyl, alkenyl, substituted alkenyl, aralkyl, substituted aralkyl, aryl, substituted aryl; R2 = H or a group released during the color development; R3 = H, halo, alkyl, alkoxy; the NHCONRR1 group is attached to 4 or 5 position of the anilide ring) are claimed. Thus, the yellow coupler II 4 + 10-3 mol was added to a Ag(Br,Cl) emulsion containing 8 + 10-2 mol Ag halide, and the emulsion was coated on a film support. The film was sensitometrically exposed and developed to give Dmax and λmax of 2.38 and 439 nm, resp.

IT **70945-79-8**
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. yellow coupler)

RN 70945-79-8 HCAPLUS

CN 1-Piperazinecarboxamide, 4-[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-oxobutyl]-N-[4-chloro-3-[[4,4-dimethyl-1,3-dioxo-2-[(2-oxo-2H-1-benzopyran-4-yl)oxy]pentyl]amino]phenyl]- (9CI) (CA INDEX NAME)



IT **70945-81-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with sulfuryl chloride)
RN 70945-81-2 HCAPLUS
CN 1-Piperazinecarboxamide, 4-[2-[2,4-bis(1,1-dimethylpropyl)phenoxy]-1-oxobutyl]-N-[4-chloro-3-[(4,4-dimethyl-1,3-dioxopentyl)amino]phenyl]-(9CI) (CA INDEX NAME)

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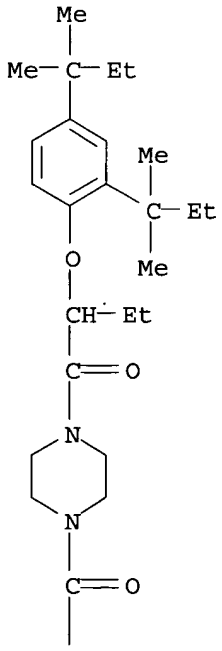
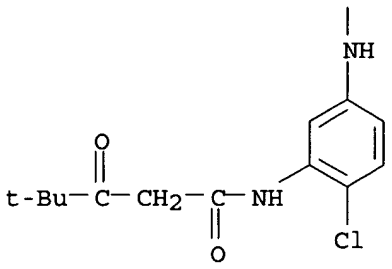


PAGE 2-A



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